



(19)

Europäisches Patentamt

European Patent Office

Office européen des brevets



(11)

EP 1 229 025 A1

(12)

EUROPEAN PATENT APPLICATION
published in accordance with Art. 158(3) EPC

(43) Date of publication:

07.08.2002 Bulletin 2002/32

(51) Int Cl.7: C07D 239/94, A61K 31/517,
C07D 401/04, C07D 401/12,
C07D 405/12, C07D 409/12,
A61P 37/06

(21) Application number: 00962890.0

(22) Date of filing: 27.09.2000

(86) International application number:
PCT/JP00/06666(87) International publication number:
WO 01/25218 (12.04.2001 Gazette 2001/15)

(84) Designated Contracting States:

AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU
MC NL PT SE

- TOMIZAWA, Hideyuki Japan Energy Corporation
Toda-shi, Saitama 335-8502 (JP)
- MATSUMOTO, Mitsuhiro
Japan Energy Corporation
Toda-shi, Saitama 335-8502 (JP)
- NAGASAKI, Takahiro Japan Energy Corporation
Toda-shi, Saitama 335-8502 (JP)
- OBARA, Fumihiro Japan Energy Corporation
Toda-shi, Saitama 335-8502 (JP)

(30) Priority: 01.10.1999 JP 28207899

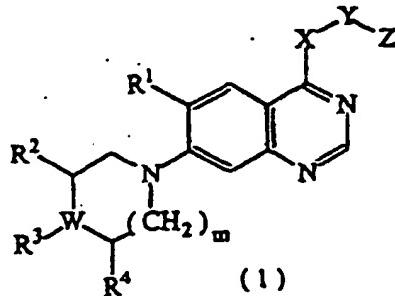
(71) Applicant: Japan Energy Corporation
Tokyo-to 105-8407 (JP)(74) Representative:
Ziebig, Marlène, Dr. Dipl.-Chem. et al
Schützenstrasse, 15-17
10117 Berlin (DE)

(72) Inventors:

- TOBE, Masanori Japan Energy Corporation
Toda-shi, Saitama 335-8502 (JP)
- ISOBE, Yoshiaki Japan Energy Corporation
Toda-shi, Saitama 335-8502 (JP)

(54) NOVEL QUINAZOLINE DERIVATIVES

(57) Quinazoline derivatives represented by the general formula (1) or a pharmaceutically acceptable salt thereof in said formula R¹ is nitro or halogen; R² and R⁴ are each hydrogen, C₁₋₄ alkyl, carboxyl, or C₂₋₅ alkoxy carbonyl; R³ is hydrogen, amino, optionally substituted C₁₋₄ alkyl, C₁₋₄ alkanoyl, or C₂₋₅ alkoxy carbonyl; W is carbon or nitrogen; and m is 0 to 2.



Description**Technical Field**

5 [0001] The present invention relates to novel quinazoline derivatives useful as medicament, more particularly to novel quinazoline derivatives having an action inhibiting the production of TNF- α , IL-4 and IL-5 and the pharmaceutically acceptable salts thereof.

Background Art

10 [0002] TNF- α is a peptide consisting of 157 amino acids and having a molecular weight of about 17,000, and one of cytokines produced from various cells including macrophage. TNF- α was found at first as a cytokine which induced hemorrhagic necrosis in tumor portions, but through subsequent studies it has been made clear that its actions range not only over tumor cells but also over many normal cells and it shows a variety of activities. Examples thereof are
 15 antitumor activity through cytostatic and cytopathic effects against tumor cells, regulation of differentiation and multiplication through the induction of apoptosis, inhibition of the lipoprotein lipase activity of fat globules, development of HLA antigen of vascular endothelial cells and fibroblast, activation of neutrophile and generation of superoxide and so forth.

20 Of these functions, for example regarding inflammation, TNF- α acts on all the cells which are involved in inflammation, produces or induces cytokines, such as IL-6, IL-8 and GM-CSF, and cell adhesive molecules, such as ICAM-1, and plays a part in many phenomena observed at the time of inflammation, such as production and induction of, for example, prostagladin E2 and protease, cell differentiation and activation, bone resorption, and proliferation of synovial cells. And, it is understood as a cytokine which extensively participates in the biophylaxis through the immune reaction against
 25 inflammation (Masatoshi Yamazaki: Cytokine 94-From the basic to latest information-; Nippon Igaku Kan, 109-117 (1994), Vassalli, P., Ann. Rev. Immunol., 10, 411(1992)).

30 [0003] On the other hand, it has come clear that a continuous or excess production of TNF- α , in contrast with the above, acts on normal cells and causes various diseases. For example, it is reported that TNF- α is increased in the joint synovia and blood of rheumatoid arthritis patients (Tetta, C., Camussi, G., Modena, V., Vittorio, C. D., Baglioni, C., Ann. Rheum. Dis. 49, 665(1990)) and that in the clinical test using anti-TNF- α antibody this shows a remarkable effect (Elliott, M. J., Maini, R. N., Feldman, M., Kalden, J. R. et al., Lancet, 344, 1105(1994), Elliot, M. J., Maini, R. N., Feldman, M. et al., Lancet, 344, 1125(1994), E. C. C. Rankin, E. H. S. Choy, et al., British J. Rheum., 34, 334(1995)). Concerning the septic shock, too, TNF- α is one of causes thereof and it is reported that in the experiment using anti-TNF- α antibody this has an inhibitory effect (Starnes, H. F., Jr., Pearce, M. K., Tewari, A. et al., J. Immunol., 145, 4185 (1990), Beutler, B., Millsark, I. W., Cerami, A. C., Science, 229, 869(1985), Hinshaw, L. B., Tekamp-Olson, P., Chang, A. C. K. et al., Circ. Shock, 30, 279(1990)). Further, the participation of TNF- α is suggested also regarding inflammatory bowel diseases such as ulcerative colitis and Crohn's disease (Murch, S., Walker-Smith, J. A., Arch. Dis. Child, 66, 561(1991), Masahiro Maeda, Digestive Organ and Immunity, 22, 111(1989)), and it is reported that in the clinical test in which anti-TNF- α antibody is used the effect is demonstrated (Hendrik, M. VanDullemen, Sander, J. H. VanDeventer, et al., Gastroenterology, 109, 129(1995)).

40 [0004] It is also suggested that the excess production of TNF- α plays a part in diseases such as osteoarthritis (Venn, G., Nietfeld, J. J., Duits, A. J., et al., Arthritis Rheum., 36, 819(1993)), multiple sclerosis (Sharief, M. K., Hentges, R., N. Engl. J. Med., 325, 467(1991)), Behcet's disease (Akoglu, T., Direskeneli, H., Yazici, H., Lawrence, R., J. Rheumatol., 17, 1107(1990)), systemic lupus erythematoses (SLE)(Maury, C. P. J., Teppo, A-M., Sharief, M. K., Arthritis Rheum., 32, 146(1989)), rejection at the time of the bone marrow transplantation (Nestel, F. P., Price, K. S., Seemeyer, T. A., Lapp, W. S., J. Exp., Med., 175, 405(1992)), hepatitis (Kozo Kanno, Liver, 33, 213(1992) and type II diabetes (Hotamisligil, G. S., Shargill, N. S., Spiegelman, B. M., Science, 259, 87(1993)).

45 [0005] Further, it is reported that, also in allergic diseases such as asthma, allergic dermatitis and allergic rhinitis, the excess production of TNF- α participates in the pathologic aggravation of the diseases (Shah, A., Church, M. K., Holgate, S. T., Clin. Exp. Allergy., 25, 1038(1995)). Particularly, in asthma, not only the excess production of TNF- α but also the excess production of IL-4 and IL-5 as cytokines plays a part of the worsening of the disease, and the presence of them is actually confirmed in the affected part of a patient (Hamid, Q. M., Azzawi, M., Sun Ying, et al., J. Clin. Invest., 87, 1561(1991), Bradding, P., Roberts, J. A., Britten, K. M., et al., Am. J. Respir. Cell. Mol. Biol., 10, 471 (1994)). IL-4 induces B cells to produce the IgE antibody and besides has a function of differentiating and multiplying the type 2 helper T-cell. The IL-5 has actions such as activation, differentiation and multiplication, and extension of life 55 of eosinophilic leukocyte and plays an important role in allergic inflammation. Accordingly, it is considered that a therapeutic medicament which inhibits the excess production of not only TNF- α but also IL-4 and IL-5 is more desirable for improving the pathosis of asthma.

55 [0006] As mentioned above, it has become clear that the excess production of TNF- α , IL-4 or IL-5 adversely affects

the diseases above-mentioned, and there is desired research and development on a compound inhibiting the production of TNF- α , IL-4 and IL-5 as a therapeutic medicament for such diseases.

[0007] As the compounds which show an action of inhibiting the production of TNF- α , the followings are enumerated.

[0008] JP-A-10-130149 discloses that quinolone derivatives are useful as a TNF- α production inhibitor.

[0009] JP-A-11-1481 discloses that piperidinylphthalazine derivatives are useful as a TNF- α production inhibitor.

[0010] Pentoxifylline having the 7-methylxanthine skeleton is known as a compound showing the production inhibitory action on TNF- α (Ward, A., Clissold, S. P., Drugs, 34, 50(1987), Semmler, J., Gebert, U., Eisenhut, T., Biochem. Biophys. Res. Commun., 155, 1230(1988), Zabel, P., Schade, F. U., Schlaak, M., Immunobiology, 187, 447(1993)).

[0011] Besides, as compounds or factors showing the action inhibiting the TNF- α production, there are known hitherto glucocorticoid, protease inhibitor, phospholipase A2 inhibitor, lipoxygenase inhibitor, PAF (platelet aggregating factor) antagonist and anti-TNF- α antibody. These compounds, however, may have side effects due to their various pharmacological actions, raising the problem regarding their use, and development of a safe drug having a new mechanism is longed for.

[0012] Meanwhile, many compounds are known which have the quinazoline skeleton. For example, there are mentioned the followings as quinazoline derivatives in which the 6-position has a nitro group or halogen atom and the 4-and 7-position have N-containing substituents.

[0013] Journal of Medicinal Chemistry (J. Med. Chem.), 39, 267(1996) describes that a 4-anilinoquinazoline derivative has an inhibitory action on phosphorylation of EGF receptors.

[0014] WO98/14431 discloses that quinazoline derivatives are useful as an inhibitor of phosphorylation of PDGF receptors.

[0015] WO98/08848 discloses that quinazoline derivatives are useful as synthetic intermediates for imidazoquinazolines derivatives which are useful as an inhibitor of cGMP-specific phosphodiesterases.

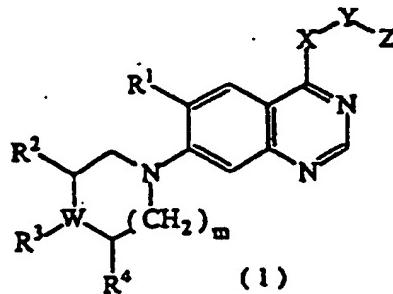
Disclosure of the Invention

[0016] The present invention provides a novel compound which has an inhibitory action on the production of TNF- α , IL-4 or IL-5 and which is useful for the treatment of diseases in which the continuous or excess production of TNF- α , IL-4 or IL-5 is considered to play a part in the start of the diseases, such as rheumatoid arthritis, septic shock, inflammatory bowel diseases, osteoarthritis, multiple sclerosis, Behcet's disease, systemic lupus erythematoses (SLE), rejection at the time of the bone marrow transplantation, hepatitis, type II diabetes, asthma, allergic dermatitis and allergic rhinitis, or provides a pharmaceutically acceptable salt thereof.

[0017] The inventors studied hard to solve the above-mentioned problem and found, as a result, that the quinazoline derivatives represented by the following general formula (1) and the salts thereof have an inhibitory action on the production of TNF- α , IL-4 and IL-5, thus accomplishing the present invention. The compounds of the present invention indicated by the general formula (1) are novel compounds which are not included in any of the related art. Further, none of the related art describes that the compounds of the present invention indicated by the general formula (1) possesses an action inhibiting the production of TNF- α , IL-4 and IL-5.

[0018] That is, the present invention includes the following inventions.

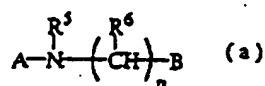
(i) A quinazoline derivative of the general formula (1) or a pharmaceutically acceptable salt thereof:



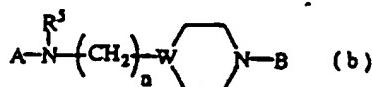
[wherein R¹ represents nitro group or halogen atom; R² and R⁴ represent hydrogen atom, alkyl group having 1 to 4 carbon atoms, carboxyl group, or alkoxy carbonyl group having 2 to 5 carbon atoms; R³ represents hydrogen atom, amino group, unsubstituted or substituted alkyl group having 1 to 4 carbon atoms, alkanoyl group having 1 to 4 carbon atoms or alkoxy carbonyl group having 2 to 5 carbon atoms; W represents carbon atom or nitrogen

atom; m represents 0 to 2; X represents a group represented by the following formula (a), (b) or (c):

5

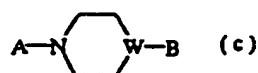


(a)



(b)

10



(c)

[wherein R⁵ represents hydrogen atom, or unsubstituted or substituted alkyl group having 1 to 4 carbon atoms; R⁶ represents hydrogen atom, unsubstituted or substituted alkyl group having 1 to 4 carbon atoms, hydroxyl group, unsubstituted or substituted aryl group, carboxyl group, or alkoxy carbonyl group having 2 to 5 carbon atoms (if n is two or more, each R⁶ may be the same or different); n represents 0 to 3; W represents carbon atom or nitrogen atom; A represents a single bond to quinazoline ring; and B represents a single bond to Y]; Y represents, if X is represented by the formula (a), single bond or CH₂, if X is represented by the formula (b), single bond, CO, CH₂, CONH, CSNH or SO₂, if X is represented by the formula (c), single bond, CO, CH₂ or SO₂; and Z represents unsubstituted or substituted aryl group, or unsubstituted or substituted heteroaryl group.]

15

(ii) A compound according to the above (i), wherein R¹ in the general formula (1) is nitro group or fluorine atom.

20

(iii) A compound according to the above (i) or (ii), wherein R² and R⁴ in the general formula (1) are hydrogen atom or alkyl group having 1 to 4 carbon atoms.

25

(iv) A compound according to any one of the above (i) to (iii), wherein R³ in the general formula (1) R³ is hydrogen atom.

30

(v) A compound according to any one of the above (i) to (iv), wherein W in the general formula (1) is nitrogen atom.

35

(vi) A compound according to any one of the above (i) to (v), wherein X in the general formula (1) is represented by the formula (a), and R⁵ and R⁶ in the general formula (1) are hydrogen atom.

40

(vii) A compound according to any one of the above (i) to (vi), wherein n in the formula (a) is 1 or 2.

45

(viii) A compound according to any one of the above (i) to (v), wherein X in the general formula (1) is represented by the formula (c).

50

(ix) A compound according to any one of the above (i) to (vii), wherein Z in the general formula (1) is phenyl, substituted phenyl, thiienyl, furyl or pyridyl.

55

(x) A medicament comprising a quinazoline derivative according to any one of the above (i) to (ix) or a pharmaceutically acceptable salt thereof as effective ingredient.

60

(xi) A medicament for treating the diseases caused by the excess production of TNF- α , comprising a quinazoline derivative according to any one of the above (i) to (ix) or a pharmaceutically acceptable salt thereof as effective ingredient.

65

(xii) A medicament for treating the diseases caused by the excess production of IL-4, comprising a quinazoline derivative according to any one of the above (i) to (ix) or a pharmaceutically acceptable salt thereof as effective ingredient.

70

(xiii) A medicament for treating the diseases caused by the excess production of IL-5, comprising a quinazoline derivative according to any one of the above (i) to (ix) or a pharmaceutically acceptable salt thereof as effective ingredient.

75

[0019] Concretely, the present invention relates to the quinazoline derivatives of the formula (1) or the salts thereof and relates to inhibitors of TNF- α , IL-4 or IL-5 production which contain them as an effective ingredient. More concretely, the present invention relates to therapeutic medicaments which contain a quinazolone derivative of the formula (1) or a salt thereof as an effective ingredient, for rheumatoid arthritis, septic shock, inflammatory bowel diseases, osteoarthritis, multiple sclerosis, Behcet's disease, systemic lupus erythematoses (SLE), rejection at the time of the bone marrow transplantation, hepatitis, type II diabetes, asthma, allergic dermatitis and allergic rhinitis and the like.

80

[0020] The compounds of the present invention will be explained more in detail.

85

[0021] Each substituent in the general formula (1) will hereinunder be explained concretely.

90

[0022] In the general formula (1), R¹ represents nitro group or halogen atom, in which nitro group, chlorine atom or fluorine atom is preferable, and nitro group is most preferable.

95

[0023] In the general formula (1), R² and R⁴ represent hydrogen atom, alkyl group having 1 to 4 carbon atoms, carboxyl group or alkoxy carbonyl group having 2 to 5 carbon atoms. The alkyl group having 1 to 4 carbon atoms includes, for example, methyl, ethyl, propyl, butyl and the like. The alkoxy carbonyl group having 2 to 5 carbon atoms

includes, for example, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl and the like. Of these, hydrogen atom or alkyl group having 1 to 4 carbon atoms is preferred, and hydrogen atom is most preferred.

[0024] In the general formula (1), R³ represents hydrogen atom, amino group, unsubstituted or substituted alkyl group having 1 to 4 carbon atoms, alkanoyl group having 1 to 4 carbon atoms or alkoxy carbonyl group having 2 to 5 carbon atoms. The unsubstituted alkyl group having 1 to 4 carbon atoms includes, for example, methyl, ethyl, propyl, isopropyl, butyl and the like.

[0025] The substituted alkyl group in R³ includes alkyl group having 1 to 4 carbon atoms (for example, methyl, ethyl, propyl, isopropyl, butyl and the like), which is substituted with a substituent. Said substituent includes hydroxyl group, amino group, alkoxy group having 1 to 4 carbon atoms (for example, methoxy, ethoxy, propoxy, isopropoxy, butoxy and the like).

[0026] The alkanoyl group having 1 to 4 carbon atoms in R³ includes, for example, formyl, acetyl, propionyl, butyryl and the like.

[0027] The alkoxy carbonyl group having 2 to 5 carbon atoms in R³ includes, for example, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl and the like.

[0028] The substituent as R³ is preferably hydrogen atom, methyl, ethyl, propyl, isopropyl, butyl, aminomethyl, aminoethyl or hydroxyethyl, and hydrogen atom is particularly preferable.

[0029] In the general formula (1), W represents carbon atom or nitrogen atom, and nitrogen atom is particularly preferable.

[0030] In the general formula (1), m represents 0 to 2, and 1 or 2 is preferable, 1 being particularly preferable.

[0031] In the general formula (1), X is a group represented by any one of the above formula (a), (b) or (c). In the above formulae, R⁵ represents hydrogen atom, unsubstituted or substituted alkyl group having 1 to 4 carbon atoms, R⁶ represents hydrogen atom, unsubstituted or substituted alkyl group having 1 to 4 carbon atoms, hydroxyl group, unsubstituted or substituted aryl group, carboxyl group or alkoxy carbonyl group having 2 to 5 carbon atoms, n represents 0 to 3, and W represents carbon atom or nitrogen atom. If n is 2 or more, each R⁶ may be the same or different.

[0032] The unsubstituted alkyl group having 1 to 4 carbon atoms in R⁵ and R⁶ in the formula (a) or (b) includes, for example, methyl, ethyl, propyl, isopropyl, butyl and the like.

[0033] The substituted alkyl group in R⁵ and R⁶ in the formula (a) or (b) includes, for example, alkyl group having 1 to 4 carbon atoms (for example, methyl, ethyl, propyl, isopropyl, butyl and the like), which is substituted with a substituent. Said substituent includes, for example, hydroxyl group, amino group, alkoxy group having 1 to 4 carbon atoms (for example, methoxy, ethoxy, propoxy, isopropoxy, butoxy and the like.)

[0034] The unsubstituted aryl group in R⁶ in the formula (a) is limited in no way as long as it is a monocyclic or condensed aromatic compound, and includes, concretely, phenyl, 1-naphthyl, 2-naphthyl and the like.

[0035] The substituted aryl group in R⁶ in the formula (a) includes a monocyclic or condensed aromatic compound having substituent and is not limited in particular as long as it is a group derived from various aromatic hydrocarbon compounds. The number of the substituent on the aryl group may be single or plural, and in the case of plural substituents, these substituents may be the same or different each other. Further, the substituent can be present in any position on the aryl group. The substituent on the substituted aryl group includes halogen atom such as fluorine, chlorine and bromine atom; alkyl group having 1 to 4 carbon atoms such as methyl, ethyl, propyl, isopropyl and butyl; alkoxy group having 1 to 4 carbon atoms such as methoxy, ethoxy, propoxy and butoxy; hydroxyl group; amino group; nitro group; cyano group; alkylamino group having 1 to 4 carbon atoms such as methylamino, ethylamino, propylamino and butylamino; dialkylamino group having 2 to 8 carbon atoms such as dimethylamino and diethylamino; (the two alkyl groups may be the same or different); alkylcarbamoyl group having 2 to 5 carbon atoms such as carbamoyl, methylcarbamoyl, ethylcarbamoyl, propylcarbamoyl and butylcarbamoyl; alkanoyloxy group having 2 to 5 carbon atoms such as acetyloxy, propionyloxy and butyryloxy; alkoxy carbonyl group having 2 to 5 carbon atoms such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl and butoxycarbonyl; trifluoromethyl group; and methylenedioxy or ethylenedioxy group with adjacent two groups together.

[0036] The alkoxy carbonyl group having 2 to 5 carbon atoms in R⁶ of the formula (a) includes, for example, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl butoxycarbonyl and the like.

[0037] The R⁵ in the formula (a) or (b) is preferably hydrogen atom or alkyl group having 1 to 4 carbon atoms, hydrogen atom being particularly preferable.

[0038] The R⁶ in the formula (a) is preferably hydrogen atom, alkyl group having 1 to 4 carbon atoms or alkoxy carbonyl group having 2 to 5 carbon atoms, hydrogen atom being particularly preferable.

[0039] The n in the formula (a) represents 0 to 3, 1 to 3 is preferable, and 1 or 2 is particularly preferable.

[0040] The n in the formula (b) represents 0 to 3, 1 or 2 is preferable, and 1 is particularly preferable.

[0041] The W in the formula (b) represents carbon atom or nitrogen atom, and carbon atom is particularly preferable.

[0042] The W in the formula (c) represents carbon atom or nitrogen atom, and nitrogen atom is particularly preferable.

[0043] In the formula (1), Y represents, if X is represented by the formula (a), single bond or CH₂, if X is represented by the formula (b), single bond, CO, CH₂, CONH, CSNH or SO₂, if X is represented by the formula (c), single bond,

CO, CH₂ or SO₂, wherein preference is given to single bond or CH₂, particularly to single bond.

[0044] In the formula (1), Z represents unsubstituted or substituted aryl group or unsubstituted or substituted heteroaryl group.

[0045] The unsubstituted aryl group of Z is limited in no way as long as it is a monocyclic or condensed aromatic compound, and includes, concretely, phenyl, 1-naphthyl, 2-naphthyl and the like.

[0046] The substituted aryl group as Z includes a monocyclic or condensed aromatic compound having substituent and is not limited in particular as long as it is a group derived from various aromatic hydrocarbon compounds. The number of the substituent on the aryl group may be single or plural, and in the case of plural substituents, these substituents may be the same or different each other. Further, the substituent can be present in any position on the aryl group. Said substituent on the substituted aryl group includes halogen atom such as fluorine, chlorine and bromine atom; alkyl group having 1 to 5 carbon atoms or cycloalkyl group such as methyl, ethyl, propyl, isopropyl, butyl and pentyl; alkoxy group having 1 to 5 carbon atoms or cycloalkyloxy group such as methoxy, ethoxy, propoxy and butoxy, pentyloxy, cyclopropoxy, cyclobutyloxy, cyclopentyloxy; aralkyloxy group such as benzylxy; acetamide group; hydroxyl group; amino group; nitro group; cyano group; alkylamino group having 1 to 5 carbon atoms such as methylamino, ethylamino, propylamino, butylamino and pentylamino; dialkylamino group having 2 to 8 carbon atoms such as dimethylamino and diethylamino (the two alkyl groups may be the same or different); alkylcarbamoyl group having 1 to 4 carbon atoms such as carbamoyl, methylcarbamoyl, ethylcarbamoyl, propylcarbamoyl and butylcarbamoyl; alkanoyloxy group having 2 to 5 carbon atoms such as acetylxy, propionyloxy and butyryloxy; alkoxycarbonyl group having 2 to 5 carbon atoms such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl and butoxycarbonyl; trifluoromethyl group; and methylenedioxy or ethylenedioxy group with adjacent two groups together.

[0047] The unsubstituted heteroaryl group in Z includes a 5- or 6-membered ring containing one or two hetero atoms (two hetero atoms may be the same or different) selected from the group consisting of nitrogen, oxygen and sulfur atom, or a 5- or 6-membered ring, which is condensed with phenyl ring, containing one or two hetero atoms (two hetero atoms may be the same or different) selected from the group consisting of nitrogen, oxygen and sulfur atom. Concretely, it includes thieryl, furyl, pyrrolyl, pyrazolyl, oxazolyl, isothiazolyl, benzoxazolyl, benzothiazolyl, benzoimidazolyl, pyridyl, pyradinyl, pyrimidyl, pyridazinyl and the like.

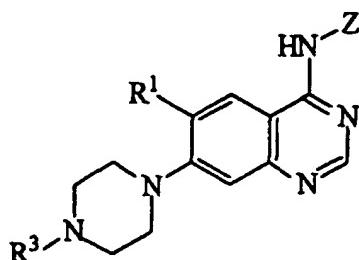
[0048] The substituted heteroaryl group in Z includes a 5- or 6-membered ring substituted with substituent and containing one or two hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur atom, or a 5- or 6-membered ring, which is condensed with phenyl ring, containing one or two hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur atom. The substituent includes, for example, halogen atom such as fluorine, chlorine and bromine atom, alkyl group having 1 to 4 carbon atoms such as methyl, ethyl, propyl, isopropyl and butyl group, alkoxy group having 1 to 4 carbon atoms such as methoxy, ethoxy, propoxy and butoxy, hydroxyl group, amino group, alkanoyloxy group having 2 to 5 carbon atoms such as acetylxy, propionyloxy and butyryloxy, and alkoxycarbonyl group having 2 to 5 carbon atoms such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl and butoxycarbonyl.

[0049] As the substituent in Z, unsubstituted or substituted aryl group or heteroaryl group is preferable and unsubstituted or substituted phenyl, thieryl, furyl or pyridyl is particularly preferable.

[0050] The compound of the present invention can form a salt with an acid. The preferable acid is a pharmaceutically acceptable acid and includes, concretely, an inorganic acid such as hydrochloric acid, sulfuric acid, hydrobromic acid and phosphoric acid and an organic acid such as acetic acid, oxalic acid, citric acid, malic acid, tartaric acid, fumaric acid, maleic acid and methanesulfonic acid. Further, in case that the compound of the present invention has an acidic substituent, it can form a salt with a base. The preferable base is a pharmaceutically acceptable base and includes, concretely, a hydroxide of alkali metal such as sodium and potassium or of alkaline-earth metal such as magnesium and calcium, an inorganic base such as carbonate, and an organic base such as triethylamine and pyridine. In case that the compound of the present invention has one, or two or more asymmetric carbon atoms, it includes stereoisomers in any pure form thereof such as optical isomer and diastereomer, arbitrary any mixtures thereof or racemic compounds, and further includes all the hydrates, solvates and crystals.

[0051] The compounds of the present invention concretely includes, for example, the compounds shown in Tables 1 to 20. The quinazoline derivatived used as an effective ingredient of the medicament according to the present invention, however, are not limited to these.

Table 1



15 Each substituent in the formula above is as follows:

R¹	R³	Z
nitro	H	phenyl
nitro	H	2 - fluorophenyl
nitro	H	3 - fluorophenyl
nitro	H	4 - fluorophenyl
nitro	H	2 - chlorophenyl
nitro	H	3 - chlorophenyl
nitro	H	4 - chlorophenyl
nitro	H	2 - methylphenyl
nitro	H	3 - methylphenyl
nitro	H	4 - methylphenyl
nitro	H	2 - methoxyphenyl
nitro	H	3 - methoxyphenyl
nitro	H	4 - methoxyphenyl
nitro	H	3, 4 - dimethoxyphenyl
nitro	methyl	phenyl
nitro	methyl	2 - fluorophenyl
nitro	methyl	3 - fluorophenyl
nitro	methyl	4 - fluorophenyl
nitro	methyl	2 - chlorophenyl
nitro	methyl	3 - chlorophenyl
nitro	methyl	4 - chlorophenyl
nitro	methyl	2 - methylphenyl
nitro	methyl	3 - methylphenyl
nitro	methyl	4 - methylphenyl
nitro	methyl	2 - methoxyphenyl
nitro	methyl	3 - methoxyphenyl
nitro	methyl	4 - methoxyphenyl
nitro	methyl	3, 4 - dimethoxyphenyl
fluoro	H	phenyl
fluoro	H	2 - fluorophenyl
fluoro	H	3 - fluorophenyl
fluoro	H	4 - fluorophenyl
fluoro	H	2 - chlorophenyl
fluoro	H	3 - chlorophenyl
fluoro	H	4 - chlorophenyl

Table 1 (continuation)

	R ¹	R ³	Z
5	fluoro	H	2 - methylphenyl
	fluoro	H	3 - methylphenyl
	fluoro	H	4 - methylphenyl
10	fluoro	H	2 - methoxyphenyl
	fluoro	H	3 - methoxyphenyl
	fluoro	H	4 - methoxyphenyl
	fluoro	H	3, 4 - dimethoxyphenyl
15	fluoro	methyl	phenyl
	fluoro	methyl	2 - fluorophenyl
	fluoro	methyl	3 - fluorophenyl
	fluoro	methyl	4 - fluorophenyl
20	fluoro	methyl	2 - chlorophenyl
	fluoro	methyl	3 - chlorophenyl
	fluoro	methyl	4 - chlorophenyl
	fluoro	methyl	2 - methylphenyl
	fluoro	methyl	3 - methylphenyl
25	fluoro	methyl	4 - methylphenyl
	fluoro	methyl	2 - methoxyphenyl
	fluoro	methyl	3 - methoxyphenyl
	fluoro	methyl	4 - methoxyphenyl
	fluoro	methyl	3, 4 - dimethoxyphenyl

30

35

40

45

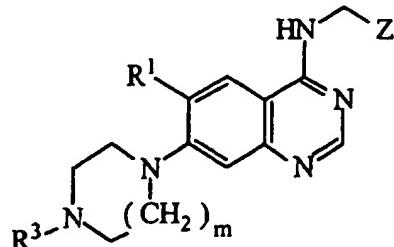
50

55

Table 2

5

10



15

Each substituent in the formula above is as follows:

20

25

30

35

40

45

50

55

m	R ¹	R ³	Z
1	nitro	H	phenyl
1	nitro	H	2 - fluorophenyl
1	nitro	H	3 - fluorophenyl
1	nitro	H	4 - fluorophenyl
1	nitro	H	2, 4 - difluorophenyl
1	nitro	H	2, 5 - difluorophenyl
1	nitro	H	2, 6 - difluorophenyl
1	nitro	H	3, 4 - difluorophenyl
1	nitro	H	3, 5 - difluorophenyl
1	nitro	H	2 - chlorophenyl
1	nitro	H	3 - chlorophenyl
1	nitro	H	4 - chlorophenyl
1	nitro	H	2, 4 - dichlorophenyl
1	nitro	H	3, 4 - dichlorophenyl
1	nitro	H	2 - bromophenyl
1	nitro	H	3 - bromophenyl
1	nitro	H	4 - bromophenyl
1	nitro	H	2 - methylphenyl
1	nitro	H	3 - methylphenyl
1	nitro	H	4 - methylphenyl
1	nitro	H	2 - methoxyphenyl
1	nitro	H	3 - methoxyphenyl
1	nitro	H	4 - methoxyphenyl
1	nitro	H	2, 3 - dimethoxyphenyl
1	nitro	H	2, 4 - dimethoxyphenyl
1	nitro	H	3, 4 - dimethoxyphenyl
1	nitro	H	3, 5 - dimethoxyphenyl
1	nitro	H	3, 4 - (methylenedioxy) phenyl
1	nitro	H	3, 4 - (ethylenedioxy) phenyl
1	nitro	H	2 - hydroxyphenyl
1	nitro	H	3 - hydroxyphenyl
1	nitro	H	4 - hydroxyphenyl
1	nitro	H	2 - aminophenyl
1	nitro	H	3 - aminophenyl
1	nitro	H	4 - aminophenyl

Table 2(continuation 1)

m	R ¹	R ³	Z
5	nitro	H	2 - (methylamino) phenyl
	nitro	H	3 - (methylamino) phenyl
	nitro	H	4 - (methylamino) phenyl
10	nitro	H	2 - (dimethylamino) phenyl
	nitro	H	3 - (dimethylamino) phenyl
	nitro	H	4 - (dimethylamino) phenyl
15	nitro	H	2 - carboxyphenyl
	nitro	H	3 - carboxyphenyl
	nitro	H	4 - carboxyphenyl
20	nitro	H	2 - (methylcarbamoyl) phenyl
	nitro	H	3 - (methylcarbamoyl) phenyl
	nitro	H	4 - (methylcarbamoyl) phenyl
25	nitro	H	2 - (methoxycarbonyl) phenyl
	nitro	H	3 - (methoxycarbonyl) phenyl
	nitro	H	4 - (methoxycarbonyl) phenyl
30	nitro	H	2 - (acetoxy) phenyl
	nitro	H	3 - (acetoxy) phenyl
	nitro	H	4 - (acetoxy) phenyl
35	nitro	H	2 - (propionyloxy) phenyl
	nitro	H	3 - (propionyloxy) phenyl
	nitro	H	4 - (propionyloxy) phenyl
40	nitro	H	2 - trifluoromethylphenyl
	nitro	H	3 - trifluoromethylphenyl
	nitro	H	4 - trifluoromethylphenyl
45	nitro	H	2 - thienyl
	nitro	H	3 - thienyl
	nitro	H	2 - furyl
	nitro	H	3 - furyl
50	nitro	H	2 - pyridyl
	nitro	H	3 - pyridyl
	nitro	H	4 - pyridyl
55	nitro	H	phenyl
	nitro	H	2 - fluorophenyl
	nitro	H	3 - fluorophenyl
	nitro	H	4 - fluorophenyl
	nitro	H	2, 4 - difluorophenyl
	nitro	H	2, 5 - difluorophenyl
	nitro	H	2, 6 - difluorophenyl
	nitro	H	3, 4 - difluorophenyl
	nitro	H	3, 5 - difluorophenyl
	nitro	H	2 - chlorophenyl
	nitro	H	3 - chlorophenyl
	nitro	H	4 - chlorophenyl
	nitro	H	2, 4 - dichlorophenyl
	nitro	H	3, 4 - dichlorophenyl

Table 2 (continuation2)

m	R ¹	R ³	Z
5	nitro	H	2 - bromophenyl
	nitro	H	3 - bromophenyl
	nitro	H	4 - bromophenyl
10	nitro	H	2 - methylphenyl
	nitro	H	3 - methylphenyl
	nitro	H	4 - methylphenyl
15	nitro	H	2 - methoxyphenyl
	nitro	H	3 - methoxyphenyl
	nitro	H	4 - methoxyphenyl
20	nitro	H	2, 3 - dimethoxyphenyl
	nitro	H	2, 4 - dimethoxyphenyl
	nitro	H	3, 4 - dimethoxyphenyl
	nitro	H	3, 5 - dimethoxyphenyl
25	nitro	H	3, 4 - (methylenedioxy) phenyl
	nitro	H	3, 4 - (ethylenedioxy) phenyl
	nitro	H	2 - hydroxyphenyl
	nitro	H	3 - hydroxyphenyl
	nitro	H	4 - hydroxyphenyl
30	nitro	H	2 - aminophenyl
	nitro	H	3 - aminophenyl
	nitro	H	4 - aminophenyl
	nitro	H	2 - (methylamino) phenyl
	nitro	H	3 - (methylamino) phenyl
35	nitro	H	4 - (methylamino) phenyl
	nitro	H	2 - (dimethylamino) phenyl
	nitro	H	3 - (dimethylamino) phenyl
	nitro	H	4 - (dimethylamino) phenyl
40	nitro	H	2 - carboxyphenyl
	nitro	H	3 - carboxyphenyl
	nitro	H	4 - carboxyphenyl
	nitro	H	2 - (methylcarbamoyl) phenyl
	nitro	H	3 - (methylcarbamoyl) phenyl
45	nitro	H	4 - (methylcarbamoyl) phenyl
	nitro	H	2 - (methoxycarbonyl) phenyl
	nitro	H	3 - (methoxycarbonyl) phenyl
	nitro	H	4 - (methoxycarbonyl) phenyl
	nitro	H	2 - (ethoxycarbonyl) phenyl
	nitro	H	3 - (ethoxycarbonyl) phenyl
50	nitro	H	4 - (ethoxycarbonyl) phenyl
	nitro	H	2 - (acetoxyloxy) phenyl
	nitro	H	3 - (acetoxyloxy) phenyl
	nitro	H	4 - (acetoxyloxy) phenyl
55	nitro	H	2 - (propionyloxy) phenyl
	nitro	H	3 - (propionyloxy) phenyl
	nitro	H	4 - (propionyloxy) phenyl
	nitro	H	2 - trifluoromethylphenyl
	nitro	H	3 - trifluoromethylphenyl
	nitro	H	4 - trifluoromethylphenyl

Table 2 (continuation 3)

m	R ¹	R ³	Z
5	nitro	H	2 - thieryl
	nitro	H	3 - thieryl
	nitro	H	2 - furyl
	nitro	H	3 - furyl
10	nitro	H	2 - pyridyl
	nitro	H	3 - pyridyl
	nitro	H	4 - pyridyl
	fluoro	H	phenyl
	fluoro	H	2 - fluorophenyl
15	fluoro	H	3 - fluorophenyl
	fluoro	H	4 - fluorophenyl
	fluoro	H	2, 4 - difluorophenyl
	fluoro	H	2, 5 - difluorophenyl
	fluoro	H	2, 6 - difluorophenyl
20	fluoro	H	3, 4 - difluorophenyl
	fluoro	H	3, 5 - difluorophenyl
	fluoro	H	2 - chlorophenyl
	fluoro	H	3 - chlorophenyl
25	fluoro	H	4 - chlorophenyl
	fluoro	H	2, 4 - dichlorophenyl
	fluoro	H	3, 4 - dichlorophenyl
	fluoro	H	2 - bromophenyl
	fluoro	H	3 - bromophenyl
30	fluoro	H	4 - bromophenyl
	fluoro	H	2 - methylphenyl
	fluoro	H	3 - methylphenyl
	fluoro	H	4 - methylphenyl
	fluoro	H	2 - methoxyphenyl
35	fluoro	H	3 - methoxyphenyl
	fluoro	H	4 - methoxyphenyl
	fluoro	H	2, 3 - dimethoxyphenyl
	fluoro	H	2, 4 - dimethoxyphenyl
40	fluoro	H	3, 4 - dimethoxyphenyl
	fluoro	H	3, 5 - dimethoxyphenyl
	fluoro	H	3, 4 - (methylenedioxy) phenyl
	fluoro	H	3, 4 - (ethylenedioxy) phenyl
	fluoro	H	2 - hydroxyphenyl
45	fluoro	H	3 - hydroxyphenyl
	fluoro	H	4 - hydroxyphenyl
	fluoro	H	2 - aminophenyl
	fluoro	H	3 - aminophenyl
	fluoro	H	4 - aminophenyl
50	fluoro	H	2 - (methylamino) phenyl
	fluoro	H	3 - (methylamino) phenyl
	fluoro	H	4 - (methylamino) phenyl
	fluoro	H	2 - (dimethylamino) phenyl
55	fluoro	H	3 - (dimethylamino) phenyl
	fluoro	H	4 - (dimethylamino) phenyl

Table 2(continuation 4)

m	R ¹	R ³	Z
5	fluoro	H	2 - carboxyphenyl
	fluoro	H	3 - carboxyphenyl
	fluoro	H	4 - carboxyphenyl
	fluoro	H	2 - (methylcarbamoyl) phenyl
	fluoro	H	3 - (methylcarbamoyl) phenyl
10	fluoro	H	4 - (methylcarbamoyl) phenyl
	fluoro	H	2 - (methoxycarbonyl) phenyl
	fluoro	H	3 - (methoxycarbonyl) phenyl
	fluoro	H	4 - (methoxycarbonyl) phenyl
15	fluoro	H	2 - (ethoxycarbonyl) phenyl
	fluoro	H	3 - (ethoxycarbonyl) phenyl
	fluoro	H	4 - (ethoxycarbonyl) phenyl
	fluoro	H	2 - (acetoxy) phenyl
	fluoro	H	3 - (acetoxy) phenyl
20	fluoro	H	4 - (acetoxy) phenyl
	fluoro	H	2 - (propionyloxy) phenyl
	fluoro	H	3 - (propionyloxy) phenyl
	fluoro	H	4 - (propionyloxy) phenyl
25	fluoro	H	2 - trifluoromethylphenyl
	fluoro	H	3 - trifluoromethylphenyl
	fluoro	H	4 - trifluoromethylphenyl
	fluoro	H	2 - thienyl
	fluoro	H	3 - thienyl
30	fluoro	H	2 - furyl
	fluoro	H	3 - furyl
	fluoro	H	2 - pyridyl
	fluoro	H	3 - pyridyl
	fluoro	H	4 - pyridyl
35	chloro	H	phenyl
	chloro	H	2 - fluorophenyl
	chloro	H	3 - fluorophenyl
	chloro	H	4 - fluorophenyl
40	chloro	H	2, 4 - difluorophenyl
	chloro	H	2, 5 - difluorophenyl
	chloro	H	2, 6 - difluorophenyl
	chloro	H	3, 4 - difluorophenyl
	chloro	H	3, 5 - difluorophenyl
45	chloro	H	2 - chlorophenyl
	chloro	H	3 - chlorophenyl
	chloro	H	4 - chlorophenyl
	chloro	H	2, 4 - dichlorophenyl
	chloro	H	3, 4 - dichlorophenyl
50	chloro	H	2 - bromophenyl
	chloro	H	3 - bromophenyl
	chloro	H	4 - bromophenyl
	chloro	H	2 - methylphenyl
	chloro	H	3 - methylphenyl
55	chloro	H	4 - methylphenyl

Table 2(continuation 5)

m	R ¹	R ³	Z
5	chloro	H	2 - methoxyphenyl
1	chloro	H	3 - methoxyphenyl
1	chloro	H	4 - methoxyphenyl
1	chloro	H	2, 3 - dimethoxyphenyl
10	chloro	H	2, 4 - dimethoxyphenyl
1	chloro	H	3, 4 - dimethoxyphenyl
1	chloro	H	3, 5 - dimethoxyphenyl
1	chloro	H	3, 4 - (methylenedioxy) phenyl
15	chloro	H	3, 4 - (ethylenedioxy) phenyl
1	chloro	H	2 - hydroxyphenyl
1	chloro	H	3 - hydroxyphenyl
1	chloro	H	4 - hydroxyphenyl
20	chloro	H	2 - aminophenyl
1	chloro	H	3 - aminophenyl
1	chloro	H	4 - aminophenyl
1	chloro	H	2 - (methylamino) phenyl
25	chloro	H	3 - (methylamino) phenyl
1	chloro	H	4 - (methylamino) phenyl
1	chloro	H	2 - (dimethylamino) phenyl
1	chloro	H	3 - (dimethylamino) phenyl
30	chloro	H	4 - (dimethylamino) phenyl
1	chloro	H	2 - carboxyphenyl
1	chloro	H	3 - carboxyphenyl
1	chloro	H	4 - carboxyphenyl
35	chloro	H	2 - (methylcarbamoyl) phenyl
1	chloro	H	3 - (methylcarbamoyl) phenyl
1	chloro	H	4 - (methylcarbamoyl) phenyl
1	chloro	H	2 - (methoxycarbonyl) phenyl
40	chloro	H	3 - (methoxycarbonyl) phenyl
1	chloro	H	4 - (methoxycarbonyl) phenyl
1	chloro	H	2 - (ethoxycarbonyl) phenyl
1	chloro	H	3 - (ethoxycarbonyl) phenyl
45	chloro	H	4 - (ethoxycarbonyl) phenyl
1	chloro	H	2 - (acetoxy) phenyl
1	chloro	H	3 - (acetoxy) phenyl
1	chloro	H	4 - (acetoxy) phenyl
1	chloro	H	2 - (propionyloxy) phenyl
45	chloro	H	3 - (propionyloxy) phenyl
1	chloro	H	4 - (propionyloxy) phenyl
1	chloro	H	2 - trifluoromethylphenyl
1	chloro	H	3 - trifluoromethylphenyl
50	chloro	H	4 - trifluoromethylphenyl
1	chloro	H	2 - thienyl
1	chloro	H	3 - thienyl
1	chloro	H	2 - furyl
1	chloro	H	3 - furyl
55	chloro	H	2 - pyridyl
1	chloro	H	3 - pyridyl

Table 2(continuation 6)

m	R ¹	R ³	Z
5	chloro	H	4 - pyridyl
1	nitro	methyl	phenyl
1	nitro	methyl	2 - fluorophenyl
1	nitro	methyl	3 - fluorophenyl
10	nitro	methyl	4 - fluorophenyl
1	nitro	methyl	2, 4 - difluorophenyl
1	nitro	methyl	2, 5 - difluorophenyl
1	nitro	methyl	2, 6 - difluorophenyl
15	nitro	methyl	3, 4 - difluorophenyl
1	nitro	methyl	3, 5 - difluorophenyl
1	nitro	methyl	2 - chlorophenyl
1	nitro	methyl	3 - chlorophenyl
20	nitro	methyl	4 - chlorophenyl
1	nitro	methyl	2, 4 - dichlorophenyl
1	nitro	methyl	3, 4 - dichlorophenyl
1	nitro	methyl	2 - bromophenyl
25	nitro	methyl	3 - bromophenyl
1	nitro	methyl	4 - bromophenyl
1	nitro	methyl	2 - methylphenyl
1	nitro	methyl	3 - methylphenyl
30	nitro	methyl	4 - methylphenyl
1	nitro	methyl	2 - methoxyphenyl
1	nitro	methyl	3 - methoxyphenyl
1	nitro	methyl	4 - methoxyphenyl
35	nitro	methyl	2, 3 - dimethoxyphenyl
1	nitro	methyl	2, 4 - dimethoxyphenyl
1	nitro	methyl	3, 4 - dimethoxyphenyl
1	nitro	methyl	3, 5 - dimethoxyphenyl
40	nitro	methyl	3, 4 - (methylenedioxy) phenyl
1	nitro	methyl	3, 4 - (ethylenedioxy) phenyl
1	nitro	methyl	2 - hydroxyphenyl
1	nitro	methyl	3 - hydroxyphenyl
45	nitro	methyl	4 - hydroxyphenyl
1	nitro	methyl	2 - aminophenyl
1	nitro	methyl	3 - aminophenyl
1	nitro	methyl	4 - aminophenyl
50	nitro	methyl	2 - (methylamino) phenyl
1	nitro	methyl	3 - (methylamino) phenyl
1	nitro	methyl	4 - (methylamino) phenyl
1	nitro	methyl	2 - (dimethylamino) phenyl
55	nitro	methyl	3 - (dimethylamino) phenyl
1	nitro	methyl	4 - (dimethylamino) phenyl
	nitro	methyl	2 - carboxyphenyl
	nitro	methyl	3 - carboxyphenyl
	nitro	methyl	4 - carboxyphenyl
	nitro	methyl	2 - (methylcarbamoyl) phenyl
	nitro	methyl	3 - (methylcarbamoyl) phenyl
	nitro	methyl	4 - (methylcarbamoyl) phenyl

Table 2(continuation 7)

m	R ¹	R ³	Z
5	1	nitro	methyl 2 - (methoxycarbonyl) phenyl
	1	nitro	methyl 3 - (methoxycarbonyl) phenyl
	1	nitro	methyl 4 - (methoxycarbonyl) phenyl
	1	nitro	methyl 2 - (ethoxycarbonyl) phenyl
	1	nitro	methyl 3 - (ethoxycarbonyl) phenyl
10	1	nitro	methyl 4 - (ethoxycarbonyl) phenyl
	1	nitro	methyl 2 - (acetoxy) phenyl
	1	nitro	methyl 3 - (acetoxy) phenyl
	1	nitro	methyl 4 - (acetoxy) phenyl
15	1	nitro	methyl 2 - (propionyloxy) phenyl
	1	nitro	methyl 3 - (propionyloxy) phenyl
	1	nitro	methyl 4 - (propionyloxy) phenyl
	1	nitro	methyl 2 - trifluoromethylphenyl
	1	nitro	methyl 3 - trifluoromethylphenyl
20	1	nitro	methyl 4 - trifluoromethylphenyl
	1	nitro	methyl 2 - thiienyl
	1	nitro	methyl 3 - thiienyl
	1	nitro	methyl 2 - furyl
	1	nitro	methyl 3 - furyl
25	1	nitro	methyl 2 - pyridyl
	1	nitro	methyl 3 - pyridyl
	1	nitro	methyl 4 - pyridyl
	2	nitro	methyl phenyl
30	2	nitro	methyl 2 - fluorophenyl
	2	nitro	methyl 3 - fluorophenyl
	2	nitro	methyl 4 - fluorophenyl
	2	nitro	methyl 2, 4 - difluorophenyl
	2	nitro	methyl 2, 5 - difluorophenyl
35	2	nitro	methyl 2, 6 - difluorophenyl
	2	nitro	methyl 3, 4 - difluorophenyl
	2	nitro	methyl 3, 5 - difluorophenyl
	2	nitro	methyl 2 - chlorophenyl
	2	nitro	methyl 3 - chlorophenyl
40	2	nitro	methyl 4 - chlorophenyl
	2	nitro	methyl 2, 4 - dichlorophenyl
	2	nitro	methyl 3, 4 - dichlorophenyl
	2	nitro	methyl 2 - bromophenyl
	2	nitro	methyl 3 - bromophenyl
45	2	nitro	methyl 4 - bromophenyl
	2	nitro	methyl 2 - methylphenyl
	2	nitro	methyl 3 - methylphenyl
	2	nitro	methyl 4 - methylphenyl
	2	nitro	methyl 2 - methoxyphenyl
50	2	nitro	methyl 3 - methoxyphenyl
	2	nitro	methyl 4 - methoxyphenyl
	2	nitro	methyl 2, 3 - dimethoxyphenyl
	2	nitro	methyl 2, 4 - dimethoxyphenyl
55	2	nitro	methyl 3, 4 - dimethoxyphenyl

Table 2(continuation 8)

m	R ¹	R ³	Z
5	2	nitro	methyl 3, 5 - dimethoxyphenyl
	2	nitro	methyl 3, 4 - (methylenedioxy) phenyl
	2	nitro	methyl 3, 4 - (ethylenedioxy) phenyl
	2	nitro	methyl 2 - hydroxyphenyl
10	2	nitro	methyl 3 - hydroxyphenyl
	2	nitro	methyl 4 - hydroxyphenyl
	2	nitro	methyl 2 - aminophenyl
	2	nitro	methyl 3 - aminophenyl
	2	nitro	methyl 4 - aminophenyl
15	2	nitro	methyl 2 - (methylamino) phenyl
	2	nitro	methyl 3 - (methylamino) phenyl
	2	nitro	methyl 4 - (methylamino) phenyl
	2	nitro	methyl 2 - (dimethylamino) phenyl
20	2	nitro	methyl 3 - (dimethylamino) phenyl
	2	nitro	methyl 4 - (dimethylamino) phenyl
	2	nitro	methyl 2 - carboxyphenyl
	2	nitro	methyl 3 - carboxyphenyl
	2	nitro	methyl 4 - carboxyphenyl
25	2	nitro	methyl 2 - (methylcarbamoyl) phenyl
	2	nitro	methyl 3 - (methylcarbamoyl) phenyl
	2	nitro	methyl 4 - (methylcarbamoyl) phenyl
	2	nitro	methyl 2 - (methoxycarbonyl) phenyl
	2	nitro	methyl 3 - (methoxycarbonyl) phenyl
30	2	nitro	methyl 4 - (methoxycarbonyl) phenyl
	2	nitro	methyl 2 - (ethoxycarbonyl) phenyl
	2	nitro	methyl 3 - (ethoxycarbonyl) phenyl
	2	nitro	methyl 4 - (ethoxycarbonyl) phenyl
35	2	nitro	methyl 2 - (acetoxy) phenyl
	2	nitro	methyl 3 - (acetoxy) phenyl
	2	nitro	methyl 4 - (acetoxy) phenyl
	2	nitro	methyl 2 - (propionyloxy) phenyl
	2	nitro	methyl 3 - (propionyloxy) phenyl
40	2	nitro	methyl 4 - (propionyloxy) phenyl
	2	nitro	methyl 2 - trifluoromethylphenyl
	2	nitro	methyl 3 - trifluoromethylphenyl
	2	nitro	methyl 4 - trifluoromethylphenyl
45	2	nitro	methyl 2 - thiienyl
	2	nitro	methyl 3 - thiienyl
	2	nitro	methyl 2 - furyl
	2	nitro	methyl 3 - furyl
	2	nitro	methyl 2 - pyridyl
	2	nitro	methyl 3 - pyridyl
50	2	nitro	methyl 4 - pyridyl
	1	nitro	ethyl phenyl
	1	nitro	ethyl 2 - fluorophenyl
	1	nitro	ethyl 3 - fluorophenyl
	1	nitro	ethyl 4 - fluorophenyl
55	1	nitro	ethyl 2, 4 - difluorophenyl

Table 2(continuation 9)

m	R ¹	R ³	Z	
5	1	nitro	ethyl	2, 5 - difluorophenyl
	1	nitro	ethyl	2, 6 - difluorophenyl
	1	nitro	ethyl	3, 4 - difluorophenyl
	1	nitro	ethyl	3, 5 - difluorophenyl
10	1	nitro	ethyl	2 - chlorophenyl
	1	nitro	ethyl	3 - chlorophenyl
	1	nitro	ethyl	4 - chlorophenyl
	1	nitro	ethyl	2, 4 - dichlorophenyl
	1	nitro	ethyl	3, 4 - dichlorophenyl
15	1	nitro	ethyl	2 - bromophenyl
	1	nitro	ethyl	3 - bromophenyl
	1	nitro	ethyl	4 - bromophenyl
	1	nitro	ethyl	2 - methylphenyl
	1	nitro	ethyl	3 - methylphenyl
20	1	nitro	ethyl	4 - methylphenyl
	1	nitro	ethyl	2 - methoxyphenyl
	1	nitro	ethyl	3 - methoxyphenyl
	1	nitro	ethyl	4 - methoxyphenyl
25	1	nitro	ethyl	2, 3 - dimethoxyphenyl
	1	nitro	ethyl	2, 4 - dimethoxyphenyl
	1	nitro	ethyl	3, 4 - dimethoxyphenyl
	1	nitro	ethyl	3, 5 - dimethoxyphenyl
	1	nitro	ethyl	3, 4 - (methylenedioxy) phenyl
30	1	nitro	ethyl	3, 4 - (ethylenedioxy) phenyl
	1	nitro	ethyl	2 - hydroxyphenyl
	1	nitro	ethyl	3 - hydroxyphenyl
	1	nitro	ethyl	4 - hydroxyphenyl
35	1	nitro	ethyl	2 - (methylamino) phenyl
	1	nitro	ethyl	3 - (methylamino) phenyl
	1	nitro	ethyl	4 - (methylamino) phenyl
	1	nitro	ethyl	2 - (dimethylamino) phenyl
40	1	nitro	ethyl	3 - (dimethylamino) phenyl
	1	nitro	ethyl	4 - (dimethylamino) phenyl
	1	nitro	ethyl	2 - carboxyphenyl
	1	nitro	ethyl	3 - carboxyphenyl
45	1	nitro	ethyl	4 - carboxyphenyl
	1	nitro	ethyl	2 - (methylcarbamoyl) phenyl
	1	nitro	ethyl	3 - (methylcarbamoyl) phenyl
	1	nitro	ethyl	4 - (methylcarbamoyl) phenyl
50	1	nitro	ethyl	2 - (methoxycarbonyl) phenyl
	1	nitro	ethyl	3 - (methoxycarbonyl) phenyl
	1	nitro	ethyl	4 - (methoxycarbonyl) phenyl
	1	nitro	ethyl	2 - (ethoxycarbonyl) phenyl
55	1	nitro	ethyl	3 - (ethoxycarbonyl) phenyl
	1	nitro	ethyl	4 - (ethoxycarbonyl) phenyl

Table 2(continuation 10)

m	R ¹	R ³	Z
5	1	nitro	ethyl
	1	nitro	ethyl
	1	nitro	ethyl
	1	nitro	ethyl
10	1	nitro	ethyl
	1	nitro	ethyl
	1	nitro	ethyl
	1	nitro	ethyl
15	1	nitro	ethyl
	1	nitro	ethyl
	1	nitro	ethyl
	1	nitro	ethyl
20	1	nitro	ethyl
	1	nitro	ethyl
	1	nitro	ethyl
	1	nitro	ethyl
25	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
30	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
35	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
40	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
45	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
50	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
55	2	nitro	ethyl

Table 2(continuation 11)

m	R ¹	R ³	Z	
5	2	nitro	ethyl	2 - aminophenyl
	2	nitro	ethyl	3 - aminophenyl
	2	nitro	ethyl	4 - aminophenyl
10	2	nitro	ethyl	2 - (methylamino) phenyl
	2	nitro	ethyl	3 - (methylamino) phenyl
	2	nitro	ethyl	4 - (methylamino) phenyl
	2	nitro	ethyl	2 - (dimethylamino) phenyl
15	2	nitro	ethyl	3 - (dimethylamino) phenyl
	2	nitro	ethyl	4 - (dimethylamino) phenyl
20	2	nitro	ethyl	2 - carboxyphenyl
	2	nitro	ethyl	3 - carboxyphenyl
	2	nitro	ethyl	4 - carboxyphenyl
	2	nitro	ethyl	2 - (methylcarbamoyl) phenyl
25	2	nitro	ethyl	3 - (methylcarbamoyl) phenyl
	2	nitro	ethyl	4 - (methylcarbamoyl) phenyl
	2	nitro	ethyl	2 - (methoxycarbonyl) phenyl
	2	nitro	ethyl	3 - (methoxycarbonyl) phenyl
30	2	nitro	ethyl	4 - (methoxycarbonyl) phenyl
	2	nitro	ethyl	2 - (ethoxycarbonyl) phenyl
	2	nitro	ethyl	3 - (ethoxycarbonyl) phenyl
	2	nitro	ethyl	4 - (ethoxycarbonyl) phenyl
35	2	nitro	ethyl	2 - (acetoxy) phenyl
	2	nitro	ethyl	3 - (acetoxy) phenyl
	2	nitro	ethyl	4 - (acetoxy) phenyl
	2	nitro	ethyl	2 - (propionyloxy) phenyl
40	2	nitro	ethyl	3 - (propionyloxy) phenyl
	2	nitro	ethyl	4 - (propionyloxy) phenyl
	2	nitro	ethyl	2 - trifluoromethylphenyl
	2	nitro	ethyl	3 - trifluoromethylphenyl
45	2	nitro	ethyl	4 - trifluoromethylphenyl
	2	nitro	ethyl	2 - thieryl
	2	nitro	ethyl	3 - thieryl
	2	nitro	ethyl	2 - furyl
50	2	nitro	ethyl	3 - furyl
	2	nitro	ethyl	2 - pyridyl
	2	nitro	ethyl	3 - pyridyl
	2	nitro	ethyl	4 - pyridyl
55	1	nitro	propyl	phenyl
	1	nitro	propyl	2 - fluorophenyl
	1	nitro	propyl	3 - fluorophenyl
	1	nitro	propyl	4 - fluorophenyl
	1	nitro	propyl	2, 4 - difluorophenyl
	1	nitro	propyl	2, 5 - difluorophenyl
	1	nitro	propyl	2, 6 - difluorophenyl
	1	nitro	propyl	3, 4 - difluorophenyl
	1	nitro	propyl	3, 5 - difluorophenyl
	1	nitro	propyl	2 - chlorophenyl
	1	nitro	propyl	3 - chlorophenyl

Table 2(continuation 12)

m	R ¹	R ³	Z
5	1	nitro	propyl
	1	nitro	propyl
10	1	nitro	propyl
	1	nitro	propyl
15	1	nitro	propyl
	1	nitro	propyl
20	1	nitro	propyl
	1	nitro	propyl
25	1	nitro	propyl
	1	nitro	propyl
30	1	nitro	propyl
	1	nitro	propyl
35	1	nitro	propyl
	1	nitro	propyl
40	1	nitro	propyl
	1	nitro	propyl
45	1	nitro	propyl
	1	nitro	propyl
50	1	nitro	propyl
	1	nitro	propyl
55	1	nitro	propyl

Table 2(continuation 13)

m	R ¹	R ³	Z
5	nitro	propyl	2 - trifluoromethylphenyl
	nitro	propyl	3 - trifluoromethylphenyl
	nitro	propyl	4 - trifluoromethylphenyl
	nitro	propyl	2 - thiienyl
10	nitro	propyl	3 - thiienyl
	nitro	propyl	2 - furyl
	nitro	propyl	3 - furyl
	nitro	propyl	2 - pyridyl
	nitro	propyl	3 - pyridyl
15	nitro	propyl	4 - pyridyl
	nitro	propyl	phenyl
	nitro	propyl	2 - fluorophenyl
	nitro	propyl	3 - fluorophenyl
	nitro	propyl	4 - fluorophenyl
20	nitro	propyl	2, 4 - difluorophenyl
	nitro	propyl	2, 5 - difluorophenyl
	nitro	propyl	2, 6 - difluorophenyl
	nitro	propyl	3, 4 - difluorophenyl
	nitro	propyl	3, 5 - difluorophenyl
25	nitro	propyl	2 - chlorophenyl
	nitro	propyl	3 - chlorophenyl
	nitro	propyl	4 - chlorophenyl
	nitro	propyl	2, 4 - dichlorophenyl
30	nitro	propyl	3, 4 - dichlorophenyl
	nitro	propyl	2 - bromophenyl
	nitro	propyl	3 - bromophenyl
	nitro	propyl	4 - bromophenyl
35	nitro	propyl	2 - methylphenyl
	nitro	propyl	3 - methylphenyl
	nitro	propyl	4 - methylphenyl
	nitro	propyl	2 - methoxyphenyl
	nitro	propyl	3 - methoxyphenyl
	nitro	propyl	4 - methoxyphenyl
40	nitro	propyl	2, 3 - dimethoxyphenyl
	nitro	propyl	2, 4 - dimethoxyphenyl
	nitro	propyl	3, 4 - dimethoxyphenyl
	nitro	propyl	3, 5 - dimethoxyphenyl
	nitro	propyl	3, 4 - (methylenedioxy) phenyl
45	nitro	propyl	3, 4 - (ethylenedioxy) phenyl
	nitro	propyl	2 - hydroxyphenyl
	nitro	propyl	3 - hydroxyphenyl
	nitro	propyl	4 - hydroxyphenyl
50	nitro	propyl	2 - aminophenyl
	nitro	propyl	3 - aminophenyl
	nitro	propyl	4 - aminophenyl
	nitro	propyl	2 - (methylamino) phenyl
	nitro	propyl	3 - (methylamino) phenyl
55	nitro	propyl	4 - (methylamino) phenyl

Table 2(continuation 14)

m	R ¹	R ³	Z
2	nitro	propyl	2 - (dimethylamino) phenyl
2	nitro	propyl	3 - (dimethylamino) phenyl
2	nitro	propyl	4 - (dimethylamino) phenyl
2	nitro	propyl	2 - carboxyphenyl
2	nitro	propyl	3 - carboxyphenyl
2	nitro	propyl	4 - carboxyphenyl
2	nitro	propyl	2 - (methylcarbamoyl) phenyl
2	nitro	propyl	3 - (methylcarbamoyl) phenyl
2	nitro	propyl	4 - (methylcarbamoyl) phenyl
2	nitro	propyl	2 - (methoxycarbonyl) phenyl
2	nitro	propyl	3 - (methoxycarbonyl) phenyl
2	nitro	propyl	4 - (methoxycarbonyl) phenyl
2	nitro	propyl	2 - (ethoxycarbonyl) phenyl
2	nitro	propyl	3 - (ethoxycarbonyl) phenyl
2	nitro	propyl	4 - (ethoxycarbonyl) phenyl
2	nitro	propyl	2 - (acetoxy) phenyl
2	nitro	propyl	3 - (acetoxy) phenyl
2	nitro	propyl	4 - (acetoxy) phenyl
2	nitro	propyl	2 - (propionyloxy) phenyl
2	nitro	propyl	3 - (propionyloxy) phenyl
2	nitro	propyl	4 - (propionyloxy) phenyl
2	nitro	propyl	2 - trifluoromethylphenyl
2	nitro	propyl	3 - trifluoromethylphenyl
2	nitro	propyl	4 - trifluoromethylphenyl
2	nitro	propyl	2 - thiaryl
2	nitro	propyl	3 - thiaryl
2	nitro	propyl	2 - furyl
2	nitro	propyl	3 - furyl
2	nitro	propyl	2 - pyridyl
2	nitro	propyl	3 - pyridyl
2	nitro	propyl	4 - pyridyl
1	nitro	isopropyl	phenyl
1	nitro	isopropyl	2 - fluorophenyl
1	nitro	isopropyl	3 - fluorophenyl
1	nitro	isopropyl	4 - fluorophenyl
1	nitro	isopropyl	2, 4 - difluorophenyl
1	nitro	isopropyl	2, 5 - difluorophenyl
1	nitro	isopropyl	2, 6 - difluorophenyl
1	nitro	isopropyl	3, 4 - difluorophenyl
1	nitro	isopropyl	3, 5 - difluorophenyl
1	nitro	isopropyl	2 - chlorophenyl
1	nitro	isopropyl	3 - chlorophenyl
1	nitro	isopropyl	4 - chlorophenyl
1	nitro	isopropyl	2, 4 - dichlorophenyl
1	nitro	isopropyl	3, 4 - dichlorophenyl
1	nitro	isopropyl	2 - bromophenyl
1	nitro	isopropyl	3 - bromophenyl
1	nitro	isopropyl	4 - bromophenyl

Table 2(continuation 15)

m	R ¹	R ³	Z	
5	1	nitro	isopropyl	2 - methylphenyl
	1	nitro	isopropyl	3 - methylphenyl
	1	nitro	isopropyl	4 - methylphenyl
	1	nitro	isopropyl	2 - methoxyphenyl
10	1	nitro	isopropyl	3 - methoxyphenyl
	1	nitro	isopropyl	4 - methoxyphenyl
	1	nitro	isopropyl	2, 3 - dimethoxyphenyl
	1	nitro	isopropyl	2, 4 - dimethoxyphenyl
	1	nitro	isopropyl	3, 4 - dimethoxyphenyl
15	1	nitro	isopropyl	3, 5 - dimethoxyphenyl
	1	nitro	isopropyl	3, 4 - (methylenedioxy) phenyl
	1	nitro	isopropyl	3, 4 - (ethylenedioxy) phenyl
	1	nitro	isopropyl	2 - hydroxyphenyl
20	1	nitro	isopropyl	3 - hydroxyphenyl
	1	nitro	isopropyl	4 - hydroxyphenyl
	1	nitro	isopropyl	2 - aminophenyl
	1	nitro	isopropyl	3 - aminophenyl
	1	nitro	isopropyl	4 - aminophenyl
25	1	nitro	isopropyl	2 - (methylamino) phenyl
	1	nitro	isopropyl	3 - (methylamino) phenyl
	1	nitro	isopropyl	4 - (methylamino) phenyl
	1	nitro	isopropyl	2 - (dimethylamino) phenyl
	1	nitro	isopropyl	3 - (dimethylamino) phenyl
30	1	nitro	isopropyl	4 - (dimethylamino) phenyl
	1	nitro	isopropyl	2 - carboxyphenyl
	1	nitro	isopropyl	3 - carboxyphenyl
	1	nitro	isopropyl	4 - carboxyphenyl
	1	nitro	isopropyl	2 - (methylcarbamoyl) phenyl
35	1	nitro	isopropyl	3 - (methylcarbamoyl) phenyl
	1	nitro	isopropyl	4 - (methylcarbamoyl) phenyl
	1	nitro	isopropyl	2 - (methoxycarbonyl) phenyl
	1	nitro	isopropyl	3 - (methoxycarbonyl) phenyl
	1	nitro	isopropyl	4 - (methoxycarbonyl) phenyl
40	1	nitro	isopropyl	2 - (ethoxycarbonyl) phenyl
	1	nitro	isopropyl	3 - (ethoxycarbonyl) phenyl
	1	nitro	isopropyl	4 - (ethoxycarbonyl) phenyl
	1	nitro	isopropyl	2 - (acetoxy) phenyl
45	1	nitro	isopropyl	3 - (acetoxy) phenyl
	1	nitro	isopropyl	4 - (acetoxy) phenyl
	1	nitro	isopropyl	2 - (propionyloxy) phenyl
	1	nitro	isopropyl	3 - (propionyloxy) phenyl
	1	nitro	isopropyl	4 - (propionyloxy) phenyl
50	1	nitro	isopropyl	2 - trifluoromethylphenyl
	1	nitro	isopropyl	3 - trifluoromethylphenyl
	1	nitro	isopropyl	4 - trifluoromethylphenyl
	1	nitro	isopropyl	2 - thiényl
	1	nitro	isopropyl	3 - thiényl
55	1	nitro	isopropyl	2 - furyl

Table 2(continuation 16)

m	R ¹	R ³	Z
5	1	nitro	isopropyl 3 - furyl
	1	nitro	isopropyl 2 - pyridyl
	1	nitro	isopropyl 3 - pyridyl
	1	nitro	isopropyl 4 - pyridyl
10	2	nitro	isopropyl phenyl
	2	nitro	isopropyl 2 - fluorophenyl
	2	nitro	isopropyl 3 - fluorophenyl
	2	nitro	isopropyl 4 - fluorophenyl
	2	nitro	isopropyl 2, 4 - difluorophenyl
15	2	nitro	isopropyl 2, 5 - difluorophenyl
	2	nitro	isopropyl 2, 6 - difluorophenyl
	2	nitro	isopropyl 3, 4 - difluorophenyl
	2	nitro	isopropyl 3, 5 - difluorophenyl
20	2	nitro	isopropyl 2 - chlorophenyl
	2	nitro	isopropyl 3 - chlorophenyl
	2	nitro	isopropyl 4 - chlorophenyl
	2	nitro	isopropyl 2, 4 - dichlorophenyl
	2	nitro	isopropyl 3, 4 - dichlorophenyl
25	2	nitro	isopropyl 2 - bromophenyl
	2	nitro	isopropyl 3 - bromophenyl
	2	nitro	isopropyl 4 - bromophenyl
	2	nitro	isopropyl 2 - methoxyphenyl
30	2	nitro	isopropyl 3 - methoxyphenyl
	2	nitro	isopropyl 4 - methoxyphenyl
	2	nitro	isopropyl 2, 3 - dimethoxyphenyl
35	2	nitro	isopropyl 2, 4 - dimethoxyphenyl
	2	nitro	isopropyl 3, 4 - dimethoxyphenyl
	2	nitro	isopropyl 3, 5 - dimethoxyphenyl
	2	nitro	isopropyl 3, 4 - (methylenedioxy) phenyl
	2	nitro	isopropyl 3, 4 - (ethylenedioxy) phenyl
40	2	nitro	isopropyl 2 - hydroxyphenyl
	2	nitro	isopropyl 3 - hydroxyphenyl
	2	nitro	isopropyl 4 - hydroxyphenyl
	2	nitro	isopropyl 2 - aminophenyl
45	2	nitro	isopropyl 3 - aminophenyl
	2	nitro	isopropyl 4 - aminophenyl
	2	nitro	isopropyl 2 - (methylamino) phenyl
	2	nitro	isopropyl 3 - (methylamino) phenyl
	2	nitro	isopropyl 4 - (methylamino) phenyl
50	2	nitro	isopropyl 2 - (dimethylamino) phenyl
	2	nitro	isopropyl 3 - (dimethylamino) phenyl
	2	nitro	isopropyl 4 - (dimethylamino) phenyl
	2	nitro	isopropyl 2 - carboxyphenyl
	2	nitro	isopropyl 3 - carboxyphenyl
55	2	nitro	isopropyl 4 - carboxyphenyl

Table 2(continuation 17)

m	R ¹	R ³	Z
5	2	nitro	isopropyl 2 - (methylcarbamoyl) phenyl
	2	nitro	isopropyl 3 - (methylcarbamoyl) phenyl
	2	nitro	isopropyl 4 - (methylcarbamoyl) phenyl
	2	nitro	isopropyl 2 - (methoxycarbonyl) phenyl
10	2	nitro	isopropyl 3 - (methoxycarbonyl) phenyl
	2	nitro	isopropyl 4 - (methoxycarbonyl) phenyl
	2	nitro	isopropyl 2 - (ethoxycarbonyl) phenyl
	2	nitro	isopropyl 3 - (ethoxycarbonyl) phenyl
15	2	nitro	isopropyl 4 - (ethoxycarbonyl) phenyl
	2	nitro	isopropyl 2 - (acetoxy) phenyl
	2	nitro	isopropyl 3 - (acetoxy) phenyl
	2	nitro	isopropyl 4 - (acetoxy) phenyl
20	2	nitro	isopropyl 2 - (propionyloxy) phenyl
	2	nitro	isopropyl 3 - (propionyloxy) phenyl
	2	nitro	isopropyl 4 - (propionyloxy) phenyl
	2	nitro	isopropyl 2 - trifluoromethylphenyl
	2	nitro	isopropyl 3 - trifluoromethylphenyl
	2	nitro	isopropyl 4 - trifluoromethylphenyl
25	2	nitro	isopropyl 2 - thieryl
	2	nitro	isopropyl 3 - thieryl
	2	nitro	isopropyl 2 - furyl
	2	nitro	isopropyl 3 - furyl
	2	nitro	isopropyl 2 - pyridyl
30	2	nitro	isopropyl 3 - pyridyl
	2	nitro	isopropyl 4 - pyridyl
	1	nitro	butyl phenyl
	1	nitro	butyl 2 - fluorophenyl
35	1	nitro	butyl 3 - fluorophenyl
	1	nitro	butyl 4 - fluorophenyl
	1	nitro	butyl 2, 4 - difluorophenyl
	1	nitro	butyl 2, 5 - difluorophenyl
	1	nitro	butyl 2, 6 - difluorophenyl
40	1	nitro	butyl 3, 4 - difluorophenyl
	1	nitro	butyl 3, 5 - difluorophenyl
	1	nitro	butyl 2 - chlorophenyl
	1	nitro	butyl 3 - chlorophenyl
	1	nitro	butyl 4 - chlorophenyl
45	1	nitro	butyl 2, 4 - dichlorophenyl
	1	nitro	butyl 3, 4 - dichlorophenyl
	1	nitro	butyl 2 - bromophenyl
	1	nitro	butyl 3 - bromophenyl
	1	nitro	butyl 4 - bromophenyl
50	1	nitro	butyl 2 - methylphenyl
	1	nitro	butyl 3 - methylphenyl
	1	nitro	butyl 4 - methylphenyl
	1	nitro	butyl 2 - methoxyphenyl
	1	nitro	butyl 3 - methoxyphenyl
55	1	nitro	butyl 4 - methoxyphenyl

Table 2(continuation 18)

m	R ¹	R ³	Z
5	nitro	butyl	2, 3 - dimethoxyphenyl
10	nitro	butyl	2, 4 - dimethoxyphenyl
15	nitro	butyl	3, 4 - dimethoxyphenyl
20	nitro	butyl	3, 5 - dimethoxyphenyl
25	nitro	butyl	3, 4 - (methylenedioxy) phenyl
30	nitro	butyl	3, 4 - (ethylenedioxy) phenyl
35	nitro	butyl	2 - hydroxyphenyl
40	nitro	butyl	3 - hydroxyphenyl
45	nitro	butyl	4 - hydroxyphenyl
50	nitro	butyl	2 - aminophenyl
55	nitro	butyl	3 - aminophenyl
	nitro	butyl	4 - aminophenyl
	nitro	butyl	2 - (methylamino) phenyl
	nitro	butyl	3 - (methylamino) phenyl
	nitro	butyl	4 - (methylamino) phenyl
	nitro	butyl	2 - (dimethylamino) phenyl
	nitro	butyl	3 - (dimethylamino) phenyl
	nitro	butyl	4 - (dimethylamino) phenyl
	nitro	butyl	2 - carboxyphenyl
	nitro	butyl	3 - carboxyphenyl
	nitro	butyl	4 - carboxyphenyl
	nitro	butyl	2 - (methylcarbamoyl) phenyl
	nitro	butyl	3 - (methylcarbamoyl) phenyl
	nitro	butyl	4 - (methylcarbamoyl) phenyl
	nitro	butyl	2 - (methoxycarbonyl) phenyl
	nitro	butyl	3 - (methoxycarbonyl) phenyl
	nitro	butyl	4 - (methoxycarbonyl) phenyl
	nitro	butyl	2 - (ethoxycarbonyl) phenyl
	nitro	butyl	3 - (ethoxycarbonyl) phenyl
	nitro	butyl	4 - (ethoxycarbonyl) phenyl
	nitro	butyl	2 - (acetoxy) phenyl
	nitro	butyl	3 - (acetoxy) phenyl
	nitro	butyl	4 - (acetoxy) phenyl
	nitro	butyl	2 - (propionyloxy) phenyl
	nitro	butyl	3 - (propionyloxy) phenyl
	nitro	butyl	4 - (propionyloxy) phenyl
	nitro	butyl	2 - trifluoromethylphenyl
	nitro	butyl	3 - trifluoromethylphenyl
	nitro	butyl	4 - trifluoromethylphenyl
	nitro	butyl	2 - thienyl
	nitro	butyl	3 - thienyl
	nitro	butyl	2 - furyl
	nitro	butyl	3 - furyl
	nitro	butyl	2 - pyridyl
	nitro	butyl	3 - pyridyl
	nitro	butyl	4 - pyridyl
	nitro	butyl	phenyl
	nitro	butyl	2 - fluorophenyl

Table 2(continuation 19)

m	R ¹	R ³	Z	
5	2	nitro	butyl	3 - fluorophenyl
	2	nitro	butyl	4 - fluorophenyl
	2	nitro	butyl	2, 4 - difluorophenyl
	2	nitro	butyl	2, 5 - difluorophenyl
	2	nitro	butyl	2, 6 - difluorophenyl
10	2	nitro	butyl	3, 4 - difluorophenyl
	2	nitro	butyl	3, 5 - difluorophenyl
	2	nitro	butyl	2 - chlorophenyl
	2	nitro	butyl	3 - chlorophenyl
15	2	nitro	butyl	4 - chlorophenyl
	2	nitro	butyl	2, 4 - dichlorophenyl
	2	nitro	butyl	3, 4 - dichlorophenyl
	2	nitro	butyl	2 - bromophenyl
	2	nitro	butyl	3 - bromophenyl
20	2	nitro	butyl	4 - bromophenyl
	2	nitro	butyl	2 - methylphenyl
	2	nitro	butyl	3 - methylphenyl
	2	nitro	butyl	4 - methylphenyl
25	2	nitro	butyl	2 - methoxyphenyl
	2	nitro	butyl	3 - methoxyphenyl
	2	nitro	butyl	4 - methoxyphenyl
	2	nitro	butyl	2, 3 - dimethoxyphenyl
	2	nitro	butyl	2, 4 - dimethoxyphenyl
30	2	nitro	butyl	3, 4 - dimethoxyphenyl
	2	nitro	butyl	3, 5 - dimethoxyphenyl
	2	nitro	butyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	butyl	3, 4 - (ethylenedioxy) phenyl
35	2	nitro	butyl	2 - hydroxyphenyl
	2	nitro	butyl	3 - hydroxyphenyl
	2	nitro	butyl	4 - hydroxyphenyl
	2	nitro	butyl	2 - aminophenyl
	2	nitro	butyl	3 - aminophenyl
	2	nitro	butyl	4 - aminophenyl
40	2	nitro	butyl	2 - (methylamino) phenyl
	2	nitro	butyl	3 - (methylamino) phenyl
	2	nitro	butyl	4 - (methylamino) phenyl
	2	nitro	butyl	2 - (dimethylamino) phenyl
45	2	nitro	butyl	3 - (dimethylamino) phenyl
	2	nitro	butyl	4 - (dimethylamino) phenyl
	2	nitro	butyl	2 - carboxyphenyl
	2	nitro	butyl	3 - carboxyphenyl
	2	nitro	butyl	4 - carboxyphenyl
50	2	nitro	butyl	2 - (methylcarbamoyl) phenyl
	2	nitro	butyl	3 - (methylcarbamoyl) phenyl
	2	nitro	butyl	4 - (methylcarbamoyl) phenyl
	2	nitro	butyl	2 - (methoxycarbonyl) phenyl
	2	nitro	butyl	3 - (methoxycarbonyl) phenyl
55	2	nitro	butyl	4 - (methoxycarbonyl) phenyl

Table 2(continuation 20)

m	R ¹	R ³	Z
5	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
10	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
15	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
20	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
25	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
30	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
35	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
40	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
45	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
50	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
55	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl

Table 2(continuation 21)

m	R ¹	R ³	Z	
5	1	nitro	hydroxyethyl	2 - hydroxyphenyl
	1	nitro	hydroxyethyl	3 - hydroxyphenyl
	1	nitro	hydroxyethyl	4 - hydroxyphenyl
	1	nitro	hydroxyethyl	2 - aminophenyl
10	1	nitro	hydroxyethyl	3 - aminophenyl
	1	nitro	hydroxyethyl	4 - aminophenyl
	1	nitro	hydroxyethyl	2 - (methylamino) phenyl
	1	nitro	hydroxyethyl	3 - (methylamino) phenyl
15	1	nitro	hydroxyethyl	4 - (methylamino) phenyl
	1	nitro	hydroxyethyl	2 - (dimethylamino) phenyl
	1	nitro	hydroxyethyl	3 - (dimethylamino) phenyl
	1	nitro	hydroxyethyl	4 - (dimethylamino) phenyl
20	1	nitro	hydroxyethyl	2 - carboxyphenyl
	1	nitro	hydroxyethyl	3 - carboxyphenyl
	1	nitro	hydroxyethyl	4 - carboxyphenyl
	1	nitro	hydroxyethyl	2 - (methylcarbamoyl) phenyl
25	1	nitro	hydroxyethyl	3 - (methylcarbamoyl) phenyl
	1	nitro	hydroxyethyl	4 - (methylcarbamoyl) phenyl
	1	nitro	hydroxyethyl	2 - (methoxycarbonyl) phenyl
	1	nitro	hydroxyethyl	3 - (methoxycarbonyl) phenyl
30	1	nitro	hydroxyethyl	4 - (methoxycarbonyl) phenyl
	1	nitro	hydroxyethyl	2 - (ethoxycarbonyl) phenyl
	1	nitro	hydroxyethyl	3 - (ethoxycarbonyl) phenyl
	1	nitro	hydroxyethyl	4 - (ethoxycarbonyl) phenyl
35	1	nitro	hydroxyethyl	2 - (acetoxy) phenyl
	1	nitro	hydroxyethyl	3 - (acetoxy) phenyl
	1	nitro	hydroxyethyl	4 - (acetoxy) phenyl
	1	nitro	hydroxyethyl	2 - (propionyloxy) phenyl
40	1	nitro	hydroxyethyl	3 - (propionyloxy) phenyl
	1	nitro	hydroxyethyl	4 - (propionyloxy) phenyl
	1	nitro	hydroxyethyl	2 - trifluoromethylphenyl
	1	nitro	hydroxyethyl	3 - trifluoromethylphenyl
45	1	nitro	hydroxyethyl	4 - trifluoromethylphenyl
	1	nitro	hydroxyethyl	2 - thiencyl
	1	nitro	hydroxyethyl	3 - thiencyl
	1	nitro	hydroxyethyl	2 - furyl
50	1	nitro	hydroxyethyl	3 - furyl
	1	nitro	hydroxyethyl	2 - pyridyl
	1	nitro	hydroxyethyl	3 - pyridyl
	1	nitro	hydroxyethyl	4 - pyridyl
55	2	nitro	hydroxyethyl	phenyl
	2	nitro	hydroxyethyl	2 - fluorophenyl
	2	nitro	hydroxyethyl	3 - fluorophenyl
	2	nitro	hydroxyethyl	4 - fluorophenyl
	2	nitro	hydroxyethyl	2, 4 - difluorophenyl
	2	nitro	hydroxyethyl	2, 5 - difluorophenyl
	2	nitro	hydroxyethyl	2, 6 - difluorophenyl
	2	nitro	hydroxyethyl	3, 4 - difluorophenyl

Table 2(continuation 22)

m	R ¹	R ³	Z	
5	2	nitro	hydroxyethyl	3, 5 - difluorophenyl
	2	nitro	hydroxyethyl	2 - chlorophenyl
	2	nitro	hydroxyethyl	3 - chlorophenyl
	2	nitro	hydroxyethyl	4 - chlorophenyl
10	2	nitro	hydroxyethyl	2, 4 - dichlorophenyl
	2	nitro	hydroxyethyl	3, 4 - dichlorophenyl
	2	nitro	hydroxyethyl	2 - bromophenyl
	2	nitro	hydroxyethyl	3 - bromophenyl
	2	nitro	hydroxyethyl	4 - bromophenyl
15	2	nitro	hydroxyethyl	2 - methylphenyl
	2	nitro	hydroxyethyl	3 - methylphenyl
	2	nitro	hydroxyethyl	4 - methylphenyl
	2	nitro	hydroxyethyl	2 - methoxyphenyl
	2	nitro	hydroxyethyl	3 - methoxyphenyl
20	2	nitro	hydroxyethyl	4 - methoxyphenyl
	2	nitro	hydroxyethyl	2, 3 - dimethoxyphenyl
	2	nitro	hydroxyethyl	2, 4 - dimethoxyphenyl
	2	nitro	hydroxyethyl	3, 4 - dimethoxyphenyl
	2	nitro	hydroxyethyl	3, 5 - dimethoxyphenyl
25	2	nitro	hydroxyethyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	hydroxyethyl	3, 4 - (ethylenedioxy) phenyl
	2	nitro	hydroxyethyl	2 - hydroxyphenyl
	2	nitro	hydroxyethyl	3 - hydroxyphenyl
30	2	nitro	hydroxyethyl	4 - hydroxyphenyl
	2	nitro	hydroxyethyl	2 - aminophenyl
	2	nitro	hydroxyethyl	3 - aminophenyl
	2	nitro	hydroxyethyl	4 - aminophenyl
35	2	nitro	hydroxyethyl	2 - (methylamino) phenyl
	2	nitro	hydroxyethyl	3 - (methylamino) phenyl
	2	nitro	hydroxyethyl	4 - (methylamino) phenyl
	2	nitro	hydroxyethyl	2 - (dimethylamino) phenyl
	2	nitro	hydroxyethyl	3 - (dimethylamino) phenyl
40	2	nitro	hydroxyethyl	4 - (dimethylamino) phenyl
	2	nitro	hydroxyethyl	2 - carboxyphenyl
	2	nitro	hydroxyethyl	3 - carboxyphenyl
	2	nitro	hydroxyethyl	4 - carboxyphenyl
	2	nitro	hydroxyethyl	2 - (methylcarbamoyl) phenyl
45	2	nitro	hydroxyethyl	3 - (methylcarbamoyl) phenyl
	2	nitro	hydroxyethyl	4 - (methylcarbamoyl) phenyl
	2	nitro	hydroxyethyl	2 - (methoxycarbonyl) phenyl
	2	nitro	hydroxyethyl	3 - (methoxycarbonyl) phenyl
	2	nitro	hydroxyethyl	4 - (methoxycarbonyl) phenyl
50	2	nitro	hydroxyethyl	2 - (ethoxycarbonyl) phenyl
	2	nitro	hydroxyethyl	3 - (ethoxycarbonyl) phenyl
	2	nitro	hydroxyethyl	4 - (ethoxycarbonyl) phenyl
	2	nitro	hydroxyethyl	2 - (acetoxy) phenyl
55	2	nitro	hydroxyethyl	3 - (acetoxy) phenyl
	2	nitro	hydroxyethyl	4 - (acetoxy) phenyl

Table 2(continuation 23)

m	R ¹	R ³	Z	
5	2	nitro	hydroxyethyl	2 - (propionyloxy) phenyl
	2	nitro	hydroxyethyl	3 - (propionyloxy) phenyl
	2	nitro	hydroxyethyl	4 - (propionyloxy) phenyl
	2	nitro	hydroxyethyl	2 - trifluoromethylphenyl
	2	nitro	hydroxyethyl	3 - trifluoromethylphenyl
10	2	nitro	hydroxyethyl	4 - trifluoromethylphenyl
	2	nitro	hydroxyethyl	2 - thiaryl
	2	nitro	hydroxyethyl	3 - thiaryl
	2	nitro	hydroxyethyl	2 - furyl
	2	nitro	hydroxyethyl	3 - furyl
15	2	nitro	hydroxyethyl	2 - pyridyl
	2	nitro	hydroxyethyl	3 - pyridyl
	2	nitro	hydroxyethyl	4 - pyridyl
	1	nitro	methoxyethyl	phenyl
20	1	nitro	methoxyethyl	2 - fluorophenyl
	1	nitro	methoxyethyl	3 - fluorophenyl
	1	nitro	methoxyethyl	4 - fluorophenyl
	1	nitro	methoxyethyl	2, 4 - difluorophenyl
	1	nitro	methoxyethyl	2, 5 - difluorophenyl
25	1	nitro	methoxyethyl	2, 6 - difluorophenyl
	1	nitro	methoxyethyl	3, 4 - difluorophenyl
	1	nitro	methoxyethyl	3, 5 - difluorophenyl
	1	nitro	methoxyethyl	2 - chlorophenyl
30	1	nitro	methoxyethyl	3 - chlorophenyl
	1	nitro	methoxyethyl	4 - chlorophenyl
	1	nitro	methoxyethyl	2, 4 - dichlorophenyl
	1	nitro	methoxyethyl	3, 4 - dichlorophenyl
	1	nitro	methoxyethyl	2 - bromophenyl
35	1	nitro	methoxyethyl	3 - bromophenyl
	1	nitro	methoxyethyl	4 - bromophenyl
	1	nitro	methoxyethyl	2 - methylphenyl
	1	nitro	methoxyethyl	3 - methylphenyl
	1	nitro	methoxyethyl	4 - methylphenyl
40	1	nitro	methoxyethyl	2 - methoxyphenyl
	1	nitro	methoxyethyl	3 - methoxyphenyl
	1	nitro	methoxyethyl	4 - methoxyphenyl
	1	nitro	methoxyethyl	2, 3 - dimethoxyphenyl
	1	nitro	methoxyethyl	2, 4 - dimethoxyphenyl
45	1	nitro	methoxyethyl	3, 4 - dimethoxyphenyl
	1	nitro	methoxyethyl	3, 5 - dimethoxyphenyl
	1	nitro	methoxyethyl	3, 4 - (methylenedioxy) phenyl
	1	nitro	methoxyethyl	3, 4 - (ethylenedioxy) phenyl
50	1	nitro	methoxyethyl	2 - hydroxyphenyl
	1	nitro	methoxyethyl	3 - hydroxyphenyl
	1	nitro	methoxyethyl	4 - hydroxyphenyl
	1	nitro	methoxyethyl	2 - aminophenyl
	1	nitro	methoxyethyl	3 - aminophenyl
55	1	nitro	methoxyethyl	4 - aminophenyl

Table 2(continuation 24)

m	R ¹	R ³	Z
5	1	nitro	methoxyethyl
	1	nitro	2 - (methylamino) phenyl
	1	nitro	3 - (methylamino) phenyl
	1	nitro	4 - (methylamino) phenyl
10	1	nitro	2 - (dimethylamino) phenyl
	1	nitro	3 - (dimethylamino) phenyl
	1	nitro	4 - (dimethylamino) phenyl
	1	nitro	methoxyethyl
	1	nitro	2 - carboxyphenyl
	1	nitro	3 - carboxyphenyl
	1	nitro	4 - carboxyphenyl
15	1	nitro	methoxyethyl
	1	nitro	2 - (methylcarbamoyl) phenyl
	1	nitro	3 - (methylcarbamoyl) phenyl
	1	nitro	4 - (methylcarbamoyl) phenyl
	1	nitro	methoxyethyl
	1	nitro	2 - (methoxycarbonyl) phenyl
	1	nitro	3 - (methoxycarbonyl) phenyl
20	1	nitro	4 - (methoxycarbonyl) phenyl
	1	nitro	methoxyethyl
	1	nitro	2 - (ethoxycarbonyl) phenyl
	1	nitro	3 - (ethoxycarbonyl) phenyl
	1	nitro	4 - (ethoxycarbonyl) phenyl
25	1	nitro	methoxyethyl
	1	nitro	2 - (acetoxy) phenyl
	1	nitro	3 - (acetoxy) phenyl
	1	nitro	4 - (acetoxy) phenyl
	1	nitro	methoxyethyl
	1	nitro	2 - (propionyloxy) phenyl
	1	nitro	3 - (propionyloxy) phenyl
30	1	nitro	4 - (propionyloxy) phenyl
	1	nitro	methoxyethyl
	1	nitro	2 - trifluoromethylphenyl
	1	nitro	3 - trifluoromethylphenyl
	1	nitro	4 - trifluoromethylphenyl
35	1	nitro	methoxyethyl
	1	nitro	2 - thiienyl
	1	nitro	3 - thiienyl
	1	nitro	2 - furyl
	1	nitro	3 - furyl
40	1	nitro	methoxyethyl
	1	nitro	2 - pyridyl
	1	nitro	3 - pyridyl
	1	nitro	4 - pyridyl
	2	nitro	methoxyethyl
	2	nitro	phenyl
	2	nitro	2 - fluorophenyl
	2	nitro	3 - fluorophenyl
45	2	nitro	4 - fluorophenyl
	2	nitro	methoxyethyl
	2	nitro	2, 4 - difluorophenyl
	2	nitro	2, 5 - difluorophenyl
	2	nitro	2, 6 - difluorophenyl
	2	nitro	3, 4 - difluorophenyl
50	2	nitro	3, 5 - difluorophenyl
	2	nitro	methoxyethyl
	2	nitro	2 - chlorophenyl
	2	nitro	3 - chlorophenyl
	2	nitro	4 - chlorophenyl
55	2	nitro	2, 4 - dichlorophenyl
	2	nitro	methoxyethyl
	2	nitro	3, 4 - dichlorophenyl

Table 2(continuation 25)

m	R ¹	R ³	Z	
5	2	nitro	methoxyethyl	2 - bromophenyl
	2	nitro	methoxyethyl	3 - bromophenyl
	2	nitro	methoxyethyl	4 - bromophenyl
10	2	nitro	methoxyethyl	2 - methylphenyl
	2	nitro	methoxyethyl	3 - methylphenyl
	2	nitro	methoxyethyl	4 - methylphenyl
	2	nitro	methoxyethyl	2 - methoxyphenyl
15	2	nitro	methoxyethyl	3 - methoxyphenyl
	2	nitro	methoxyethyl	4 - methoxyphenyl
	2	nitro	methoxyethyl	2, 3 - dimethoxyphenyl
20	2	nitro	methoxyethyl	2, 4 - dimethoxyphenyl
	2	nitro	methoxyethyl	3, 4 - dimethoxyphenyl
	2	nitro	methoxyethyl	3, 5 - dimethoxyphenyl
	2	nitro	methoxyethyl	3, 4 - (methylenedioxy) phenyl
25	2	nitro	methoxyethyl	3, 4 - (ethylenedioxy) phenyl
	2	nitro	methoxyethyl	2 - hydroxyphenyl
	2	nitro	methoxyethyl	3 - hydroxyphenyl
	2	nitro	methoxyethyl	4 - hydroxyphenyl
30	2	nitro	methoxyethyl	2 - aminophenyl
	2	nitro	methoxyethyl	3 - aminophenyl
	2	nitro	methoxyethyl	4 - aminophenyl
	2	nitro	methoxyethyl	2 - (methylamino) phenyl
35	2	nitro	methoxyethyl	3 - (methylamino) phenyl
	2	nitro	methoxyethyl	4 - (methylamino) phenyl
	2	nitro	methoxyethyl	2 - (dimethylamino) phenyl
	2	nitro	methoxyethyl	3 - (dimethylamino) phenyl
40	2	nitro	methoxyethyl	4 - (dimethylamino) phenyl
	2	nitro	methoxyethyl	2 - carboxyphenyl
	2	nitro	methoxyethyl	3 - carboxyphenyl
	2	nitro	methoxyethyl	4 - carboxyphenyl
45	2	nitro	methoxyethyl	2 - (methylcarbamoyl) phenyl
	2	nitro	methoxyethyl	3 - (methylcarbamoyl) phenyl
	2	nitro	methoxyethyl	4 - (methylcarbamoyl) phenyl
	2	nitro	methoxyethyl	2 - (methoxycarbonyl) phenyl
50	2	nitro	methoxyethyl	3 - (methoxycarbonyl) phenyl
	2	nitro	methoxyethyl	4 - (methoxycarbonyl) phenyl
	2	nitro	methoxyethyl	2 - (ethoxycarbonyl) phenyl
	2	nitro	methoxyethyl	3 - (ethoxycarbonyl) phenyl
55	2	nitro	methoxyethyl	4 - (ethoxycarbonyl) phenyl
	2	nitro	methoxyethyl	2 - (acetoxy) phenyl
	2	nitro	methoxyethyl	3 - (acetoxy) phenyl
	2	nitro	methoxyethyl	4 - (acetoxy) phenyl
	2	nitro	methoxyethyl	2 - (propionyloxy) phenyl
	2	nitro	methoxyethyl	3 - (propionyloxy) phenyl
	2	nitro	methoxyethyl	4 - (propionyloxy) phenyl
	2	nitro	methoxyethyl	2 - trifluoromethylphenyl
	2	nitro	methoxyethyl	3 - trifluoromethylphenyl
	2	nitro	methoxyethyl	4 - trifluoromethylphenyl

Table 2(continuation 26)

m	R ¹	R ³	Z
5	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
10	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
	1	nitro	aminoethyl
15	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
20	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
25	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
30	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
35	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
40	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
45	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
50	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
55	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl
	1	nitro	aminoethyl

Table 2(continuation 27)

m	R ¹	R ³	Z
5	1	nitro	aminoethyl 2 - carboxyphenyl
	1	nitro	aminoethyl 3 - carboxyphenyl
	1	nitro	aminoethyl 4 - carboxyphenyl
	1	nitro	aminoethyl 2 - (methylcarbamoyl) phenyl
10	1	nitro	aminoethyl 3 - (methylcarbamoyl) phenyl
	1	nitro	aminoethyl 4 - (methylcarbamoyl) phenyl
	1	nitro	aminoethyl 2 - (methoxycarbonyl) phenyl
	1	nitro	aminoethyl 3 - (methoxycarbonyl) phenyl
	1	nitro	aminoethyl 4 - (methoxycarbonyl) phenyl
15	1	nitro	aminoethyl 2 - (ethoxycarbonyl) phenyl
	1	nitro	aminoethyl 3 - (ethoxycarbonyl) phenyl
	1	nitro	aminoethyl 4 - (ethoxycarbonyl) phenyl
	1	nitro	aminoethyl 2 - (acetoxy) phenyl
20	1	nitro	aminoethyl 3 - (acetoxy) phenyl
	1	nitro	aminoethyl 4 - (acetoxy) phenyl
	1	nitro	aminoethyl 2 - (propionyloxy) phenyl
	1	nitro	aminoethyl 3 - (propionyloxy) phenyl
	1	nitro	aminoethyl 4 - (propionyloxy) phenyl
25	1	nitro	aminoethyl 2 - trifluoromethylphenyl
	1	nitro	aminoethyl 3 - trifluoromethylphenyl
	1	nitro	aminoethyl 4 - trifluoromethylphenyl
	1	nitro	aminoethyl 2 - thieryl
	1	nitro	aminoethyl 3 - thieryl
30	1	nitro	aminoethyl 2 - furyl
	1	nitro	aminoethyl 3 - furyl
	1	nitro	aminoethyl 2 - pyridyl
	1	nitro	aminoethyl 3 - pyridyl
	1	nitro	aminoethyl 4 - pyridyl
35	2	nitro	aminoethyl phenyl
	2	nitro	aminoethyl 2 - fluorophenyl
	2	nitro	aminoethyl 3 - fluorophenyl
	2	nitro	aminoethyl 4 - fluorophenyl
40	2	nitro	aminoethyl 2, 4 - difluorophenyl
	2	nitro	aminoethyl 2, 5 - difluorophenyl
	2	nitro	aminoethyl 2, 6 - difluorophenyl
	2	nitro	aminoethyl 3, 4 - difluorophenyl
	2	nitro	aminoethyl 3, 5 - difluorophenyl
45	2	nitro	aminoethyl 2 - chlorophenyl
	2	nitro	aminoethyl 3 - chlorophenyl
	2	nitro	aminoethyl 4 - chlorophenyl
	2	nitro	aminoethyl 2, 4 - dichlorophenyl
	2	nitro	aminoethyl 3, 4 - dichlorophenyl
50	2	nitro	aminoethyl 2 - bromophenyl
	2	nitro	aminoethyl 3 - bromophenyl
	2	nitro	aminoethyl 4 - bromophenyl
	2	nitro	aminoethyl 2 - methylphenyl
	2	nitro	aminoethyl 3 - methylphenyl
55	2	nitro	aminoethyl 4 - methylphenyl

Table 2(continuation 28)

m	R ¹	R ³	Z	
5	2	nitro	aminoethyl	2 - methoxyphenyl
	2	nitro	aminoethyl	3 - methoxyphenyl
	2	nitro	aminoethyl	4 - methoxyphenyl
	2	nitro	aminoethyl	2, 3 - dimethoxyphenyl
10	2	nitro	aminoethyl	2, 4 - dimethoxyphenyl
	2	nitro	aminoethyl	3, 4 - dimethoxyphenyl
	2	nitro	aminoethyl	3, 5 - dimethoxyphenyl
	2	nitro	aminoethyl	3, 4 - (methylenedioxy) phenyl
15	2	nitro	aminoethyl	3, 4 - (ethylenedioxy) phenyl
	2	nitro	aminoethyl	2 - hydroxyphenyl
	2	nitro	aminoethyl	3 - hydroxyphenyl
	2	nitro	aminoethyl	4 - hydroxyphenyl
	2	nitro	aminoethyl	2 - aminophenyl
20	2	nitro	aminoethyl	3 - aminophenyl
	2	nitro	aminoethyl	4 - aminophenyl
	2	nitro	aminoethyl	2 - (methylamino) phenyl
	2	nitro	aminoethyl	3 - (methylamino) phenyl
25	2	nitro	aminoethyl	4 - (methylamino) phenyl
	2	nitro	aminoethyl	2 - (dimethylamino) phenyl
	2	nitro	aminoethyl	3 - (dimethylamino) phenyl
	2	nitro	aminoethyl	4 - (dimethylamino) phenyl
30	2	nitro	aminoethyl	2 - carboxyphenyl
	2	nitro	aminoethyl	3 - carboxyphenyl
	2	nitro	aminoethyl	4 - carboxyphenyl
	2	nitro	aminoethyl	2 - (methylcarbamoyl) phenyl
35	2	nitro	aminoethyl	3 - (methylcarbamoyl) phenyl
	2	nitro	aminoethyl	4 - (methylcarbamoyl) phenyl
	2	nitro	aminoethyl	2 - (methoxycarbonyl) phenyl
	2	nitro	aminoethyl	3 - (methoxycarbonyl) phenyl
40	2	nitro	aminoethyl	4 - (methoxycarbonyl) phenyl
	2	nitro	aminoethyl	2 - (ethoxycarbonyl) phenyl
	2	nitro	aminoethyl	3 - (ethoxycarbonyl) phenyl
	2	nitro	aminoethyl	4 - (ethoxycarbonyl) phenyl
45	2	nitro	aminoethyl	2 - (acetoxy) phenyl
	2	nitro	aminoethyl	3 - (acetoxy) phenyl
	2	nitro	aminoethyl	4 - (acetoxy) phenyl
	2	nitro	aminoethyl	2 - (propionyloxy) phenyl
50	2	nitro	aminoethyl	3 - (propionyloxy) phenyl
	2	nitro	aminoethyl	4 - (propionyloxy) phenyl
	2	nitro	aminoethyl	2 - trifluoromethylphenyl
	2	nitro	aminoethyl	3 - trifluoromethylphenyl
	2	nitro	aminoethyl	4 - trifluoromethylphenyl
55	2	nitro	aminoethyl	2 - thieryl
	2	nitro	aminoethyl	3 - thieryl
	2	nitro	aminoethyl	2 - furyl
	2	nitro	aminoethyl	3 - furyl
	2	nitro	aminoethyl	2 - pyridyl
	2	nitro	aminoethyl	3 - pyridyl

Table 2(continuation 29)

m	R ¹	R ³	Z
5	2	nitro	aminoethyl 4 - pyridyl
	1	nitro	formyl phenyl
	1	nitro	formyl 2 - fluorophenyl
	1	nitro	formyl 3 - fluorophenyl
	1	nitro	formyl 4 - fluorophenyl
10	1	nitro	formyl 2, 4 - difluorophenyl
	1	nitro	formyl 2, 5 - difluorophenyl
	1	nitro	formyl 2, 6 - difluorophenyl
	1	nitro	formyl 3, 4 - difluorophenyl
15	1	nitro	formyl 3, 5 - difluorophenyl
	1	nitro	formyl 2 - chlorophenyl
	1	nitro	formyl 3 - chlorophenyl
	1	nitro	formyl 4 - chlorophenyl
20	1	nitro	formyl 2, 4 - dichlorophenyl
	1	nitro	formyl 3, 4 - dichlorophenyl
	1	nitro	formyl 2 - bromophenyl
	1	nitro	formyl 3 - bromophenyl
	1	nitro	formyl 4 - bromophenyl
25	1	nitro	formyl 2 - methoxyphenyl
	1	nitro	formyl 3 - methoxyphenyl
	1	nitro	formyl 4 - methoxyphenyl
30	1	nitro	formyl 2, 3 - dimethoxyphenyl
	1	nitro	formyl 2, 4 - dimethoxyphenyl
	1	nitro	formyl 3, 4 - dimethoxyphenyl
	1	nitro	formyl 3, 5 - dimethoxyphenyl
35	1	nitro	formyl 3, 4 - (methylenedioxy) phenyl
	1	nitro	formyl 3, 4 - (ethylenedioxy) phenyl
	1	nitro	formyl 2 - hydroxyphenyl
	1	nitro	formyl 3 - hydroxyphenyl
40	1	nitro	formyl 4 - hydroxyphenyl
	1	nitro	formyl 2 - aminophenyl
	1	nitro	formyl 3 - aminophenyl
	1	nitro	formyl 4 - aminophenyl
	1	nitro	formyl 2 - (methylamino) phenyl
45	1	nitro	formyl 3 - (methylamino) phenyl
	1	nitro	formyl 4 - (methylamino) phenyl
	1	nitro	formyl 2 - (dimethylamino) phenyl
	1	nitro	formyl 3 - (dimethylamino) phenyl
	1	nitro	formyl 4 - (dimethylamino) phenyl
50	1	nitro	formyl 2 - carboxyphenyl
	1	nitro	formyl 3 - carboxyphenyl
	1	nitro	formyl 4 - carboxyphenyl
	1	nitro	formyl 2 - (methylcarbamoyl) phenyl
	1	nitro	formyl 3 - (methylcarbamoyl) phenyl
55	1	nitro	formyl 4 - (methylcarbamoyl) phenyl

Table 2(continuation 30)

m	R ¹	R ³	Z
5	nitro	formyl	2 - (methoxycarbonyl) phenyl
	nitro	formyl	3 - (methoxycarbonyl) phenyl
	nitro	formyl	4 - (methoxycarbonyl) phenyl
10	nitro	formyl	2 - (ethoxycarbonyl) phenyl
	nitro	formyl	3 - (ethoxycarbonyl) phenyl
	nitro	formyl	4 - (ethoxycarbonyl) phenyl
	nitro	formyl	2 - (acetoxy) phenyl
	nitro	formyl	3 - (acetoxy) phenyl
15	nitro	formyl	4 - (acetoxy) phenyl
	nitro	formyl	2 - (propionyloxy) phenyl
	nitro	formyl	3 - (propionyloxy) phenyl
	nitro	formyl	4 - (propionyloxy) phenyl
20	nitro	formyl	2 - trifluoromethylphenyl
	nitro	formyl	3 - trifluoromethylphenyl
	nitro	formyl	4 - trifluoromethylphenyl
	nitro	formyl	2 - thieryl
	nitro	formyl	3 - thieryl
25	nitro	formyl	2 - furyl
	nitro	formyl	3 - furyl
	nitro	formyl	2 - pyridyl
	nitro	formyl	3 - pyridyl
	nitro	formyl	4 - pyridyl
30	2	nitro	formyl phenyl
	2	nitro	formyl 2 - fluorophenyl
	2	nitro	formyl 3 - fluorophenyl
	2	nitro	formyl 4 - fluorophenyl
	2	nitro	formyl 2, 4 - difluorophenyl
35	2	nitro	formyl 2, 5 - difluorophenyl
	2	nitro	formyl 2, 6 - difluorophenyl
	2	nitro	formyl 3, 4 - difluorophenyl
	2	nitro	formyl 3, 5 - difluorophenyl
	2	nitro	formyl 2 - chlorophenyl
40	2	nitro	formyl 3 - chlorophenyl
	2	nitro	formyl 4 - chlorophenyl
	2	nitro	formyl 2, 4 - dichlorophenyl
	2	nitro	formyl 3, 4 - dichlorophenyl
45	2	nitro	formyl 2 - bromophenyl
	2	nitro	formyl 3 - bromophenyl
	2	nitro	formyl 4 - bromophenyl
	2	nitro	formyl 2 - methylphenyl
	2	nitro	formyl 3 - methylphenyl
50	2	nitro	formyl 4 - methylphenyl
	2	nitro	formyl 2 - methoxyphenyl
	2	nitro	formyl 3 - methoxyphenyl
	2	nitro	formyl 4 - methoxyphenyl
	2	nitro	formyl 2, 3 - dimethoxyphenyl
55	2	nitro	formyl 2, 4 - dimethoxyphenyl
	2	nitro	formyl 3, 4 - dimethoxyphenyl

Table 2(continuation 31)

m	R ¹	R ³	Z	
5	2	nitro	formyl	3, 5 - dimethoxyphenyl
	2	nitro	formyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	formyl	3, 4 - (ethylenedioxy) phenyl
	2	nitro	formyl	2 - hydroxyphenyl
	2	nitro	formyl	3 - hydroxyphenyl
10	2	nitro	formyl	4 - hydroxyphenyl
	2	nitro	formyl	2 - aminophenyl
	2	nitro	formyl	3 - aminophenyl
	2	nitro	formyl	4 - aminophenyl
15	2	nitro	formyl	2 - (methylamino) phenyl
	2	nitro	formyl	3 - (methylamino) phenyl
	2	nitro	formyl	4 - (methylamino) phenyl
	2	nitro	formyl	2 - (dimethylamino) phenyl
	2	nitro	formyl	3 - (dimethylamino) phenyl
20	2	nitro	formyl	4 - (dimethylamino) phenyl
	2	nitro	formyl	2 - carboxyphenyl
	2	nitro	formyl	3 - carboxyphenyl
	2	nitro	formyl	4 - carboxyphenyl
25	2	nitro	formyl	2 - (methylcarbamoyl) phenyl
	2	nitro	formyl	3 - (methylcarbamoyl) phenyl
	2	nitro	formyl	4 - (methylcarbamoyl) phenyl
	2	nitro	formyl	2 - (methoxycarbonyl) phenyl
	2	nitro	formyl	3 - (methoxycarbonyl) phenyl
30	2	nitro	formyl	4 - (methoxycarbonyl) phenyl
	2	nitro	formyl	2 - (ethoxycarbonyl) phenyl
	2	nitro	formyl	3 - (ethoxycarbonyl) phenyl
	2	nitro	formyl	4 - (ethoxycarbonyl) phenyl
35	2	nitro	formyl	2 - (acetoxy) phenyl
	2	nitro	formyl	3 - (acetoxy) phenyl
	2	nitro	formyl	4 - (acetoxy) phenyl
	2	nitro	formyl	2 - (propionyloxy) phenyl
	2	nitro	formyl	3 - (propionyloxy) phenyl
40	2	nitro	formyl	4 - (propionyloxy) phenyl
	2	nitro	formyl	2 - trifluoromethylphenyl
	2	nitro	formyl	3 - trifluoromethylphenyl
	2	nitro	formyl	4 - trifluoromethylphenyl
	2	nitro	formyl	2 - thiienyl
45	2	nitro	formyl	3 - thiienyl
	2	nitro	formyl	2 - furyl
	2	nitro	formyl	3 - furyl
	2	nitro	formyl	2 - pyridyl
	2	nitro	formyl	3 - pyridyl
50	2	nitro	formyl	4 - pyridyl
	1	nitro	acetyl	phenyl
	1	nitro	acetyl	2 - fluorophenyl
	1	nitro	acetyl	3 - fluorophenyl
	1	nitro	acetyl	4 - fluorophenyl
55	1	nitro	acetyl	2, 4 - difluorophenyl

Table 2(continuation 32)

m	R ¹	R ³	Z
5	nitro	acetyl	2, 5 - difluorophenyl
	nitro	acetyl	2, 6 - difluorophenyl
	nitro	acetyl	3, 4 - difluorophenyl
	nitro	acetyl	3, 5 - difluorophenyl
10	nitro	acetyl	2 - chlorophenyl
	nitro	acetyl	3 - chlorophenyl
	nitro	acetyl	4 - chlorophenyl
	nitro	acetyl	2, 4 - dichlorophenyl
15	nitro	acetyl	3, 4 - dichlorophenyl
	nitro	acetyl	2 - bromophenyl
	nitro	acetyl	3 - bromophenyl
	nitro	acetyl	4 - bromophenyl
20	nitro	acetyl	2 - methylphenyl
	nitro	acetyl	3 - methylphenyl
	nitro	acetyl	4 - methylphenyl
	nitro	acetyl	2 - methoxyphenyl
	nitro	acetyl	3 - methoxyphenyl
	nitro	acetyl	4 - methoxyphenyl
25	nitro	acetyl	2, 3 - dimethoxyphenyl
	nitro	acetyl	2, 4 - dimethoxyphenyl
	nitro	acetyl	3, 4 - dimethoxyphenyl
	nitro	acetyl	3, 5 - dimethoxyphenyl
	nitro	acetyl	3, 4 - (methylenedioxy) phenyl
30	nitro	acetyl	3, 4 - (ethylenedioxy) phenyl
	nitro	acetyl	2 - hydroxyphenyl
	nitro	acetyl	3 - hydroxyphenyl
	nitro	acetyl	4 - hydroxyphenyl
35	nitro	acetyl	2 - aminophenyl
	nitro	acetyl	3 - aminophenyl
	nitro	acetyl	4 - aminophenyl
	nitro	acetyl	2 - (methylamino) phenyl
	nitro	acetyl	3 - (methylamino) phenyl
40	nitro	acetyl	4 - (methylamino) phenyl
	nitro	acetyl	2 - (dimethylamino) phenyl
	nitro	acetyl	3 - (dimethylamino) phenyl
	nitro	acetyl	4 - (dimethylamino) phenyl
45	nitro	acetyl	2 - carboxyphenyl
	nitro	acetyl	3 - carboxyphenyl
	nitro	acetyl	4 - carboxyphenyl
	nitro	acetyl	2 - (methylcarbamoyl) phenyl
	nitro	acetyl	3 - (methylcarbamoyl) phenyl
	nitro	acetyl	4 - (methylcarbamoyl) phenyl
50	nitro	acetyl	2 - (methoxycarbonyl) phenyl
	nitro	acetyl	3 - (methoxycarbonyl) phenyl
	nitro	acetyl	4 - (methoxycarbonyl) phenyl
	nitro	acetyl	2 - (ethoxycarbonyl) phenyl
	nitro	acetyl	3 - (ethoxycarbonyl) phenyl
55	nitro	acetyl	4 - (ethoxycarbonyl) phenyl

Table 2(continuation 33)

m	R ¹	R ³	Z	
5	1	nitro	acetyl	2 - (acetoxy) phenyl
	1	nitro	acetyl	3 - (acetoxy) phenyl
	1	nitro	acetyl	4 - (acetoxy) phenyl
	1	nitro	acetyl	2 - (propionyloxy) phenyl
10	1	nitro	acetyl	3 - (propionyloxy) phenyl
	1	nitro	acetyl	4 - (propionyloxy) phenyl
	1	nitro	acetyl	2 - trifluoromethylphenyl
	1	nitro	acetyl	3 - trifluoromethylphenyl
	1	nitro	acetyl	4 - trifluoromethylphenyl
15	1	nitro	acetyl	2 - thieryl
	1	nitro	acetyl	3 - thieryl
	1	nitro	acetyl	2 - furyl
	1	nitro	acetyl	3 - furyl
20	1	nitro	acetyl	2 - pyridyl
	1	nitro	acetyl	3 - pyridyl
	1	nitro	acetyl	4 - pyridyl
	2	nitro	acetyl	phenyl
25	2	nitro	acetyl	2 - fluorophenyl
	2	nitro	acetyl	3 - fluorophenyl
	2	nitro	acetyl	4 - fluorophenyl
	2	nitro	acetyl	2, 4 - difluorophenyl
	2	nitro	acetyl	2, 5 - difluorophenyl
	2	nitro	acetyl	2, 6 - difluorophenyl
30	2	nitro	acetyl	3, 4 - difluorophenyl
	2	nitro	acetyl	3, 5 - difluorophenyl
	2	nitro	acetyl	2 - chlorophenyl
	2	nitro	acetyl	3 - chlorophenyl
	2	nitro	acetyl	4 - chlorophenyl
35	2	nitro	acetyl	2, 4 - dichlorophenyl
	2	nitro	acetyl	3, 4 - dichlorophenyl
	2	nitro	acetyl	2 - bromophenyl
	2	nitro	acetyl	3 - bromophenyl
40	2	nitro	acetyl	4 - bromophenyl
	2	nitro	acetyl	2 - methylphenyl
	2	nitro	acetyl	3 - methylphenyl
	2	nitro	acetyl	4 - methylphenyl
	2	nitro	acetyl	2 - methoxyphenyl
45	2	nitro	acetyl	3 - methoxyphenyl
	2	nitro	acetyl	4 - methoxyphenyl
	2	nitro	acetyl	2, 3 - dimethoxyphenyl
	2	nitro	acetyl	2, 4 - dimethoxyphenyl
	2	nitro	acetyl	3, 4 - dimethoxyphenyl
50	2	nitro	acetyl	3, 5 - dimethoxyphenyl
	2	nitro	acetyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	acetyl	3, 4 - (ethylenedioxy) phenyl
	2	nitro	acetyl	2 - hydroxyphenyl
	2	nitro	acetyl	3 - hydroxyphenyl
55	2	nitro	acetyl	4 - hydroxyphenyl

Table 2(continuation 34)

m	R ¹	R ³	Z	
5	2	nitro	acetyl	2 - aminophenyl
	2	nitro	acetyl	3 - aminophenyl
	2	nitro	acetyl	4 - aminophenyl
10	2	nitro	acetyl	2 - (methylamino) phenyl
	2	nitro	acetyl	3 - (methylamino) phenyl
	2	nitro	acetyl	4 - (methylamino) phenyl
15	2	nitro	acetyl	2 - (dimethylamino) phenyl
	2	nitro	acetyl	3 - (dimethylamino) phenyl
	2	nitro	acetyl	4 - (dimethylamino) phenyl
20	2	nitro	acetyl	2 - carboxyphenyl
	2	nitro	acetyl	3 - carboxyphenyl
	2	nitro	acetyl	4 - carboxyphenyl
25	2	nitro	acetyl	2 - (methylcarbamoyl) phenyl
	2	nitro	acetyl	3 - (methylcarbamoyl) phenyl
	2	nitro	acetyl	4 - (methylcarbamoyl) phenyl
	2	nitro	acetyl	2 - (methoxycarbonyl) phenyl
	2	nitro	acetyl	3 - (methoxycarbonyl) phenyl
	2	nitro	acetyl	4 - (methoxycarbonyl) phenyl
30	2	nitro	acetyl	2 - (ethoxycarbonyl) phenyl
	2	nitro	acetyl	3 - (ethoxycarbonyl) phenyl
	2	nitro	acetyl	4 - (ethoxycarbonyl) phenyl
	2	nitro	acetyl	2 - (acetoxy) phenyl
	2	nitro	acetyl	3 - (acetoxy) phenyl
	2	nitro	acetyl	4 - (acetoxy) phenyl
35	2	nitro	acetyl	2 - (propionyloxy) phenyl
	2	nitro	acetyl	3 - (propionyloxy) phenyl
	2	nitro	acetyl	4 - (propionyloxy) phenyl
	2	nitro	acetyl	2 - trifluoromethylphenyl
	2	nitro	acetyl	3 - trifluoromethylphenyl
	2	nitro	acetyl	4 - trifluoromethylphenyl
	2	nitro	acetyl	2 - thiaryl
	2	nitro	acetyl	3 - thiaryl
40	2	nitro	acetyl	2 - furyl
	2	nitro	acetyl	3 - furyl
	2	nitro	acetyl	2 - pyridyl
	2	nitro	acetyl	3 - pyridyl
	2	nitro	acetyl	4 - pyridyl
45	1	nitro	propionyl	phenyl
	1	nitro	propionyl	2 - fluorophenyl
	1	nitro	propionyl	3 - fluorophenyl
	1	nitro	propionyl	4 - fluorophenyl
	1	nitro	propionyl	2, 4 - difluorophenyl
50	1	nitro	propionyl	2, 5 - difluorophenyl
	1	nitro	propionyl	2, 6 - difluorophenyl
	1	nitro	propionyl	3, 4 - difluorophenyl
	1	nitro	propionyl	3, 5 - difluorophenyl
	1	nitro	propionyl	2 - chlorophenyl
55	1	nitro	propionyl	3 - chlorophenyl

Table 2(continuation 35)

m	R ¹	R ³	Z
5	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
10	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
15	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
20	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
25	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
30	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
35	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
40	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
45	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
50	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
55	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl

Table 2(continuation 36)

m	R ¹	R ³	Z
5	1	nitro	propionyl
	1	nitro	2 - trifluoromethylphenyl
	1	nitro	3 - trifluoromethylphenyl
	1	nitro	4 - trifluoromethylphenyl
10	1	nitro	propionyl
	1	nitro	2 - thieryl
	1	nitro	3 - thieryl
	1	nitro	2 - furyl
	1	nitro	3 - furyl
15	1	nitro	propionyl
	1	nitro	2 - pyridyl
	1	nitro	3 - pyridyl
	2	nitro	propionyl
	2	nitro	phenyl
20	2	nitro	propionyl
	2	nitro	2 - fluorophenyl
	2	nitro	3 - fluorophenyl
	2	nitro	4 - fluorophenyl
	2	nitro	2, 4 - difluorophenyl
	2	nitro	2, 5 - difluorophenyl
	2	nitro	2, 6 - difluorophenyl
	2	nitro	3, 4 - difluorophenyl
25	2	nitro	3, 5 - difluorophenyl
	2	nitro	2 - chlorophenyl
	2	nitro	3 - chlorophenyl
	2	nitro	4 - chlorophenyl
	2	nitro	2, 4 - dichlorophenyl
30	2	nitro	3, 4 - dichlorophenyl
	2	nitro	2 - bromophenyl
	2	nitro	3 - bromophenyl
	2	nitro	4 - bromophenyl
35	2	nitro	2 - methylphenyl
	2	nitro	3 - methylphenyl
	2	nitro	4 - methylphenyl
	2	nitro	2 - methoxyphenyl
	2	nitro	3 - methoxyphenyl
40	2	nitro	4 - methoxyphenyl
	2	nitro	propionyl
	2	nitro	2, 3 - dimethoxyphenyl
	2	nitro	2, 4 - dimethoxyphenyl
	2	nitro	3, 4 - dimethoxyphenyl
	2	nitro	3, 5 - dimethoxyphenyl
45	2	nitro	3, 4 - (methylenedioxy) phenyl
	2	nitro	3, 4 - (ethylenedioxy) phenyl
	2	nitro	2 - hydroxyphenyl
	2	nitro	3 - hydroxyphenyl
	2	nitro	4 - hydroxyphenyl
50	2	nitro	propionyl
	2	nitro	2 - aminophenyl
	2	nitro	3 - aminophenyl
	2	nitro	4 - aminophenyl
	2	nitro	2 - (methylamino) phenyl
	2	nitro	3 - (methylamino) phenyl
55	2	nitro	4 - (methylamino) phenyl

Table 2(continuation 37)

m	R ¹	R ³	Z
5	nitro	propionyl	2 - (dimethylamino) phenyl
2	nitro	propionyl	3 - (dimethylamino) phenyl
2	nitro	propionyl	4 - (dimethylamino) phenyl
2	nitro	propionyl	2 - carboxyphenyl
10	nitro	propionyl	3 - carboxyphenyl
2	nitro	propionyl	4 - carboxyphenyl
2	nitro	propionyl	2 - (methylcarbamoyl) phenyl
2	nitro	propionyl	3 - (methylcarbamoyl) phenyl
15	nitro	propionyl	4 - (methylcarbamoyl) phenyl
2	nitro	propionyl	2 - (methoxycarbonyl) phenyl
2	nitro	propionyl	3 - (methoxycarbonyl) phenyl
2	nitro	propionyl	4 - (methoxycarbonyl) phenyl
20	nitro	propionyl	2 - (ethoxycarbonyl) phenyl
2	nitro	propionyl	3 - (ethoxycarbonyl) phenyl
2	nitro	propionyl	4 - (ethoxycarbonyl) phenyl
2	nitro	propionyl	2 - (acetoxy) phenyl
2	nitro	propionyl	3 - (acetoxy) phenyl
25	nitro	propionyl	4 - (acetoxy) phenyl
2	nitro	propionyl	2 - (propionyloxy) phenyl
2	nitro	propionyl	3 - (propionyloxy) phenyl
2	nitro	propionyl	2 - trifluoromethylphenyl
2	nitro	propionyl	3 - trifluoromethylphenyl
30	nitro	propionyl	4 - trifluoromethylphenyl
2	nitro	propionyl	2 - thiienyl
2	nitro	propionyl	3 - thiienyl
2	nitro	propionyl	2 - furyl
35	nitro	propionyl	3 - furyl
2	nitro	propionyl	2 - pyridyl
2	nitro	propionyl	3 - pyridyl
2	nitro	propionyl	4 - pyridyl
40	nitro	ethoxycarbonyl	phenyl
1	nitro	ethoxycarbonyl	2 - fluorophenyl
1	nitro	ethoxycarbonyl	3 - fluorophenyl
1	nitro	ethoxycarbonyl	4 - fluorophenyl
1	nitro	ethoxycarbonyl	2, 4 - difluorophenyl
45	nitro	ethoxycarbonyl	2, 5 - difluorophenyl
1	nitro	ethoxycarbonyl	2, 6 - difluorophenyl
1	nitro	ethoxycarbonyl	3, 4 - difluorophenyl
1	nitro	ethoxycarbonyl	3, 5 - difluorophenyl
50	nitro	ethoxycarbonyl	2 - chlorophenyl
1	nitro	ethoxycarbonyl	3 - chlorophenyl
1	nitro	ethoxycarbonyl	4 - chlorophenyl
1	nitro	ethoxycarbonyl	2, 4 - dichlorophenyl
1	nitro	ethoxycarbonyl	3, 4 - dichlorophenyl
55	nitro	ethoxycarbonyl	2 - bromophenyl
1	nitro	ethoxycarbonyl	3 - bromophenyl
1	nitro	ethoxycarbonyl	4 - bromophenyl

Table 2(continuation 38)

m	R ¹	R ³	Z
5	1	nitro	ethoxycarbonyl
	1	nitro	2 - methylphenyl
	1	nitro	3 - methylphenyl
	1	nitro	4 - methylphenyl
10	1	nitro	ethoxycarbonyl
	1	nitro	2 - methoxyphenyl
	1	nitro	3 - methoxyphenyl
	1	nitro	4 - methoxyphenyl
	1	nitro	ethoxycarbonyl
	1	nitro	2, 3 - dimethoxyphenyl
	1	nitro	2, 4 - dimethoxyphenyl
15	1	nitro	ethoxycarbonyl
	1	nitro	3, 4 - dimethoxyphenyl
	1	nitro	3, 5 - dimethoxyphenyl
	1	nitro	ethoxycarbonyl
	1	nitro	3, 4 - (methylenedioxy) phenyl
	1	nitro	3, 4 - (ethylenedioxy) phenyl
20	1	nitro	ethoxycarbonyl
	1	nitro	2 - hydroxyphenyl
	1	nitro	3 - hydroxyphenyl
	1	nitro	4 - hydroxyphenyl
	1	nitro	ethoxycarbonyl
	1	nitro	2 - aminophenyl
	1	nitro	3 - aminophenyl
	1	nitro	4 - aminophenyl
25	1	nitro	ethoxycarbonyl
	1	nitro	2 - (methylamino) phenyl
	1	nitro	3 - (methylamino) phenyl
	1	nitro	4 - (methylamino) phenyl
	1	nitro	ethoxycarbonyl
	1	nitro	2 - (dimethylamino) phenyl
	1	nitro	3 - (dimethylamino) phenyl
30	1	nitro	ethoxycarbonyl
	1	nitro	4 - (dimethylamino) phenyl
	1	nitro	ethoxycarbonyl
	1	nitro	2 - carboxyphenyl
	1	nitro	3 - carboxyphenyl
	1	nitro	4 - carboxyphenyl
35	1	nitro	ethoxycarbonyl
	1	nitro	2 - (methylcarbamoyl) phenyl
	1	nitro	3 - (methylcarbamoyl) phenyl
	1	nitro	4 - (methylcarbamoyl) phenyl
	1	nitro	ethoxycarbonyl
	1	nitro	2 - (methoxycarbonyl) phenyl
	1	nitro	3 - (methoxycarbonyl) phenyl
40	1	nitro	ethoxycarbonyl
	1	nitro	4 - (methoxycarbonyl) phenyl
	1	nitro	ethoxycarbonyl
	1	nitro	2 - (ethoxycarbonyl) phenyl
	1	nitro	3 - (ethoxycarbonyl) phenyl
	1	nitro	4 - (ethoxycarbonyl) phenyl
45	1	nitro	ethoxycarbonyl
	1	nitro	2 - (acetoxy) phenyl
	1	nitro	3 - (acetoxy) phenyl
	1	nitro	4 - (acetoxy) phenyl
	1	nitro	ethoxycarbonyl
	1	nitro	2 - (propionyloxy) phenyl
	1	nitro	3 - (propionyloxy) phenyl
50	1	nitro	4 - (propionyloxy) phenyl
	1	nitro	ethoxycarbonyl
	1	nitro	2 - trifluoromethylphenyl
	1	nitro	3 - trifluoromethylphenyl
	1	nitro	4 - trifluoromethylphenyl
	1	nitro	ethoxycarbonyl
	1	nitro	2 - thieryl
	1	nitro	3 - thieryl
55	1	nitro	ethoxycarbonyl
	1	nitro	2 - furyl

Table 2(continuation 39)

m	R ¹	R ³	Z
5	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
10	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
15	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
20	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
25	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
30	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
35	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
40	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
45	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
50	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
55	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl

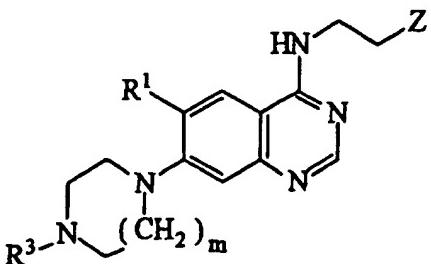
Table 2(continuation 40)

m	R ¹	R ³	Z
5	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
10	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
15	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
20	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
25	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
30	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
35	1	nitro	H
	1	nitro	H
40	1	nitro	H
	1	nitro	H
	1	nitro	H
	2	nitro	H
	2	nitro	H
45	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
50	2	nitro	H
	2	nitro	H
	1	nitro	methyl
55	1	nitro	methyl
	1	nitro	methyl

Table 2(continuation 41)

m	R ¹	R ³	Z
5	1 nitro	methyl	4-hydroxy-3-methoxyphenyl
10	1 nitro	formyl	2-methyl-1,3-dioxaindane-5-yl
15	1 nitro	formyl	2-ethyl-1,3-dioxaindane-5-yl
20	1 nitro	formyl	2-propyl-1,3-dioxaindane-5-yl
25	1 nitro	formyl	2-butyl-1,3-dioxaindane-5-yl
30	1 nitro	formyl	3,5-dimethyl-4-hydroxyphenyl
35	1 nitro	formyl	3,5-di-tert-butyl-4-hydroxyphenyl
40	1 nitro	formyl	3,5-dimethyl-4-methoxyphenyl
45	1 nitro	H	benzimidazol-5-yl
50	1 nitro	H	1-methylbenzimidazol-5-yl
55	1 nitro	H	1-ethylbenzimidazol-5-yl
60	1 nitro	H	2-hydroxy-1-methylbenzimidazol-5-yl
65	1 nitro	H	1-ethyl-2-hydroxy benzimidazol-5-yl
70	1 nitro	H	2-methoxy-1-methylbenzimidazol-5-yl
75	1 nitro	H	1-ethyl-2-methoxy benzimidazol-5-yl
80	1 nitro	H	benzothiazole-5-yl
85	2 nitro	H	benzimidazol-5-yl
90	2 nitro	H	1-methylbenzimidazol-5-yl
95	2 nitro	H	1-ethylbenzimidazol-5-yl
100	2 nitro	H	2-hydroxy-1-methylbenzimidazol-5-yl
105	2 nitro	H	1-ethyl-2-hydroxy benzimidazol-5-yl
110	2 nitro	H	2-methoxy-1-methylbenzimidazol-5-yl
115	2 nitro	H	1-ethyl-2-methoxy benzimidazol-5-yl
120	2 nitro	H	benzothiazole-5-yl
125	1 nitro	methyl	benzimidazol-5-yl
130	1 nitro	methyl	1-methylbenzimidazol-5-yl
135	1 nitro	methyl	1-ethylbenzimidazol-5-yl
140	1 nitro	methyl	2-hydroxy-1-methylbenzimidazol-5-yl
145	1 nitro	methyl	1-ethyl-2-hydroxy benzimidazol-5-yl
150	1 nitro	methyl	2-methoxy-1-methylbenzimidazol-5-yl
155	1 nitro	methyl	1-ethyl-2-methoxy benzimidazol-5-yl
160	1 nitro	methyl	benzothiazole-5-yl
165	1 nitro	formyl	benzimidazol-5-yl
170	1 nitro	formyl	1-methylbenzimidazol-5-yl
175	1 nitro	formyl	2-hydroxy-1-methylbenzimidazol-5-yl
180	1 nitro	formyl	1-ethyl-2-hydroxy benzimidazol-5-yl
185	1 nitro	formyl	2-methoxy-1-methylbenzimidazol-5-yl
190	1 nitro	formyl	1-ethyl-2-methoxy benzimidazol-5-yl
195	1 nitro	formyl	benzothiazole-5-yl

Table 3



Each substituent in the formula above is as follows:

m	R¹	R³	Z
1	nitro	H	phenyl
1	nitro	H	2 - fluorophenyl
1	nitro	H	3 - fluorophenyl
1	nitro	H	4 - fluorophenyl
1	nitro	H	2, 4 - difluorophenyl
1	nitro	H	2, 5 - difluorophenyl
1	nitro	H	2, 6 - difluorophenyl
1	nitro	H	3, 4 - difluorophenyl
1	nitro	H	3, 5 - difluorophenyl
1	nitro	H	2 - chlorophenyl
1	nitro	H	3 - chlorophenyl
1	nitro	H	4 - chlorophenyl
1	nitro	H	2, 4 - dichlorophenyl
1	nitro	H	3, 4 - dichlorophenyl
1	nitro	H	2 - bromophenyl
1	nitro	H	3 - bromophenyl
1	nitro	H	4 - bromophenyl
1	nitro	H	2 - methylphenyl
1	nitro	H	3 - methylphenyl
1	nitro	H	4 - methylphenyl
1	nitro	H	2 - methoxyphenyl
1	nitro	H	3 - methoxyphenyl
1	nitro	H	4 - methoxyphenyl
1	nitro	H	2, 3 - dimethoxyphenyl
1	nitro	H	2, 4 - dimethoxyphenyl
1	nitro	H	3, 4 - dimethoxyphenyl
1	nitro	H	3, 5 - dimethoxyphenyl
1	nitro	H	3, 4 - (methylenedioxy) phenyl
1	nitro	H	3, 4 - (ethylenedioxy) phenyl
1	nitro	H	2 - hydroxyphenyl
1	nitro	H	3 - hydroxyphenyl
1	nitro	H	4 - hydroxyphenyl
1	nitro	H	2 - aminophenyl
1	nitro	H	3 - aminophenyl
1	nitro	H	4 - aminophenyl

Table 3(continuation 1)

m	R ¹	R ³	Z
5	1	nitro	H 2 - (methylamino) phenyl
	1	nitro	H 3 - (methylamino) phenyl
	1	nitro	H 4 - (methylamino) phenyl
10	1	nitro	H 2 - (dimethylamino) phenyl
	1	nitro	H 3 - (dimethylamino) phenyl
	1	nitro	H 4 - (dimethylamino) phenyl
	1	nitro	H 2 - carboxyphenyl
	1	nitro	H 3 - carboxyphenyl
15	1	nitro	H 4 - carboxyphenyl
	1	nitro	H 2 - (methylcarbamoyl) phenyl
	1	nitro	H 3 - (methylcarbamoyl) phenyl
	1	nitro	H 4 - (methylcarbamoyl) phenyl
20	1	nitro	H 2 - (methoxycarbonyl) phenyl
	1	nitro	H 3 - (methoxycarbonyl) phenyl
	1	nitro	H 4 - (methoxycarbonyl) phenyl
	1	nitro	H 2 - (ethoxycarbonyl) phenyl
	1	nitro	H 3 - (ethoxycarbonyl) phenyl
25	1	nitro	H 4 - (ethoxycarbonyl) phenyl
	1	nitro	H 2 - (acetoxy) phenyl
	1	nitro	H 3 - (acetoxy) phenyl
	1	nitro	H 4 - (acetoxy) phenyl
30	1	nitro	H 2 - (propionyloxy) phenyl
	1	nitro	H 3 - (propionyloxy) phenyl
	1	nitro	H 4 - (propionyloxy) phenyl
	1	nitro	H 2 - trifluoromethylphenyl
	1	nitro	H 3 - trifluoromethylphenyl
	1	nitro	H 4 - trifluoromethylphenyl
35	1	nitro	H 2 - thienyl
	1	nitro	H 3 - thienyl
	1	nitro	H 2 - furyl
	1	nitro	H 3 - furyl
40	1	nitro	H 2 - pyridyl
	1	nitro	H 3 - pyridyl
	1	nitro	H 4 - pyridyl
	2	nitro	H phenyl
	2	nitro	H 2 - fluorophenyl
45	2	nitro	H 3 - fluorophenyl
	2	nitro	H 4 - fluorophenyl
	2	nitro	H 2, 4 - difluorophenyl
	2	nitro	H 2, 5 - difluorophenyl
	2	nitro	H 2, 6 - difluorophenyl
50	2	nitro	H 3, 4 - difluorophenyl
	2	nitro	H 3, 5 - difluorophenyl
	2	nitro	H 2 - chlorophenyl
	2	nitro	H 3 - chlorophenyl
	2	nitro	H 4 - chlorophenyl
55	2	nitro	H 2, 4 - dichlorophenyl
	2	nitro	H 3, 4 - dichlorophenyl

Table 3(continuation 2)

m	R ¹	R ³	Z
5	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
10	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
15	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
20	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
25	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
30	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
35	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
40	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
45	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
50	2	nitro	H
	2	nitro	H
	2	nitro	H
	2	nitro	H
55	2	nitro	H

Table 3(continuation 3)

m	R ¹	R ³	Z
5	nitro	H	2 - thiienyl
	nitro	H	3 - thiienyl
	nitro	H	2 - furyl
	nitro	H	3 - furyl
10	nitro	H	2 - pyridyl
	nitro	H	3 - pyridyl
	nitro	H	4 - pyridyl
15	fluoro	H	phenyl
	fluoro	H	2 - fluorophenyl
	fluoro	H	3 - fluorophenyl
	fluoro	H	4 - fluorophenyl
	fluoro	H	2, 4 - difluorophenyl
	fluoro	H	2, 5 - difluorophenyl
20	fluoro	H	2, 6 - difluorophenyl
	fluoro	H	3, 4 - difluorophenyl
	fluoro	H	3, 5 - difluorophenyl
	fluoro	H	2 - chlorophenyl
	fluoro	H	3 - chlorophenyl
25	fluoro	H	4 - chlorophenyl
	fluoro	H	2, 4 - dichlorophenyl
	fluoro	H	3, 4 - dichlorophenyl
	fluoro	H	2 - bromophenyl
30	fluoro	H	3 - bromophenyl
	fluoro	H	4 - bromophenyl
	fluoro	H	2 - methylphenyl
	fluoro	H	3 - methylphenyl
	fluoro	H	4 - methylphenyl
35	fluoro	H	2 - methoxyphenyl
	fluoro	H	3 - methoxyphenyl
	fluoro	H	4 - methoxyphenyl
	fluoro	H	2, 3 - dimethoxyphenyl
	fluoro	H	2, 4 - dimethoxyphenyl
40	fluoro	H	3, 4 - dimethoxyphenyl
	fluoro	H	3, 5 - dimethoxyphenyl
	fluoro	H	3, 4 - (methylenedioxy) phenyl
	fluoro	H	3, 4 - (ethylenedioxy) phenyl
	fluoro	H	2 - hydroxyphenyl
45	fluoro	H	3 - hydroxyphenyl
	fluoro	H	4 - hydroxyphenyl
	fluoro	H	2 - aminophenyl
	fluoro	H	3 - aminophenyl
	fluoro	H	4 - aminophenyl
50	fluoro	H	2 - (methylamino) phenyl
	fluoro	H	3 - (methylamino) phenyl
	fluoro	H	4 - (methylamino) phenyl
	fluoro	H	2 - (dimethylamino) phenyl
55	fluoro	H	3 - (dimethylamino) phenyl
	fluoro	H	4 - (dimethylamino) phenyl

Table 3(continuation 4)

m	R ¹	R ³	Z
5	fluoro	H	2 - carboxyphenyl
	fluoro	H	3 - carboxyphenyl
	fluoro	H	4 - carboxyphenyl
10	fluoro	H	2 - (methylcarbamoyl) phenyl
	fluoro	H	3 - (methylcarbamoyl) phenyl
	fluoro	H	4 - (methylcarbamoyl) phenyl
	fluoro	H	2 - (methoxycarbonyl) phenyl
	fluoro	H	3 - (methoxycarbonyl) phenyl
15	fluoro	H	4 - (methoxycarbonyl) phenyl
	fluoro	H	2 - (ethoxycarbonyl) phenyl
	fluoro	H	3 - (ethoxycarbonyl) phenyl
	fluoro	H	4 - (ethoxycarbonyl) phenyl
20	fluoro	H	2 - (acetoxy) phenyl
	fluoro	H	3 - (acetoxy) phenyl
	fluoro	H	4 - (acetoxy) phenyl
	fluoro	H	2 - (propionyloxy) phenyl
	fluoro	H	3 - (propionyloxy) phenyl
25	fluoro	H	4 - (propionyloxy) phenyl
	fluoro	H	2 - trifluoromethylphenyl
	fluoro	H	3 - trifluoromethylphenyl
	fluoro	H	4 - trifluoromethylphenyl
	fluoro	H	2 - thiaryl
30	fluoro	H	3 - thiaryl
	fluoro	H	2 - furyl
	fluoro	H	3 - furyl
	fluoro	H	2 - pyridyl
	fluoro	H	3 - pyridyl
35	fluoro	H	4 - pyridyl
	chloro	H	phenyl
	chloro	H	2 - fluorophenyl
	chloro	H	3 - fluorophenyl
40	chloro	H	4 - fluorophenyl
	chloro	H	2, 4 - difluorophenyl
	chloro	H	2, 5 - difluorophenyl
	chloro	H	2, 6 - difluorophenyl
	chloro	H	3, 4 - difluorophenyl
45	chloro	H	3, 5 - difluorophenyl
	chloro	H	2 - chlorophenyl
	chloro	H	3 - chlorophenyl
	chloro	H	4 - chlorophenyl
	chloro	H	2, 4 - dichlorophenyl
50	chloro	H	3, 4 - dichlorophenyl
	chloro	H	2 - bromophenyl
	chloro	H	3 - bromophenyl
	chloro	H	4 - bromophenyl
	chloro	H	2 - methylphenyl
55	chloro	H	3 - methylphenyl
	chloro	H	4 - methylphenyl

Table 3(continuation 5)

m	R ¹	R ³	Z
5	chloro	H	2 - methoxyphenyl
	chloro	H	3 - methoxyphenyl
	chloro	H	4 - methoxyphenyl
10	chloro	H	2, 3 - dimethoxyphenyl
	chloro	H	2, 4 - dimethoxyphenyl
	chloro	H	3, 4 - dimethoxyphenyl
	chloro	H	3, 5 - dimethoxyphenyl
15	chloro	H	3, 4 - (methylenedioxy) phenyl
	chloro	H	3, 4 - (ethylenedioxy) phenyl
	chloro	H	2 - hydroxyphenyl
	chloro	H	3 - hydroxyphenyl
	chloro	H	4 - hydroxyphenyl
20	chloro	H	2 - aminophenyl
	chloro	H	3 - aminophenyl
	chloro	H	2 - (methylamino) phenyl
	chloro	H	3 - (methylamino) phenyl
	chloro	H	4 - (methylamino) phenyl
25	chloro	H	2 - (dimethylamino) phenyl
	chloro	H	3 - (dimethylamino) phenyl
	chloro	H	4 - (dimethylamino) phenyl
	chloro	H	2 - carboxyphenyl
	chloro	H	3 - carboxyphenyl
30	chloro	H	4 - carboxyphenyl
	chloro	H	2 - (methylcarbamoyl) phenyl
	chloro	H	3 - (methylcarbamoyl) phenyl
	chloro	H	4 - (methylcarbamoyl) phenyl
	chloro	H	2 - (methoxycarbonyl) phenyl
35	chloro	H	3 - (methoxycarbonyl) phenyl
	chloro	H	4 - (methoxycarbonyl) phenyl
	chloro	H	2 - (ethoxycarbonyl) phenyl
	chloro	H	3 - (ethoxycarbonyl) phenyl
	chloro	H	4 - (ethoxycarbonyl) phenyl
40	chloro	H	2 - (acetoxy) phenyl
	chloro	H	3 - (acetoxy) phenyl
	chloro	H	4 - (acetoxy) phenyl
	chloro	H	2 - (propionyloxy) phenyl
	chloro	H	3 - (propionyloxy) phenyl
45	chloro	H	4 - (propionyloxy) phenyl
	chloro	H	2 - trifluoromethylphenyl
	chloro	H	3 - trifluoromethylphenyl
	chloro	H	4 - trifluoromethylphenyl
	chloro	H	2 - thienyl
50	chloro	H	3 - thienyl
	chloro	H	2 - furyl
	chloro	H	3 - furyl
	chloro	H	2 - pyridyl
55	chloro	H	3 - pyridyl
	chloro	H	4 - pyridyl

Table 3(continuation 6)

m	R ¹	R ³	Z
5	1	nitro	methyl phenyl
10	1	nitro	methyl 2 - fluorophenyl
15	1	nitro	methyl 3 - fluorophenyl
20	1	nitro	methyl 4 - fluorophenyl
25	1	nitro	methyl 2, 4 - difluorophenyl
30	1	nitro	methyl 2, 5 - difluorophenyl
35	1	nitro	methyl 2, 6 - difluorophenyl
40	1	nitro	methyl 3, 4 - difluorophenyl
45	1	nitro	methyl 3, 5 - difluorophenyl
50	1	nitro	methyl 2 - chlorophenyl
55	1	nitro	methyl 3 - chlorophenyl
	1	nitro	methyl 4 - chlorophenyl
	1	nitro	methyl 2, 4 - dichlorophenyl
	1	nitro	methyl 3, 4 - dichlorophenyl
	1	nitro	methyl 2 - bromophenyl
	1	nitro	methyl 3 - bromophenyl
	1	nitro	methyl 4 - bromophenyl
	1	nitro	methyl 2 - methylphenyl
	1	nitro	methyl 3 - methylphenyl
	1	nitro	methyl 4 - methylphenyl
	1	nitro	methyl 2 - methoxyphenyl
	1	nitro	methyl 3 - methoxyphenyl
	1	nitro	methyl 4 - methoxyphenyl
	1	nitro	methyl 2, 3 - dimethoxyphenyl
	1	nitro	methyl 2, 4 - dimethoxyphenyl
	1	nitro	methyl 3, 4 - dimethoxyphenyl
	1	nitro	methyl 3, 5 - dimethoxyphenyl
	1	nitro	methyl 3, 4 - (methylenedioxy) phenyl
	1	nitro	methyl 3, 4 - (ethylenedioxy) phenyl
	1	nitro	methyl 2 - hydroxyphenyl
	1	nitro	methyl 3 - hydroxyphenyl
	1	nitro	methyl 4 - hydroxyphenyl
	1	nitro	methyl 2 - aminophenyl
	1	nitro	methyl 3 - aminophenyl
	1	nitro	methyl 4 - aminophenyl
	1	nitro	methyl 2 - (methylamino) phenyl
	1	nitro	methyl 3 - (methylamino) phenyl
	1	nitro	methyl 4 - (methylamino) phenyl
	1	nitro	methyl 2 - (dimethylamino) phenyl
	1	nitro	methyl 3 - (dimethylamino) phenyl
	1	nitro	methyl 4 - (dimethylamino) phenyl
	1	nitro	methyl 2 - carboxyphenyl
	1	nitro	methyl 3 - carboxyphenyl
	1	nitro	methyl 4 - carboxyphenyl
	1	nitro	methyl 2 - (methylcarbamoyl) phenyl
	1	nitro	methyl 3 - (methylcarbamoyl) phenyl
	1	nitro	methyl 4 - (methylcarbamoyl) phenyl
	1	nitro	methyl 2 - (methoxycarbonyl) phenyl

Table 3(continuation 7)

m	R ¹	R ³	Z
5	nitro	methyl	3 - (methoxycarbonyl) phenyl
1	nitro	methyl	4 - (methoxycarbonyl) phenyl
1	nitro	methyl	2 - (ethoxycarbonyl) phenyl
1	nitro	methyl	3 - (ethoxycarbonyl) phenyl
10	nitro	methyl	4 - (ethoxycarbonyl) phenyl
1	nitro	methyl	2 - (acetoxy) phenyl
1	nitro	methyl	3 - (acetoxy) phenyl
1	nitro	methyl	4 - (acetoxy) phenyl
15	nitro	methyl	2 - (propionyloxy) phenyl
1	nitro	methyl	3 - (propionyloxy) phenyl
1	nitro	methyl	4 - (propionyloxy) phenyl
1	nitro	methyl	2 - trifluoromethylphenyl
1	nitro	methyl	3 - trifluoromethylphenyl
20	nitro	methyl	4 - trifluoromethylphenyl
1	nitro	methyl	2 - thiienyl
1	nitro	methyl	3 - thiienyl
1	nitro	methyl	2 - furyl
1	nitro	methyl	3 - furyl
25	nitro	methyl	2 - pyridyl
1	nitro	methyl	3 - pyridyl
1	nitro	methyl	4 - pyridyl
2	nitro	methyl	phenyl
2	nitro	methyl	2 - fluorophenyl
30	nitro	methyl	3 - fluorophenyl
2	nitro	methyl	4 - fluorophenyl
2	nitro	methyl	2, 4 - difluorophenyl
2	nitro	methyl	2, 5 - difluorophenyl
35	nitro	methyl	2, 6 - difluorophenyl
2	nitro	methyl	3, 4 - difluorophenyl
2	nitro	methyl	3, 5 - difluorophenyl
2	nitro	methyl	2 - chlorophenyl
2	nitro	methyl	3 - chlorophenyl
40	nitro	methyl	4 - chlorophenyl
2	nitro	methyl	2, 4 - dichlorophenyl
2	nitro	methyl	3, 4 - dichlorophenyl
2	nitro	methyl	2 - bromophenyl
45	nitro	methyl	3 - bromophenyl
2	nitro	methyl	4 - bromophenyl
2	nitro	methyl	2 - methylphenyl
2	nitro	methyl	3 - methylphenyl
2	nitro	methyl	4 - methylphenyl
50	nitro	methyl	2 - methoxyphenyl
2	nitro	methyl	3 - methoxyphenyl
2	nitro	methyl	4 - methoxyphenyl
2	nitro	methyl	2, 3 - dimethoxyphenyl
2	nitro	methyl	2, 4 - dimethoxyphenyl
55	nitro	methyl	3, 4 - dimethoxyphenyl
	nitro	methyl	3, 5 - dimethoxyphenyl

Table 3(continuation 8)

m	R ¹	R ³	Z
5	2	nitro	methyl 3, 4 - (methylenedioxy) phenyl
	2	nitro	methyl 3, 4 - (ethylenedioxy) phenyl
	2	nitro	methyl 2 - hydroxyphenyl
10	2	nitro	methyl 3 - hydroxyphenyl
	2	nitro	methyl 4 - hydroxyphenyl
	2	nitro	methyl 2 - aminophenyl
	2	nitro	methyl 3 - aminophenyl
15	2	nitro	methyl 4 - aminophenyl
	2	nitro	methyl 2 - (methylamino) phenyl
	2	nitro	methyl 3 - (methylamino) phenyl
	2	nitro	methyl 4 - (methylamino) phenyl
20	2	nitro	methyl 2 - (dimethylamino) phenyl
	2	nitro	methyl 3 - (dimethylamino) phenyl
	2	nitro	methyl 4 - (dimethylamino) phenyl
	2	nitro	methyl 2 - carboxyphenyl
	2	nitro	methyl 3 - carboxyphenyl
	2	nitro	methyl 4 - carboxyphenyl
25	2	nitro	methyl 2 - (methylcarbamoyl) phenyl
	2	nitro	methyl 3 - (methylcarbamoyl) phenyl
	2	nitro	methyl 4 - (methylcarbamoyl) phenyl
	2	nitro	methyl 2 - (methoxycarbonyl) phenyl
	2	nitro	methyl 3 - (methoxycarbonyl) phenyl
30	2	nitro	methyl 4 - (methoxycarbonyl) phenyl
	2	nitro	methyl 2 - (ethoxycarbonyl) phenyl
	2	nitro	methyl 3 - (ethoxycarbonyl) phenyl
	2	nitro	methyl 4 - (ethoxycarbonyl) phenyl
35	2	nitro	methyl 2 - (acetoxy) phenyl
	2	nitro	methyl 3 - (acetoxy) phenyl
	2	nitro	methyl 4 - (acetoxy) phenyl
	2	nitro	methyl 2 - (propionyloxy) phenyl
	2	nitro	methyl 3 - (propionyloxy) phenyl
40	2	nitro	methyl 4 - (propionyloxy) phenyl
	2	nitro	methyl 2 - trifluoromethylphenyl
	2	nitro	methyl 3 - trifluoromethylphenyl
	2	nitro	methyl 4 - trifluoromethylphenyl
	2	nitro	methyl 2 - thieryl
45	2	nitro	methyl 3 - thieryl
	2	nitro	methyl 2 - furyl
	2	nitro	methyl 3 - furyl
	2	nitro	methyl 2 - pyridyl
	2	nitro	methyl 3 - pyridyl
	2	nitro	methyl 4 - pyridyl
50	1	nitro	ethyl phenyl
	1	nitro	ethyl 2 - fluorophenyl
	1	nitro	ethyl 3 - fluorophenyl
	1	nitro	ethyl 4 - fluorophenyl
55	1	nitro	ethyl 2, 4 - difluorophenyl
	1	nitro	ethyl 2, 5 - difluorophenyl

Table 3(continuation 9)

m	R ¹	R ³	Z
5	nitro	ethyl	2, 6 - difluorophenyl
	nitro	ethyl	3, 4 - difluorophenyl
	nitro	ethyl	3, 5 - difluorophenyl
10	nitro	ethyl	2 - chlorophenyl
	nitro	ethyl	3 - chlorophenyl
	nitro	ethyl	4 - chlorophenyl
15	nitro	ethyl	2, 4 - dichlorophenyl
	nitro	ethyl	3, 4 - dichlorophenyl
	nitro	ethyl	2 - bromophenyl
	nitro	ethyl	3 - bromophenyl
	nitro	ethyl	4 - bromophenyl
20	nitro	ethyl	2 - methylphenyl
	nitro	ethyl	3 - methylphenyl
	nitro	ethyl	4 - methylphenyl
25	nitro	ethyl	2 - methoxyphenyl
	nitro	ethyl	3 - methoxyphenyl
	nitro	ethyl	4 - methoxyphenyl
30	nitro	ethyl	2, 3 - dimethoxyphenyl
	nitro	ethyl	2, 4 - dimethoxyphenyl
	nitro	ethyl	3, 4 - dimethoxyphenyl
35	nitro	ethyl	3, 5 - dimethoxyphenyl
	nitro	ethyl	3, 4 - (methylenedioxy) phenyl
	nitro	ethyl	3, 4 - (ethylenedioxy) phenyl
40	nitro	ethyl	2 - hydroxyphenyl
	nitro	ethyl	3 - hydroxyphenyl
	nitro	ethyl	4 - hydroxyphenyl
45	nitro	ethyl	2 - aminophenyl
	nitro	ethyl	3 - aminophenyl
	nitro	ethyl	4 - aminophenyl
50	nitro	ethyl	2 - (methylamino) phenyl
	nitro	ethyl	3 - (methylamino) phenyl
	nitro	ethyl	4 - (methylamino) phenyl
55	nitro	ethyl	2 - (dimethylamino) phenyl
	nitro	ethyl	3 - (dimethylamino) phenyl
	nitro	ethyl	4 - (dimethylamino) phenyl
	nitro	ethyl	2 - carboxyphenyl
	nitro	ethyl	3 - carboxyphenyl
	nitro	ethyl	4 - carboxyphenyl
	nitro	ethyl	2 - (methylcarbamoyl) phenyl
	nitro	ethyl	3 - (methylcarbamoyl) phenyl
	nitro	ethyl	4 - (methylcarbamoyl) phenyl
	nitro	ethyl	2 - (methoxycarbonyl) phenyl
	nitro	ethyl	3 - (methoxycarbonyl) phenyl
	nitro	ethyl	4 - (methoxycarbonyl) phenyl
	nitro	ethyl	2 - (ethoxycarbonyl) phenyl
	nitro	ethyl	3 - (ethoxycarbonyl) phenyl
	nitro	ethyl	4 - (ethoxycarbonyl) phenyl
	nitro	ethyl	2 - (acetoxy) phenyl

Table 3(continuation 10)

m	R ¹	R ³	Z
5	nitro	ethyl	3 - (acetoxy) phenyl
	nitro	ethyl	4 - (acetoxy) phenyl
	nitro	ethyl	2 - (propionyloxy) phenyl
	nitro	ethyl	3 - (propionyloxy) phenyl
10	nitro	ethyl	4 - (propionyloxy) phenyl
	nitro	ethyl	2 - trifluoromethylphenyl
	nitro	ethyl	3 - trifluoromethylphenyl
	nitro	ethyl	4 - trifluoromethylphenyl
15	nitro	ethyl	2 - thiienyl
	nitro	ethyl	3 - thiienyl
	nitro	ethyl	2 - furyl
	nitro	ethyl	3 - furyl
20	nitro	ethyl	2 - pyridyl
	nitro	ethyl	3 - pyridyl
	nitro	ethyl	4 - pyridyl
25	2	nitro	ethyl phenyl
	2	nitro	ethyl 2 - fluorophenyl
	2	nitro	ethyl 3 - fluorophenyl
	2	nitro	ethyl 4 - fluorophenyl
	2	nitro	ethyl 2, 4 - difluorophenyl
	2	nitro	ethyl 2, 5 - difluorophenyl
	2	nitro	ethyl 2, 6 - difluorophenyl
30	2	nitro	ethyl 3, 4 - difluorophenyl
	2	nitro	ethyl 3, 5 - difluorophenyl
	2	nitro	ethyl 2 - chlorophenyl
	2	nitro	ethyl 3 - chlorophenyl
	2	nitro	ethyl 4 - chlorophenyl
35	2	nitro	ethyl 2, 4 - dichlorophenyl
	2	nitro	ethyl 3, 4 - dichlorophenyl
	2	nitro	ethyl 2 - bromophenyl
	2	nitro	ethyl 3 - bromophenyl
	2	nitro	ethyl 4 - bromophenyl
40	2	nitro	ethyl 2 - methylphenyl
	2	nitro	ethyl 3 - methylphenyl
	2	nitro	ethyl 4 - methylphenyl
	2	nitro	ethyl 2 - methoxyphenyl
	2	nitro	ethyl 3 - methoxyphenyl
45	2	nitro	ethyl 4 - methoxyphenyl
	2	nitro	ethyl 2, 3 - dimethoxyphenyl
	2	nitro	ethyl 2, 4 - dimethoxyphenyl
	2	nitro	ethyl 3, 4 - dimethoxyphenyl
	2	nitro	ethyl 3, 5 - dimethoxyphenyl
50	2	nitro	ethyl 3, 4 - (methylenedioxy) phenyl
	2	nitro	ethyl 3, 4 - (ethylenedioxy) phenyl
	2	nitro	ethyl 2 - hydroxyphenyl
	2	nitro	ethyl 3 - hydroxyphenyl
55	2	nitro	ethyl 4 - hydroxyphenyl
	2	nitro	ethyl 2 - aminophenyl

Table 3(continuation 11)

m	R ¹	R ³	Z
5	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
10	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
15	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
20	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
25	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
30	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
35	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
40	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
45	2	nitro	ethyl
	2	nitro	ethyl
	2	nitro	ethyl
50	1	nitro	propyl
	1	nitro	propyl
	1	nitro	propyl
55	1	nitro	propyl
	1	nitro	propyl
	1	nitro	propyl

Table 3(continuation 12)

m	R ¹	R ³	Z	
5	1	nitro	propyl	2, 4 - dichlorophenyl
	1	nitro	propyl	3, 4 - dichlorophenyl
	1	nitro	propyl	2 - bromophenyl
10	1	nitro	propyl	3 - bromophenyl
	1	nitro	propyl	4 - bromophenyl
	1	nitro	propyl	2 - methylphenyl
	1	nitro	propyl	3 - methylphenyl
15	1	nitro	propyl	4 - methylphenyl
	1	nitro	propyl	2 - methoxyphenyl
	1	nitro	propyl	3 - methoxyphenyl
	1	nitro	propyl	4 - methoxyphenyl
20	1	nitro	propyl	2, 3 - dimethoxyphenyl
	1	nitro	propyl	2, 4 - dimethoxyphenyl
	1	nitro	propyl	3, 4 - dimethoxyphenyl
	1	nitro	propyl	3, 5 - dimethoxyphenyl
25	1	nitro	propyl	3, 4 - (methylenedioxy) phenyl
	1	nitro	propyl	3, 4 - (ethylenedioxy) phenyl
	1	nitro	propyl	2 - hydroxyphenyl
	1	nitro	propyl	3 - hydroxyphenyl
	1	nitro	propyl	4 - hydroxyphenyl
30	1	nitro	propyl	2 - aminophenyl
	1	nitro	propyl	3 - aminophenyl
	1	nitro	propyl	4 - aminophenyl
	1	nitro	propyl	2 - (methylamino) phenyl
	1	nitro	propyl	3 - (methylamino) phenyl
35	1	nitro	propyl	4 - (methylamino) phenyl
	1	nitro	propyl	2 - (dimethylamino) phenyl
	1	nitro	propyl	3 - (dimethylamino) phenyl
	1	nitro	propyl	4 - (dimethylamino) phenyl
40	1	nitro	propyl	2 - carboxyphenyl
	1	nitro	propyl	3 - carboxyphenyl
	1	nitro	propyl	4 - carboxyphenyl
	1	nitro	propyl	2 - (methylcarbamoyl) phenyl
	1	nitro	propyl	3 - (methylcarbamoyl) phenyl
45	1	nitro	propyl	4 - (methylcarbamoyl) phenyl
	1	nitro	propyl	2 - (methoxycarbonyl) phenyl
	1	nitro	propyl	3 - (methoxycarbonyl) phenyl
	1	nitro	propyl	4 - (methoxycarbonyl) phenyl
	1	nitro	propyl	2 - (ethoxycarbonyl) phenyl
50	1	nitro	propyl	3 - (ethoxycarbonyl) phenyl
	1	nitro	propyl	4 - (ethoxycarbonyl) phenyl
	1	nitro	propyl	2 - (acetoxy) phenyl
	1	nitro	propyl	3 - (acetoxy) phenyl
	1	nitro	propyl	4 - (acetoxy) phenyl
55	1	nitro	propyl	2 - (propionyloxy) phenyl
	1	nitro	propyl	3 - (propionyloxy) phenyl
	1	nitro	propyl	4 - (propionyloxy) phenyl
	1	nitro	propyl	2 - trifluoromethylphenyl

Table 3(continuation 13)

m	R ¹	R ³	Z
5	nitro	propyl	3 - trifluoromethylphenyl
	nitro	propyl	4 - trifluoromethylphenyl
10	nitro	propyl	2 - thiienyl
	nitro	propyl	3 - thiienyl
15	nitro	propyl	2 - furyl
	nitro	propyl	3 - furyl
20	nitro	propyl	2 - pyridyl
	nitro	propyl	3 - pyridyl
25	nitro	propyl	4 - pyridyl
	2	nitro	propyl phenyl
30	2	nitro	propyl 2 - fluorophenyl
	2	nitro	propyl 3 - fluorophenyl
35	2	nitro	propyl 4 - fluorophenyl
	2	nitro	propyl 2, 4 - difluorophenyl
40	2	nitro	propyl 2, 5 - difluorophenyl
	2	nitro	propyl 2, 6 - difluorophenyl
45	2	nitro	propyl 3, 4 - difluorophenyl
	2	nitro	propyl 3, 5 - difluorophenyl
50	2	nitro	propyl 2 - chlorophenyl
	2	nitro	propyl 3 - chlorophenyl
55	2	nitro	propyl 4 - chlorophenyl
	2	nitro	propyl 2, 4 - dichlorophenyl
	2	nitro	propyl 3, 4 - dichlorophenyl
	2	nitro	propyl 2 - bromophenyl
	2	nitro	propyl 3 - bromophenyl
	2	nitro	propyl 4 - bromophenyl
	2	nitro	propyl 2 - methylphenyl
	2	nitro	propyl 3 - methylphenyl
	2	nitro	propyl 4 - methylphenyl
	2	nitro	propyl 2 - methoxyphenyl
	2	nitro	propyl 3 - methoxyphenyl
	2	nitro	propyl 4 - methoxyphenyl
	2	nitro	propyl 2, 3 - dimethoxyphenyl
	2	nitro	propyl 2, 4 - dimethoxyphenyl
	2	nitro	propyl 3, 4 - dimethoxyphenyl
	2	nitro	propyl 3, 5 - dimethoxyphenyl
	2	nitro	propyl 3, 4 - (methylenedioxy) phenyl
	2	nitro	propyl 3, 4 - (ethylenedioxy) phenyl
	2	nitro	propyl 2 - hydroxyphenyl
	2	nitro	propyl 3 - hydroxyphenyl
	2	nitro	propyl 4 - hydroxyphenyl
	2	nitro	propyl 2 - aminophenyl
	2	nitro	propyl 3 - aminophenyl
	2	nitro	propyl 4 - aminophenyl
	2	nitro	propyl 2 - (methylamino) phenyl
	2	nitro	propyl 3 - (methylamino) phenyl
	2	nitro	propyl 4 - (methylamino) phenyl
	2	nitro	propyl 2 - (dimethylamino) phenyl

Table 3(continuation 14)

m	R ¹	R ³	Z
5	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
10	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
15	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
20	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
25	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
30	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
35	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	1	nitro	isopropyl
40	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
45	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
50	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
55	1	nitro	isopropyl
	1	nitro	isopropyl

Table 3(continuation 15)

m	R ¹	R ³	Z
5	nitro	isopropyl	3 - methylphenyl
	nitro	isopropyl	4 - methylphenyl
	nitro	isopropyl	2 - methoxyphenyl
	nitro	isopropyl	3 - methoxyphenyl
10	nitro	isopropyl	4 - methoxyphenyl
	nitro	isopropyl	2, 3 - dimethoxyphenyl
	nitro	isopropyl	2, 4 - dimethoxyphenyl
	nitro	isopropyl	3, 4 - dimethoxyphenyl
15	nitro	isopropyl	3, 5 - dimethoxyphenyl
	nitro	isopropyl	3, 4 - (methylenedioxy) phenyl
	nitro	isopropyl	3, 4 - (ethylenedioxy) phenyl
	nitro	isopropyl	2 - hydroxyphenyl
20	nitro	isopropyl	3 - hydroxyphenyl
	nitro	isopropyl	4 - hydroxyphenyl
	nitro	isopropyl	2 - aminophenyl
	nitro	isopropyl	3 - aminophenyl
	nitro	isopropyl	4 - aminophenyl
25	nitro	isopropyl	2 - (methylamino) phenyl
	nitro	isopropyl	3 - (methylamino) phenyl
	nitro	isopropyl	4 - (methylamino) phenyl
	nitro	isopropyl	2 - (dimethylamino) phenyl
	nitro	isopropyl	3 - (dimethylamino) phenyl
30	nitro	isopropyl	4 - (dimethylamino) phenyl
	nitro	isopropyl	2 - carboxyphenyl
	nitro	isopropyl	3 - carboxyphenyl
	nitro	isopropyl	4 - carboxyphenyl
	nitro	isopropyl	2 - (methylcarbamoyl) phenyl
35	nitro	isopropyl	3 - (methylcarbamoyl) phenyl
	nitro	isopropyl	4 - (methylcarbamoyl) phenyl
	nitro	isopropyl	2 - (methoxycarbonyl) phenyl
	nitro	isopropyl	3 - (methoxycarbonyl) phenyl
	nitro	isopropyl	4 - (methoxycarbonyl) phenyl
40	nitro	isopropyl	2 - (ethoxycarbonyl) phenyl
	nitro	isopropyl	3 - (ethoxycarbonyl) phenyl
	nitro	isopropyl	4 - (ethoxycarbonyl) phenyl
	nitro	isopropyl	2 - (acetoxy) phenyl
45	nitro	isopropyl	3 - (acetoxy) phenyl
	nitro	isopropyl	4 - (acetoxy) phenyl
	nitro	isopropyl	2 - (propionyloxy) phenyl
	nitro	isopropyl	3 - (propionyloxy) phenyl
	nitro	isopropyl	4 - (propionyloxy) phenyl
50	nitro	isopropyl	2 - trifluoromethylphenyl
	nitro	isopropyl	3 - trifluoromethylphenyl
	nitro	isopropyl	4 - trifluoromethylphenyl
	nitro	isopropyl	2 - thienyl
	nitro	isopropyl	3 - thienyl
55	nitro	isopropyl	2 - furyl
	nitro	isopropyl	3 - furyl

Table 3(continuation 16)

m	R ¹	R ³	Z
5	nitro	isopropyl	2 - pyridyl
10	nitro	isopropyl	3 - pyridyl
15	nitro	isopropyl	4 - pyridyl
20	nitro	isopropyl	phenyl
25	nitro	isopropyl	2 - fluorophenyl
30	nitro	isopropyl	3 - fluorophenyl
35	nitro	isopropyl	4 - fluorophenyl
40	nitro	isopropyl	2, 4 - difluorophenyl
45	nitro	isopropyl	2, 5 - difluorophenyl
50	nitro	isopropyl	2, 6 - difluorophenyl
55	nitro	isopropyl	3, 4 - difluorophenyl
	nitro	isopropyl	3, 5 - difluorophenyl
	nitro	isopropyl	2 - chlorophenyl
	nitro	isopropyl	3 - chlorophenyl
	nitro	isopropyl	4 - chlorophenyl
	nitro	isopropyl	2, 4 - dichlorophenyl
	nitro	isopropyl	3, 4 - dichlorophenyl
	nitro	isopropyl	2 - bromophenyl
	nitro	isopropyl	3 - bromophenyl
	nitro	isopropyl	4 - bromophenyl
	nitro	isopropyl	2 - methoxyphenyl
	nitro	isopropyl	3 - methoxyphenyl
	nitro	isopropyl	4 - methoxyphenyl
	nitro	isopropyl	2, 3 - dimethoxyphenyl
	nitro	isopropyl	2, 4 - dimethoxyphenyl
	nitro	isopropyl	3, 4 - dimethoxyphenyl
	nitro	isopropyl	3, 5 - dimethoxyphenyl
	nitro	isopropyl	3, 4 - (methylenedioxy) phenyl
	nitro	isopropyl	3, 4 - (ethylenedioxy) phenyl
	nitro	isopropyl	2 - hydroxyphenyl
	nitro	isopropyl	3 - hydroxyphenyl
	nitro	isopropyl	4 - hydroxyphenyl
	nitro	isopropyl	2 - aminophenyl
	nitro	isopropyl	3 - aminophenyl
	nitro	isopropyl	4 - aminophenyl
	nitro	isopropyl	2 - (methylamino) phenyl
	nitro	isopropyl	3 - (methylamino) phenyl
	nitro	isopropyl	4 - (methylamino) phenyl
	nitro	isopropyl	2 - (dimethylamino) phenyl
	nitro	isopropyl	3 - (dimethylamino) phenyl
	nitro	isopropyl	4 - (dimethylamino) phenyl
	nitro	isopropyl	2 - carboxyphenyl
	nitro	isopropyl	3 - carboxyphenyl
	nitro	isopropyl	4 - carboxyphenyl
	nitro	isopropyl	2 - (methylcarbamoyl) phenyl

Table 3(continuation 17)

m	R ¹	R ³	Z
5	2	nitro	isopropyl 3 - (methylcarbamoyl) phenyl
10	2	nitro	isopropyl 4 - (methylcarbamoyl) phenyl
15	2	nitro	isopropyl 2 - (methoxycarbonyl) phenyl
20	2	nitro	isopropyl 3 - (methoxycarbonyl) phenyl
25	2	nitro	isopropyl 4 - (methoxycarbonyl) phenyl
30	2	nitro	isopropyl 2 - (ethoxycarbonyl) phenyl
35	2	nitro	isopropyl 3 - (ethoxycarbonyl) phenyl
40	2	nitro	isopropyl 4 - (ethoxycarbonyl) phenyl
45	2	nitro	isopropyl 4 - (ethoxycarbonyl) phenyl
50	2	nitro	isopropyl 2 - (acetoxy) phenyl
55	2	nitro	isopropyl 3 - (acetoxy) phenyl
	2	nitro	isopropyl 4 - (acetoxy) phenyl
	2	nitro	isopropyl 2 - (propionyloxy) phenyl
	2	nitro	isopropyl 3 - (propionyloxy) phenyl
	2	nitro	isopropyl 4 - (propionyloxy) phenyl
	2	nitro	isopropyl 2 - trifluoromethylphenyl
	2	nitro	isopropyl 3 - trifluoromethylphenyl
	2	nitro	isopropyl 4 - trifluoromethylphenyl
	2	nitro	isopropyl 2 - thiienyl
	2	nitro	isopropyl 3 - thiienyl
	2	nitro	isopropyl 2 - furyl
	2	nitro	isopropyl 3 - furyl
	2	nitro	isopropyl 2 - pyridyl
	2	nitro	isopropyl 3 - pyridyl
	2	nitro	isopropyl 4 - pyridyl
	1	nitro	butyl phenyl
	1	nitro	butyl 2 - fluorophenyl
	1	nitro	butyl 3 - fluorophenyl
	1	nitro	butyl 4 - fluorophenyl
	1	nitro	butyl 2, 4 - difluorophenyl
	1	nitro	butyl 2, 5 - difluorophenyl
	1	nitro	butyl 2, 6 - difluorophenyl
	1	nitro	butyl 3, 4 - difluorophenyl
	1	nitro	butyl 3, 5 - difluorophenyl
	1	nitro	butyl 2 - chlorophenyl
	1	nitro	butyl 3 - chlorophenyl
	1	nitro	butyl 4 - chlorophenyl
	1	nitro	butyl 2, 4 - dichlorophenyl
	1	nitro	butyl 3, 4 - dichlorophenyl
	1	nitro	butyl 2 - bromophenyl
	1	nitro	butyl 3 - bromophenyl
	1	nitro	butyl 4 - bromophenyl
	1	nitro	butyl 2 - methylphenyl
	1	nitro	butyl 3 - methylphenyl
	1	nitro	butyl 4 - methylphenyl
	1	nitro	butyl 2 - methoxyphenyl
	1	nitro	butyl 3 - methoxyphenyl
	1	nitro	butyl 4 - methoxyphenyl
	1	nitro	butyl 2, 3 - dimethoxyphenyl

Table 3(continuation 18)

m	R ¹	R ³	Z
5	nitro	butyl	2, 4 - dimethoxyphenyl
10	nitro	butyl	3, 4 - dimethoxyphenyl
15	nitro	butyl	3, 5 - dimethoxyphenyl
20	nitro	butyl	3, 4 - (methylenedioxy) phenyl
25	nitro	butyl	3, 4 - (ethylenedioxy) phenyl
30	nitro	butyl	2 - hydroxyphenyl
35	nitro	butyl	3 - hydroxyphenyl
40	nitro	butyl	4 - hydroxyphenyl
45	nitro	butyl	2 - aminophenyl
50	nitro	butyl	3 - aminophenyl
55	nitro	butyl	4 - aminophenyl
	nitro	butyl	2 - (methylamino) phenyl
	nitro	butyl	3 - (methylamino) phenyl
	nitro	butyl	4 - (methylamino) phenyl
	nitro	butyl	2 - (dimethylamino) phenyl
	nitro	butyl	3 - (dimethylamino) phenyl
	nitro	butyl	4 - (dimethylamino) phenyl
	nitro	butyl	2 - carboxyphenyl
	nitro	butyl	3 - carboxyphenyl
	nitro	butyl	4 - carboxyphenyl
	nitro	butyl	2 - (methylcarbamoyl) phenyl
	nitro	butyl	3 - (methylcarbamoyl) phenyl
	nitro	butyl	4 - (methylcarbamoyl) phenyl
	nitro	butyl	2 - (methoxycarbonyl) phenyl
	nitro	butyl	3 - (methoxycarbonyl) phenyl
	nitro	butyl	4 - (methoxycarbonyl) phenyl
	nitro	butyl	2 - (ethoxycarbonyl) phenyl
	nitro	butyl	3 - (ethoxycarbonyl) phenyl
	nitro	butyl	4 - (ethoxycarbonyl) phenyl
	nitro	butyl	2 - (acetoxy) phenyl
	nitro	butyl	3 - (acetoxy) phenyl
	nitro	butyl	4 - (acetoxy) phenyl
	nitro	butyl	2 - (propionyloxy) phenyl
	nitro	butyl	3 - (propionyloxy) phenyl
	nitro	butyl	4 - (propionyloxy) phenyl
	nitro	butyl	2 - trifluoromethylphenyl
	nitro	butyl	3 - trifluoromethylphenyl
	nitro	butyl	4 - trifluoromethylphenyl
	nitro	butyl	2 - thiienyl
	nitro	butyl	3 - thiienyl
	nitro	butyl	2 - furyl
	nitro	butyl	3 - furyl
	nitro	butyl	2 - pyridyl
	nitro	butyl	3 - pyridyl
	nitro	butyl	4 - pyridyl
	nitro	butyl	phenyl
	nitro	butyl	2 - fluorophenyl
	nitro	butyl	3 - fluorophenyl

Table 3(continuation 19)

m	R ¹	R ³	Z	
5	2	nitro	butyl	4 - fluorophenyl
10	2	nitro	butyl	2, 4 - difluorophenyl
15	2	nitro	butyl	2, 5 - difluorophenyl
20	2	nitro	butyl	2, 6 - difluorophenyl
25	2	nitro	butyl	3, 4 - difluorophenyl
30	2	nitro	butyl	3, 5 - difluorophenyl
35	2	nitro	butyl	2 - chlorophenyl
40	2	nitro	butyl	3 - chlorophenyl
45	2	nitro	butyl	4 - chlorophenyl
50	2	nitro	butyl	2, 4 - dichlorophenyl
55	2	nitro	butyl	3, 4 - dichlorophenyl
	2	nitro	butyl	2 - bromophenyl
	2	nitro	butyl	3 - bromophenyl
	2	nitro	butyl	4 - bromophenyl
	2	nitro	butyl	2 - methylphenyl
	2	nitro	butyl	3 - methylphenyl
	2	nitro	butyl	4 - methylphenyl
	2	nitro	butyl	2 - methoxyphenyl
	2	nitro	butyl	3 - methoxyphenyl
	2	nitro	butyl	4 - methoxyphenyl
	2	nitro	butyl	2, 3 - dimethoxyphenyl
	2	nitro	butyl	2, 4 - dimethoxyphenyl
	2	nitro	butyl	3, 4 - dimethoxyphenyl
	2	nitro	butyl	3, 5 - dimethoxyphenyl
	2	nitro	butyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	butyl	3, 4 - (ethylenedioxy) phenyl
	2	nitro	butyl	2 - hydroxyphenyl
	2	nitro	butyl	3 - hydroxyphenyl
	2	nitro	butyl	4 - hydroxyphenyl
	2	nitro	butyl	2 - aminophenyl
	2	nitro	butyl	3 - aminophenyl
	2	nitro	butyl	4 - aminophenyl
	2	nitro	butyl	2 - (methylamino) phenyl
	2	nitro	butyl	3 - (methylamino) phenyl
	2	nitro	butyl	4 - (methylamino) phenyl
	2	nitro	butyl	2 - (dimethylamino) phenyl
	2	nitro	butyl	3 - (dimethylamino) phenyl
	2	nitro	butyl	4 - (dimethylamino) phenyl
	2	nitro	butyl	2 - carboxyphenyl
	2	nitro	butyl	3 - carboxyphenyl
	2	nitro	butyl	4 - carboxyphenyl
	2	nitro	butyl	2 - (methylcarbamoyl) phenyl
	2	nitro	butyl	3 - (methylcarbamoyl) phenyl
	2	nitro	butyl	4 - (methylcarbamoyl) phenyl
	2	nitro	butyl	2 - (methoxycarbonyl) phenyl
	2	nitro	butyl	3 - (methoxycarbonyl) phenyl
	2	nitro	butyl	4 - (methoxycarbonyl) phenyl
	2	nitro	butyl	2 - (ethoxycarbonyl) phenyl

Table 3(continuation 20)

m	R ¹	R ³	Z
5	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
10	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
15	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
20	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
25	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
30	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
35	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
40	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
45	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
50	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
55	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl

Table 3(continuation 21)

m	R ¹	R ³	Z
5	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
10	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
15	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
20	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
25	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
30	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
35	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
40	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
45	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
50	2	nitro	hydroxyethyl
	2	nitro	hydroxyethyl
	2	nitro	hydroxyethyl
	2	nitro	hydroxyethyl
55	2	nitro	hydroxyethyl
	2	nitro	hydroxyethyl
	2	nitro	hydroxyethyl
	2	nitro	hydroxyethyl

Table 3(continuation 22)

m	R ¹	R ³	Z	
5	2	nitro	hydroxyethyl	2 - chlorophenyl
	2	nitro	hydroxyethyl	3 - chlorophenyl
	2	nitro	hydroxyethyl	4 - chlorophenyl
	2	nitro	hydroxyethyl	2, 4 - dichlorophenyl
10	2	nitro	hydroxyethyl	3, 4 - dichlorophenyl
	2	nitro	hydroxyethyl	2 - bromophenyl
	2	nitro	hydroxyethyl	3 - bromophenyl
	2	nitro	hydroxyethyl	4 - bromophenyl
15	2	nitro	hydroxyethyl	2 - methylphenyl
	2	nitro	hydroxyethyl	3 - methylphenyl
	2	nitro	hydroxyethyl	4 - methylphenyl
	2	nitro	hydroxyethyl	2 - methoxyphenyl
	2	nitro	hydroxyethyl	3 - methoxyphenyl
20	2	nitro	hydroxyethyl	4 - methoxyphenyl
	2	nitro	hydroxyethyl	2, 3 - dimethoxyphenyl
	2	nitro	hydroxyethyl	2, 4 - dimethoxyphenyl
	2	nitro	hydroxyethyl	3, 4 - dimethoxyphenyl
25	2	nitro	hydroxyethyl	3, 5 - dimethoxyphenyl
	2	nitro	hydroxyethyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	hydroxyethyl	3, 4 - (ethylenedioxy) phenyl
	2	nitro	hydroxyethyl	2 - hydroxyphenyl
	2	nitro	hydroxyethyl	3 - hydroxyphenyl
30	2	nitro	hydroxyethyl	4 - hydroxyphenyl
	2	nitro	hydroxyethyl	2 - aminophenyl
	2	nitro	hydroxyethyl	3 - aminophenyl
	2	nitro	hydroxyethyl	4 - aminophenyl
	2	nitro	hydroxyethyl	2 - (methylamino) phenyl
35	2	nitro	hydroxyethyl	3 - (methylamino) phenyl
	2	nitro	hydroxyethyl	4 - (methylamino) phenyl
	2	nitro	hydroxyethyl	2 - (dimethylamino) phenyl
	2	nitro	hydroxyethyl	3 - (dimethylamino) phenyl
	2	nitro	hydroxyethyl	4 - (dimethylamino) phenyl
40	2	nitro	hydroxyethyl	2 - carboxyphenyl
	2	nitro	hydroxyethyl	3 - carboxyphenyl
	2	nitro	hydroxyethyl	4 - carboxyphenyl
	2	nitro	hydroxyethyl	2 - (methylcarbamoyl) phenyl
45	2	nitro	hydroxyethyl	3 - (methylcarbamoyl) phenyl
	2	nitro	hydroxyethyl	4 - (methylcarbamoyl) phenyl
	2	nitro	hydroxyethyl	2 - (methoxycarbonyl) phenyl
	2	nitro	hydroxyethyl	3 - (methoxycarbonyl) phenyl
	2	nitro	hydroxyethyl	4 - (methoxycarbonyl) phenyl
	2	nitro	hydroxyethyl	2 - (ethoxycarbonyl) phenyl
50	2	nitro	hydroxyethyl	3 - (ethoxycarbonyl) phenyl
	2	nitro	hydroxyethyl	4 - (ethoxycarbonyl) phenyl
	2	nitro	hydroxyethyl	2 - (acyloxy) phenyl
	2	nitro	hydroxyethyl	3 - (acyloxy) phenyl
	2	nitro	hydroxyethyl	4 - (acyloxy) phenyl
55	2	nitro	hydroxyethyl	2 - (propionyloxy) phenyl

Table 3(continuation 23)

m	R ¹	R ³	Z	
5	2	nitro	hydroxyethyl	3 - (propionyloxy) phenyl
	2	nitro	hydroxyethyl	4 - (propionyloxy) phenyl
	2	nitro	hydroxyethyl	2 - trifluoromethylphenyl
	2	nitro	hydroxyethyl	3 - trifluoromethylphenyl
10	2	nitro	hydroxyethyl	4 - trifluoromethylphenyl
	2	nitro	hydroxyethyl	2 - thieryl
	2	nitro	hydroxyethyl	3 - thieryl
	2	nitro	hydroxyethyl	2 - furyl
	2	nitro	hydroxyethyl	3 - furyl
15	2	nitro	hydroxyethyl	2 - pyridyl
	2	nitro	hydroxyethyl	3 - pyridyl
	2	nitro	hydroxyethyl	4 - pyridyl
	1	nitro	methoxyethyl	phenyl
20	1	nitro	methoxyethyl	2 - fluorophenyl
	1	nitro	methoxyethyl	3 - fluorophenyl
	1	nitro	methoxyethyl	4 - fluorophenyl
	1	nitro	methoxyethyl	2, 4 - difluorophenyl
	1	nitro	methoxyethyl	2, 5 - difluorophenyl
25	1	nitro	methoxyethyl	2, 6 - difluorophenyl
	1	nitro	methoxyethyl	3, 4 - difluorophenyl
	1	nitro	methoxyethyl	3, 5 - difluorophenyl
	1	nitro	methoxyethyl	2 - chlorophenyl
	1	nitro	methoxyethyl	3 - chlorophenyl
30	1	nitro	methoxyethyl	4 - chlorophenyl
	1	nitro	methoxyethyl	2, 4 - dichlorophenyl
	1	nitro	methoxyethyl	3, 4 - dichlorophenyl
	1	nitro	methoxyethyl	2 - bromophenyl
35	1	nitro	methoxyethyl	3 - bromophenyl
	1	nitro	methoxyethyl	4 - bromophenyl
	1	nitro	methoxyethyl	2 - methylphenyl
	1	nitro	methoxyethyl	3 - methylphenyl
	1	nitro	methoxyethyl	4 - methylphenyl
40	1	nitro	methoxyethyl	2 - methoxyphenyl
	1	nitro	methoxyethyl	3 - methoxyphenyl
	1	nitro	methoxyethyl	4 - methoxyphenyl
	1	nitro	methoxyethyl	2, 3 - dimethoxyphenyl
	1	nitro	methoxyethyl	2, 4 - dimethoxyphenyl
45	1	nitro	methoxyethyl	3, 4 - dimethoxyphenyl
	1	nitro	methoxyethyl	3, 5 - dimethoxyphenyl
	1	nitro	methoxyethyl	3, 4 - (methylenedioxy) phenyl
	1	nitro	methoxyethyl	3, 4 - (ethylenedioxy) phenyl
	1	nitro	methoxyethyl	2 - hydroxyphenyl
50	1	nitro	methoxyethyl	3 - hydroxyphenyl
	1	nitro	methoxyethyl	4 - hydroxyphenyl
	1	nitro	methoxyethyl	2 - aminophenyl
	1	nitro	methoxyethyl	3 - aminophenyl
55	1	nitro	methoxyethyl	4 - aminophenyl

Table 3(continuation 24)

m	R ¹	R ³	Z
5	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
10	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
15	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
20	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
25	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
30	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
35	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
40	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
	1	nitro	methoxyethyl
45	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
50	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
55	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
	2	nitro	methoxyethyl
	2	nitro	methoxyethyl

Table 3(continuation 25)

m	R ¹	R ³	Z	
5	2	nitro	methoxyethyl	2 - bromophenyl
	2	nitro	methoxyethyl	3 - bromophenyl
	2	nitro	methoxyethyl	4 - bromophenyl
	2	nitro	methoxyethyl	2 - methylphenyl
10	2	nitro	methoxyethyl	3 - methylphenyl
	2	nitro	methoxyethyl	4 - methylphenyl
	2	nitro	methoxyethyl	2 - methoxyphenyl
	2	nitro	methoxyethyl	3 - methoxyphenyl
	2	nitro	methoxyethyl	4 - methoxyphenyl
15	2	nitro	methoxyethyl	2, 3 - dimethoxyphenyl
	2	nitro	methoxyethyl	2, 4 - dimethoxyphenyl
	2	nitro	methoxyethyl	3, 4 - dimethoxyphenyl
	2	nitro	methoxyethyl	3, 5 - dimethoxyphenyl
20	2	nitro	methoxyethyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	methoxyethyl	3, 4 - (ethylenedioxy) phenyl
	2	nitro	methoxyethyl	2 - hydroxyphenyl
	2	nitro	methoxyethyl	3 - hydroxyphenyl
	2	nitro	methoxyethyl	4 - hydroxyphenyl
25	2	nitro	methoxyethyl	2 - aminophenyl
	2	nitro	methoxyethyl	3 - aminophenyl
	2	nitro	methoxyethyl	4 - aminophenyl
	2	nitro	methoxyethyl	2 - (methylamino) phenyl
30	2	nitro	methoxyethyl	3 - (methylamino) phenyl
	2	nitro	methoxyethyl	4 - (methylamino) phenyl
	2	nitro	methoxyethyl	2 - (dimethylamino) phenyl
	2	nitro	methoxyethyl	3 - (dimethylamino) phenyl
	2	nitro	methoxyethyl	4 - (dimethylamino) phenyl
35	2	nitro	methoxyethyl	2 - carboxyphenyl
	2	nitro	methoxyethyl	3 - carboxyphenyl
	2	nitro	methoxyethyl	4 - carboxyphenyl
	2	nitro	methoxyethyl	2 - (methylcarbamoyl) phenyl
	2	nitro	methoxyethyl	3 - (methylcarbamoyl) phenyl
40	2	nitro	methoxyethyl	4 - (methylcarbamoyl) phenyl
	2	nitro	methoxyethyl	2 - (methoxycarbonyl) phenyl
	2	nitro	methoxyethyl	3 - (methoxycarbonyl) phenyl
	2	nitro	methoxyethyl	4 - (methoxycarbonyl) phenyl
	2	nitro	methoxyethyl	2 - (ethoxycarbonyl) phenyl
45	2	nitro	methoxyethyl	3 - (ethoxycarbonyl) phenyl
	2	nitro	methoxyethyl	4 - (ethoxycarbonyl) phenyl
	2	nitro	methoxyethyl	2 - (acetoxy) phenyl
	2	nitro	methoxyethyl	3 - (acetoxy) phenyl
	2	nitro	methoxyethyl	4 - (acetoxy) phenyl
50	2	nitro	methoxyethyl	2 - (propionyloxy) phenyl
	2	nitro	methoxyethyl	3 - (propionyloxy) phenyl
	2	nitro	methoxyethyl	4 - (propionyloxy) phenyl
	2	nitro	methoxyethyl	2 - trifluoromethylphenyl
55	2	nitro	methoxyethyl	3 - trifluoromethylphenyl
	2	nitro	methoxyethyl	4 - trifluoromethylphenyl

Table 3(continuation 26)

m	R ¹	R ³	Z	
5	2	nitro	methoxyethyl	2 - thiaryl
	2	nitro	methoxyethyl	3 - thiaryl
	2	nitro	methoxyethyl	2 - furyl
	2	nitro	methoxyethyl	3 - furyl
10	2	nitro	methoxyethyl	2 - pyridyl
	2	nitro	methoxyethyl	3 - pyridyl
	2	nitro	methoxyethyl	4 - pyridyl
15	1	nitro	aminoethyl	phenyl
	1	nitro	aminoethyl	2 - fluorophenyl
	1	nitro	aminoethyl	3 - fluorophenyl
	1	nitro	aminoethyl	4 - fluorophenyl
	1	nitro	aminoethyl	2, 4 - difluorophenyl
	1	nitro	aminoethyl	2, 5 - difluorophenyl
	1	nitro	aminoethyl	2, 6 - difluorophenyl
20	1	nitro	aminoethyl	3, 4 - difluorophenyl
	1	nitro	aminoethyl	3, 5 - difluorophenyl
	1	nitro	aminoethyl	2 - chlorophenyl
	1	nitro	aminoethyl	3 - chlorophenyl
	1	nitro	aminoethyl	4 - chlorophenyl
25	1	nitro	aminoethyl	2, 4 - dichlorophenyl
	1	nitro	aminoethyl	3, 4 - dichlorophenyl
	1	nitro	aminoethyl	2 - bromophenyl
	1	nitro	aminoethyl	3 - bromophenyl
	1	nitro	aminoethyl	4 - bromophenyl
30	1	nitro	aminoethyl	2 - methylphenyl
	1	nitro	aminoethyl	3 - methylphenyl
	1	nitro	aminoethyl	4 - methylphenyl
	1	nitro	aminoethyl	2 - methoxyphenyl
35	1	nitro	aminoethyl	3 - methoxyphenyl
	1	nitro	aminoethyl	4 - methoxyphenyl
	1	nitro	aminoethyl	2, 3 - dimethoxyphenyl
	1	nitro	aminoethyl	2, 4 - dimethoxyphenyl
	1	nitro	aminoethyl	3, 4 - dimethoxyphenyl
40	1	nitro	aminoethyl	3, 5 - dimethoxyphenyl
	1	nitro	aminoethyl	3, 4 - (methylenedioxy) phenyl
	1	nitro	aminoethyl	3, 4 - (ethylenedioxy) phenyl
	1	nitro	aminoethyl	2 - hydroxyphenyl
45	1	nitro	aminoethyl	3 - hydroxyphenyl
	1	nitro	aminoethyl	4 - hydroxyphenyl
	1	nitro	aminoethyl	2 - aminophenyl
	1	nitro	aminoethyl	3 - aminophenyl
	1	nitro	aminoethyl	4 - aminophenyl
	1	nitro	aminoethyl	2 - (methylamino) phenyl
50	1	nitro	aminoethyl	3 - (methylamino) phenyl
	1	nitro	aminoethyl	4 - (methylamino) phenyl
	1	nitro	aminoethyl	2 - (dimethylamino) phenyl
	1	nitro	aminoethyl	3 - (dimethylamino) phenyl
55	1	nitro	aminoethyl	4 - (dimethylamino) phenyl

Table 3(continuation 27)

m	R ¹	R ³	Z
5	1	nitro	aminoethyl 2 - carboxyphenyl
	1	nitro	aminoethyl 3 - carboxyphenyl
	1	nitro	aminoethyl 4 - carboxyphenyl
	1	nitro	aminoethyl 2 - (methylcarbamoyl) phenyl
10	1	nitro	aminoethyl 3 - (methylcarbamoyl) phenyl
	1	nitro	aminoethyl 4 - (methylcarbamoyl) phenyl
	1	nitro	aminoethyl 2 - (methoxycarbonyl) phenyl
	1	nitro	aminoethyl 3 - (methoxycarbonyl) phenyl
	1	nitro	aminoethyl 4 - (methoxycarbonyl) phenyl
15	1	nitro	aminoethyl 2 - (ethoxycarbonyl) phenyl
	1	nitro	aminoethyl 3 - (ethoxycarbonyl) phenyl
	1	nitro	aminoethyl 4 - (ethoxycarbonyl) phenyl
	1	nitro	aminoethyl 2 - (acetoxy) phenyl
20	1	nitro	aminoethyl 3 - (acetoxy) phenyl
	1	nitro	aminoethyl 4 - (acetoxy) phenyl
	1	nitro	aminoethyl 2 - (propionyloxy) phenyl
	1	nitro	aminoethyl 3 - (propionyloxy) phenyl
	1	nitro	aminoethyl 4 - (propionyloxy) phenyl
25	1	nitro	aminoethyl 2 - trifluoromethylphenyl
	1	nitro	aminoethyl 3 - trifluoromethylphenyl
	1	nitro	aminoethyl 4 - trifluoromethylphenyl
	1	nitro	aminoethyl 2 - thiienyl
	1	nitro	aminoethyl 3 - thiienyl
30	1	nitro	aminoethyl 2 - furyl
	1	nitro	aminoethyl 3 - furyl
	1	nitro	aminoethyl 2 - pyridyl
	1	nitro	aminoethyl 3 - pyridyl
	1	nitro	aminoethyl 4 - pyridyl
35	2	nitro	aminoethyl phenyl
	2	nitro	aminoethyl 2 - fluorophenyl
	2	nitro	aminoethyl 3 - fluorophenyl
	2	nitro	aminoethyl 4 - fluorophenyl
40	2	nitro	aminoethyl 2, 4 - difluorophenyl
	2	nitro	aminoethyl 2, 5 - difluorophenyl
	2	nitro	aminoethyl 2, 6 - difluorophenyl
	2	nitro	aminoethyl 3, 4 - difluorophenyl
	2	nitro	aminoethyl 3, 5 - difluorophenyl
45	2	nitro	aminoethyl 2 - chlorophenyl
	2	nitro	aminoethyl 3 - chlorophenyl
	2	nitro	aminoethyl 4 - chlorophenyl
	2	nitro	aminoethyl 2, 4 - dichlorophenyl
	2	nitro	aminoethyl 3, 4 - dichlorophenyl
50	2	nitro	aminoethyl 2 - bromophenyl
	2	nitro	aminoethyl 3 - bromophenyl
	2	nitro	aminoethyl 4 - bromophenyl
	2	nitro	aminoethyl 2 - methylphenyl
	2	nitro	aminoethyl 3 - methylphenyl
55	2	nitro	aminoethyl 4 - methylphenyl

Table 3(continuation 28)

m	R ¹	R ³	Z	
5	2	nitro	aminoethyl	2 - methoxyphenyl
	2	nitro	aminoethyl	3 - methoxyphenyl
	2	nitro	aminoethyl	4 - methoxyphenyl
10	2	nitro	aminoethyl	2, 3 - dimethoxyphenyl
	2	nitro	aminoethyl	2, 4 - dimethoxyphenyl
	2	nitro	aminoethyl	3, 4 - dimethoxyphenyl
	2	nitro	aminoethyl	3, 5 - dimethoxyphenyl
	2	nitro	aminoethyl	3, 4 - (methylenedioxy) phenyl
15	2	nitro	aminoethyl	3, 4 - (ethylenedioxy) phenyl
	2	nitro	aminoethyl	2 - hydroxyphenyl
	2	nitro	aminoethyl	3 - hydroxyphenyl
	2	nitro	aminoethyl	4 - hydroxyphenyl
20	2	nitro	aminoethyl	2 - aminophenyl
	2	nitro	aminoethyl	3 - aminophenyl
	2	nitro	aminoethyl	4 - aminophenyl
	2	nitro	aminoethyl	2 - (methylamino) phenyl
25	2	nitro	aminoethyl	3 - (methylamino) phenyl
	2	nitro	aminoethyl	4 - (methylamino) phenyl
	2	nitro	aminoethyl	2 - carboxyphenyl
	2	nitro	aminoethyl	3 - carboxyphenyl
30	2	nitro	aminoethyl	4 - carboxyphenyl
	2	nitro	aminoethyl	2 - (methylcarbamoyl) phenyl
	2	nitro	aminoethyl	3 - (methylcarbamoyl) phenyl
	2	nitro	aminoethyl	4 - (methylcarbamoyl) phenyl
35	2	nitro	aminoethyl	2 - (methoxycarbonyl) phenyl
	2	nitro	aminoethyl	3 - (methoxycarbonyl) phenyl
	2	nitro	aminoethyl	4 - (methoxycarbonyl) phenyl
	2	nitro	aminoethyl	2 - (ethoxycarbonyl) phenyl
40	2	nitro	aminoethyl	3 - (ethoxycarbonyl) phenyl
	2	nitro	aminoethyl	4 - (ethoxycarbonyl) phenyl
	2	nitro	aminoethyl	2 - (acetoxy) phenyl
	2	nitro	aminoethyl	3 - (acetoxy) phenyl
45	2	nitro	aminoethyl	4 - (acetoxy) phenyl
	2	nitro	aminoethyl	2 - (propionyloxy) phenyl
	2	nitro	aminoethyl	3 - (propionyloxy) phenyl
	2	nitro	aminoethyl	4 - (propionyloxy) phenyl
50	2	nitro	aminoethyl	2 - trifluoromethylphenyl
	2	nitro	aminoethyl	3 - trifluoromethylphenyl
	2	nitro	aminoethyl	4 - trifluoromethylphenyl
55	2	nitro	aminoethyl	2 - thieryl
	2	nitro	aminoethyl	3 - thieryl
	2	nitro	aminoethyl	2 - furyl
	2	nitro	aminoethyl	3 - furyl
	2	nitro	aminoethyl	2 - pyridyl
	2	nitro	aminoethyl	3 - pyridyl

Table 3(continuation 29)

m	R ¹	R ³	Z
5	2	nitro	aminoethyl
	1	nitro	formyl
10	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
15	1	nitro	formyl
	1	nitro	formyl
20	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
25	1	nitro	formyl
	1	nitro	formyl
30	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
35	1	nitro	formyl
	1	nitro	formyl
40	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
45	1	nitro	formyl
	1	nitro	formyl
50	1	nitro	formyl
	1	nitro	formyl
55	1	nitro	formyl

Table 3(continuation 30)

m	R ¹	R ³	Z
5	1	nitro	formyl 2 - (methoxycarbonyl) phenyl
	1	nitro	formyl 3 - (methoxycarbonyl) phenyl
	1	nitro	formyl 4 - (methoxycarbonyl) phenyl
	1	nitro	formyl 2 - (ethoxycarbonyl) phenyl
	1	nitro	formyl 3 - (ethoxycarbonyl) phenyl
10	1	nitro	formyl 4 - (ethoxycarbonyl) phenyl
	1	nitro	formyl 2 - (acetoxy) phenyl
	1	nitro	formyl 3 - (acetoxy) phenyl
	1	nitro	formyl 4 - (acetoxy) phenyl
15	1	nitro	formyl 2 - (propionyloxy) phenyl
	1	nitro	formyl 3 - (propionyloxy) phenyl
	1	nitro	formyl 4 - (propionyloxy) phenyl
	1	nitro	formyl 2 - trifluoromethylphenyl
	1	nitro	formyl 3 - trifluoromethylphenyl
20	1	nitro	formyl 4 - trifluoromethylphenyl
	1	nitro	formyl 2 - thiienyl
	1	nitro	formyl 3 - thiienyl
	1	nitro	formyl 2 - furyl
	1	nitro	formyl 3 - furyl
25	1	nitro	formyl 2 - pyridyl
	1	nitro	formyl 3 - pyridyl
	1	nitro	formyl 4 - pyridyl
	2	nitro	formyl phenyl
30	2	nitro	formyl 2 - fluorophenyl
	2	nitro	formyl 3 - fluorophenyl
	2	nitro	formyl 4 - fluorophenyl
	2	nitro	formyl 2, 4 - difluorophenyl
	2	nitro	formyl 2, 5 - difluorophenyl
35	2	nitro	formyl 2, 6 - difluorophenyl
	2	nitro	formyl 3, 4 - difluorophenyl
	2	nitro	formyl 3, 5 - difluorophenyl
	2	nitro	formyl 2 - chlorophenyl
	2	nitro	formyl 3 - chlorophenyl
40	2	nitro	formyl 4 - chlorophenyl
	2	nitro	formyl 2, 4 - dichlorophenyl
	2	nitro	formyl 3, 4 - dichlorophenyl
	2	nitro	formyl 2 - bromophenyl
	2	nitro	formyl 3 - bromophenyl
45	2	nitro	formyl 4 - bromophenyl
	2	nitro	formyl 2 - methylphenyl
	2	nitro	formyl 3 - methylphenyl
	2	nitro	formyl 4 - methylphenyl
	2	nitro	formyl 2 - methoxyphenyl
50	2	nitro	formyl 3 - methoxyphenyl
	2	nitro	formyl 4 - methoxyphenyl
	2	nitro	formyl 2, 3 - dimethoxyphenyl
	2	nitro	formyl 2, 4 - dimethoxyphenyl
55	2	nitro	formyl 3, 4 - dimethoxyphenyl

Table 3(continuation 31)

m	R ¹	R ³	Z
5	nitro	formyl	3, 5 - dimethoxyphenyl
	nitro	formyl	3, 4 - (methylenedioxy) phenyl
	nitro	formyl	3, 4 - (ethylenedioxy) phenyl
	nitro	formyl	2 - hydroxyphenyl
10	nitro	formyl	3 - hydroxyphenyl
	nitro	formyl	4 - hydroxyphenyl
	nitro	formyl	2 - aminophenyl
	nitro	formyl	3 - aminophenyl
	nitro	formyl	4 - aminophenyl
15	nitro	formyl	2 - (methylamino) phenyl
	nitro	formyl	3 - (methylamino) phenyl
	nitro	formyl	4 - (methylamino) phenyl
	nitro	formyl	2 - (dimethylamino) phenyl
20	nitro	formyl	3 - (dimethylamino) phenyl
	nitro	formyl	4 - (dimethylamino) phenyl
	nitro	formyl	2 - carboxyphenyl
	nitro	formyl	3 - carboxyphenyl
	nitro	formyl	4 - carboxyphenyl
25	nitro	formyl	2 - (methylcarbamoyl) phenyl
	nitro	formyl	3 - (methylcarbamoyl) phenyl
	nitro	formyl	4 - (methylcarbamoyl) phenyl
	nitro	formyl	2 - (methoxycarbonyl) phenyl
	nitro	formyl	3 - (methoxycarbonyl) phenyl
30	nitro	formyl	4 - (methoxycarbonyl) phenyl
	nitro	formyl	2 - (ethoxycarbonyl) phenyl
	nitro	formyl	3 - (ethoxycarbonyl) phenyl
	nitro	formyl	4 - (ethoxycarbonyl) phenyl
	nitro	formyl	2 - (acetoxy) phenyl
35	nitro	formyl	3 - (acetoxy) phenyl
	nitro	formyl	4 - (acetoxy) phenyl
	nitro	formyl	2 - (propionyloxy) phenyl
	nitro	formyl	3 - (propionyloxy) phenyl
	nitro	formyl	4 - (propionyloxy) phenyl
40	nitro	formyl	2 - trifluoromethylphenyl
	nitro	formyl	3 - trifluoromethylphenyl
	nitro	formyl	4 - trifluoromethylphenyl
	nitro	formyl	2 - thiienyl
	nitro	formyl	3 - thiienyl
45	nitro	formyl	2 - furyl
	nitro	formyl	3 - furyl
	nitro	formyl	2 - pyridyl
	nitro	formyl	3 - pyridyl
	nitro	formyl	4 - pyridyl
50	nitro	acetyl	phenyl
	nitro	acetyl	2 - fluorophenyl
	nitro	acetyl	3 - fluorophenyl
	nitro	acetyl	4 - fluorophenyl
55	nitro	acetyl	2, 4 - difluorophenyl

Table 3(continuation 32)

m	R ¹	R ³	Z	
5	1	nitro	acetyl	2, 5 - difluorophenyl
	1	nitro	acetyl	2, 6 - difluorophenyl
	1	nitro	acetyl	3, 4 - difluorophenyl
	1	nitro	acetyl	3, 5 - difluorophenyl
10	1	nitro	acetyl	2 - chlorophenyl
	1	nitro	acetyl	3 - chlorophenyl
	1	nitro	acetyl	4 - chlorophenyl
	1	nitro	acetyl	2, 4 - dichlorophenyl
	1	nitro	acetyl	3, 4 - dichlorophenyl
15	1	nitro	acetyl	2 - bromophenyl
	1	nitro	acetyl	3 - bromophenyl
	1	nitro	acetyl	4 - bromophenyl
	1	nitro	acetyl	2 - methylphenyl
	1	nitro	acetyl	3 - methylphenyl
20	1	nitro	acetyl	4 - methylphenyl
	1	nitro	acetyl	2 - methoxyphenyl
	1	nitro	acetyl	3 - methoxyphenyl
	1	nitro	acetyl	4 - methoxyphenyl
	1	nitro	acetyl	2, 3 - dimethoxyphenyl
25	1	nitro	acetyl	2, 4 - dimethoxyphenyl
	1	nitro	acetyl	3, 4 - dimethoxyphenyl
	1	nitro	acetyl	3, 5 - dimethoxyphenyl
	1	nitro	acetyl	3, 4 - (methylenedioxy) phenyl
30	1	nitro	acetyl	3, 4 - (ethylenedioxy) phenyl
	1	nitro	acetyl	2 - hydroxyphenyl
	1	nitro	acetyl	3 - hydroxyphenyl
	1	nitro	acetyl	4 - hydroxyphenyl
	1	nitro	acetyl	2 - aminophenyl
35	1	nitro	acetyl	3 - aminophenyl
	1	nitro	acetyl	4 - aminophenyl
	1	nitro	acetyl	2 - (methylamino) phenyl
	1	nitro	acetyl	3 - (methylamino) phenyl
40	1	nitro	acetyl	4 - (methylamino) phenyl
	1	nitro	acetyl	2 - (dimethylamino) phenyl
	1	nitro	acetyl	3 - (dimethylamino) phenyl
	1	nitro	acetyl	4 - (dimethylamino) phenyl
	1	nitro	acetyl	2 - carboxyphenyl
45	1	nitro	acetyl	3 - carboxyphenyl
	1	nitro	acetyl	4 - carboxyphenyl
	1	nitro	acetyl	2 - (methylcarbamoyl) phenyl
	1	nitro	acetyl	3 - (methylcarbamoyl) phenyl
	1	nitro	acetyl	4 - (methylcarbamoyl) phenyl
50	1	nitro	acetyl	2 - (methoxycarbonyl) phenyl
	1	nitro	acetyl	3 - (methoxycarbonyl) phenyl
	1	nitro	acetyl	4 - (methoxycarbonyl) phenyl
	1	nitro	acetyl	2 - (ethoxycarbonyl) phenyl
	1	nitro	acetyl	3 - (ethoxycarbonyl) phenyl
55	1	nitro	acetyl	4 - (ethoxycarbonyl) phenyl

Table 3(continuation 33)

m	R ¹	R ³	Z
5	1	nitro	acetyl 2 - (acetoxy) phenyl
	1	nitro	acetyl 3 - (acetoxy) phenyl
	1	nitro	acetyl 4 - (acetoxy) phenyl
10	1	nitro	acetyl 2 - (propionyloxy) phenyl
	1	nitro	acetyl 3 - (propionyloxy) phenyl
	1	nitro	acetyl 4 - (propionyloxy) phenyl
	1	nitro	acetyl 2 - trifluoromethylphenyl
	1	nitro	acetyl 3 - trifluoromethylphenyl
	1	nitro	acetyl 4 - trifluoromethylphenyl
15	1	nitro	acetyl 2 - thiienyl
	1	nitro	acetyl 3 - thiienyl
	1	nitro	acetyl 2 - furyl
	1	nitro	acetyl 3 - furyl
20	1	nitro	acetyl 2 - pyridyl
	1	nitro	acetyl 3 - pyridyl
	1	nitro	acetyl 4 - pyridyl
	2	nitro	acetyl phenyl
25	2	nitro	acetyl 2 - fluorophenyl
	2	nitro	acetyl 3 - fluorophenyl
	2	nitro	acetyl 4 - fluorophenyl
	2	nitro	acetyl 2, 4 - difluorophenyl
	2	nitro	acetyl 2, 5 - difluorophenyl
	2	nitro	acetyl 2, 6 - difluorophenyl
30	2	nitro	acetyl 3, 4 - difluorophenyl
	2	nitro	acetyl 3, 5 - difluorophenyl
	2	nitro	acetyl 2 - chlorophenyl
	2	nitro	acetyl 3 - chlorophenyl
	2	nitro	acetyl 4 - chlorophenyl
35	2	nitro	acetyl 2, 4 - dichlorophenyl
	2	nitro	acetyl 3, 4 - dichlorophenyl
	2	nitro	acetyl 2 - bromophenyl
	2	nitro	acetyl 3 - bromophenyl
40	2	nitro	acetyl 4 - bromophenyl
	2	nitro	acetyl 2 - methylphenyl
	2	nitro	acetyl 3 - methylphenyl
	2	nitro	acetyl 4 - methylphenyl
	2	nitro	acetyl 2 - methoxyphenyl
45	2	nitro	acetyl 3 - methoxyphenyl
	2	nitro	acetyl 4 - methoxyphenyl
	2	nitro	acetyl 2, 3 - dimethoxyphenyl
	2	nitro	acetyl 2, 4 - dimethoxyphenyl
	2	nitro	acetyl 3, 4 - dimethoxyphenyl
50	2	nitro	acetyl 3, 5 - dimethoxyphenyl
	2	nitro	acetyl 3, 4 - (methylenedioxy) phenyl
	2	nitro	acetyl 3, 4 - (ethylenedioxy) phenyl
	2	nitro	acetyl 2 - hydroxyphenyl
	2	nitro	acetyl 3 - hydroxyphenyl
55	2	nitro	acetyl 4 - hydroxyphenyl

Table 3(continuation 34)

m	R ¹	R ³	Z
2	nitro	acetyl	2 - aminophenyl
2	nitro	acetyl	3 - aminophenyl
2	nitro	acetyl	4 - aminophenyl
2	nitro	acetyl	2 - (methylamino) phenyl
2	nitro	acetyl	3 - (methylamino) phenyl
2	nitro	acetyl	4 - (methylamino) phenyl
2	nitro	acetyl	2 - (dimethylamino) phenyl
2	nitro	acetyl	3 - (dimethylamino) phenyl
2	nitro	acetyl	4 - (dimethylamino) phenyl
2	nitro	acetyl	2 - carboxyphenyl
2	nitro	acetyl	3 - carboxyphenyl
2	nitro	acetyl	4 - carboxyphenyl
2	nitro	acetyl	2 - (methylcarbamoyl) phenyl
2	nitro	acetyl	3 - (methylcarbamoyl) phenyl
2	nitro	acetyl	4 - (methylcarbamoyl) phenyl
2	nitro	acetyl	2 - (methoxycarbonyl) phenyl
2	nitro	acetyl	3 - (methoxycarbonyl) phenyl
2	nitro	acetyl	4 - (methoxycarbonyl) phenyl
2	nitro	acetyl	2 - (ethoxycarbonyl) phenyl
2	nitro	acetyl	3 - (ethoxycarbonyl) phenyl
2	nitro	acetyl	4 - (ethoxycarbonyl) phenyl
2	nitro	acetyl	2 - (acetoxy) phenyl
2	nitro	acetyl	3 - (acetoxy) phenyl
2	nitro	acetyl	4 - (acetoxy) phenyl
2	nitro	acetyl	2 - (propionyloxy) phenyl
2	nitro	acetyl	3 - (propionyloxy) phenyl
2	nitro	acetyl	4 - (propionyloxy) phenyl
2	nitro	acetyl	2 - trifluoromethylphenyl
2	nitro	acetyl	3 - trifluoromethylphenyl
2	nitro	acetyl	4 - trifluoromethylphenyl
2	nitro	acetyl	2 - thieryl
2	nitro	acetyl	3 - thieryl
2	nitro	acetyl	2 - furyl
2	nitro	acetyl	3 - furyl
2	nitro	acetyl	2 - pyridyl
2	nitro	acetyl	3 - pyridyl
2	nitro	acetyl	4 - pyridyl
1	nitro	propionyl	phenyl
1	nitro	propionyl	2 - fluorophenyl
1	nitro	propionyl	3 - fluorophenyl
1	nitro	propionyl	4 - fluorophenyl
1	nitro	propionyl	2, 4 - difluorophenyl
1	nitro	propionyl	2, 5 - difluorophenyl
1	nitro	propionyl	2, 6 - difluorophenyl
1	nitro	propionyl	3, 4 - difluorophenyl
1	nitro	propionyl	3, 5 - difluorophenyl
1	nitro	propionyl	2 - chlorophenyl
1	nitro	propionyl	3 - chlorophenyl

Table 3(continuation 35)

m	R ¹	R ³	Z
5	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
10	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
15	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
20	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
25	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
30	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
35	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
40	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
45	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
50	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
55	1	nitro	propionyl

Table 3(continuation 36)

m	R ¹	R ³	Z
5	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
10	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
	1	nitro	propionyl
15	1	nitro	propionyl
	1	nitro	propionyl
	2	nitro	propionyl
20	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
25	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
30	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
35	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
40	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
45	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
50	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
	2	nitro	propionyl
55	2	nitro	propionyl

Table 3(continuation 37)

m	R ¹	R ³	Z
5	2	nitro	propionyl
	2	nitro	propionyl
10	2	nitro	propionyl
	2	nitro	propionyl
15	2	nitro	propionyl
	2	nitro	propionyl
20	2	nitro	propionyl
	2	nitro	propionyl
25	2	nitro	propionyl
	2	nitro	propionyl
30	2	nitro	propionyl
	2	nitro	propionyl
35	2	nitro	propionyl
	1	nitro	ethoxycarbonyl
40	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
45	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
50	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
55	1	nitro	ethoxycarbonyl

Table 3(continuation 38)

m	R ¹	R ³	Z
5	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
10	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
15	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
20	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
25	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
30	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
35	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
40	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
45	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
50	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
55	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl

Table 3(continuation 39)

m	R ¹	R ³	Z
5	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
	1	nitro	ethoxycarbonyl
10	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
15	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
20	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
25	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
30	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
35	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
40	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
45	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl
50	2	nitro	ethoxycarbonyl
	2	nitro	ethoxycarbonyl

Table 3(continuation 40)

m	R ¹	R ³	Z
5	2	nitro	ethoxycarbonyl
	2	nitro	2 - (methylcarbamoyl) phenyl
	2	nitro	ethoxycarbonyl
	2	nitro	3 - (methylcarbamoyl) phenyl
	2	nitro	ethoxycarbonyl
10	2	nitro	4 - (methylcarbamoyl) phenyl
	2	nitro	ethoxycarbonyl
	2	nitro	2 - (methoxycarbonyl) phenyl
	2	nitro	3 - (methoxycarbonyl) phenyl
	2	nitro	4 - (methoxycarbonyl) phenyl
15	2	nitro	ethoxycarbonyl
	2	nitro	2 - (ethoxycarbonyl) phenyl
	2	nitro	ethoxycarbonyl
	2	nitro	3 - (ethoxycarbonyl) phenyl
	2	nitro	4 - (ethoxycarbonyl) phenyl
20	2	nitro	ethoxycarbonyl
	2	nitro	2 - (acetoxy) phenyl
	2	nitro	ethoxycarbonyl
	2	nitro	3 - (acetoxy) phenyl
	2	nitro	4 - (acetoxy) phenyl
25	2	nitro	ethoxycarbonyl
	2	nitro	2 - (propionyloxy) phenyl
	2	nitro	ethoxycarbonyl
	2	nitro	3 - (propionyloxy) phenyl
	2	nitro	4 - (propionyloxy) phenyl
	2	nitro	ethoxycarbonyl
30	2	nitro	2 - trifluoromethylphenyl
	2	nitro	ethoxycarbonyl
	2	nitro	3 - trifluoromethylphenyl
	2	nitro	4 - trifluoromethylphenyl
	2	nitro	ethoxycarbonyl
35	2	nitro	2 - thiienyl
	2	nitro	ethoxycarbonyl
	2	nitro	3 - thiienyl
	2	nitro	ethoxycarbonyl
	2	nitro	2 - furyl
	2	nitro	ethoxycarbonyl
40	2	nitro	3 - furyl
	2	nitro	ethoxycarbonyl
	2	nitro	2 - pyridyl
	2	nitro	ethoxycarbonyl
45	2	nitro	3 - pyridyl
	2	nitro	4 - pyridyl

35

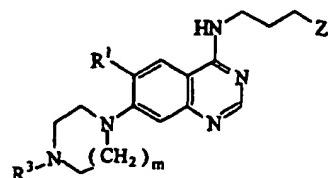
40

45

50

55

Table 4



15 Each substituent in the formula above is as follows:

m	R¹	R³	Z
1	nitro	H	phenyl
1	nitro	H	2 - fluorophenyl
1	nitro	H	3 - fluorophenyl
1	nitro	H	4 - fluorophenyl
1	nitro	H	2, 4 - difluorophenyl
1	nitro	H	2, 5 - difluorophenyl
1	nitro	H	2, 6 - difluorophenyl
1	nitro	H	3, 4 - difluorophenyl
1	nitro	H	3, 5 - difluorophenyl
1	nitro	H	2 - chlorophenyl
1	nitro	H	3 - chlorophenyl
1	nitro	H	4 - chlorophenyl
1	nitro	H	4 - methylphenyl
1	nitro	H	2 - methoxyphenyl
1	nitro	H	3 - methoxyphenyl
1	nitro	H	4 - methoxyphenyl
1	nitro	H	2, 3 - dimethoxyphenyl
1	nitro	H	2, 4 - dimethoxyphenyl
1	nitro	H	2, 5 - dimethoxyphenyl
1	nitro	H	3, 4 - dimethoxyphenyl
1	nitro	H	3, 5 - dimethoxyphenyl
1	nitro	H	3, 4 - (methylenedioxy) phenyl
1	nitro	H	2 - hydroxyphenyl
1	nitro	H	3 - hydroxyphenyl
1	nitro	H	4 - hydroxyphenyl
1	nitro	H	4 - aminophenyl
1	nitro	H	4 - (methylamino) phenyl
1	nitro	H	4 - (dimethylamino) phenyl
1	nitro	H	4 - (methylcarbamoyl) phenyl
1	nitro	H	2 - (acetoxy) phenyl
1	nitro	H	3 - (acetoxy) phenyl
1	nitro	H	4 - (acetoxy) phenyl
1	nitro	H	2 - (propionyloxy) phenyl
1	nitro	H	3 - (propionyloxy) phenyl
1	nitro	H	4 - (propionyloxy) phenyl
1	nitro	H	2 - trifluoromethylphenyl
1	nitro	H	3 - trifluoromethylphenyl
1	nitro	H	4 - trifluoromethylphenyl

Table 4(continuation 1)

m	R ¹	R ³	Z
5	2	nitro	H phenyl
	2	nitro	H 2 - fluorophenyl
	2	nitro	H 3 - fluorophenyl
	2	nitro	H 4 - fluorophenyl
10	2	nitro	H 2, 4 - difluorophenyl
	2	nitro	H 2, 5 - difluorophenyl
	2	nitro	H 2, 6 - difluorophenyl
	2	nitro	H 3, 4 - difluorophenyl
15	2	nitro	H 3, 5 - difluorophenyl
	2	nitro	H 2 - chlorophenyl
	2	nitro	H 3 - chlorophenyl
	2	nitro	H 4 - chlorophenyl
20	2	nitro	H 4 - methylphenyl
	2	nitro	H 2 - methoxyphenyl
	2	nitro	H 3 - methoxyphenyl
	2	nitro	H 4 - methoxyphenyl
	2	nitro	H 2, 3 - dimethoxyphenyl
25	2	nitro	H 2, 4 - dimethoxyphenyl
	2	nitro	H 2, 5 - dimethoxyphenyl
	2	nitro	H 3, 4 - dimethoxyphenyl
	2	nitro	H 3, 5 - dimethoxyphenyl
	2	nitro	H 3, 4 - (methylenedioxy) phenyl
30	2	nitro	H 2 - hydroxyphenyl
	2	nitro	H 3 - hydroxyphenyl
	2	nitro	H 4 - hydroxyphenyl
	2	nitro	H 4 - aminophenyl
	2	nitro	H 4 - (methylamino) phenyl
35	2	nitro	H 4 - (dimethylamino) phenyl
	2	nitro	H 4 - (methylcarbamoyl) phenyl
	2	nitro	H 2 - (acetoxy) phenyl
	2	nitro	H 3 - (acetoxy) phenyl
	2	nitro	H 4 - (acetoxy) phenyl
40	2	nitro	H 2 - (propionyloxy) phenyl
	2	nitro	H 3 - (propionyloxy) phenyl
	2	nitro	H 4 - (propionyloxy) phenyl
	2	nitro	H 2 - trifluoromethylphenyl
	2	nitro	H 3 - trifluoromethylphenyl
45	2	nitro	H 4 - trifluoromethylphenyl
	1	fluoro	H phenyl
	1	fluoro	H 2 - fluorophenyl
	1	fluoro	H 3 - fluorophenyl
	1	fluoro	H 4 - fluorophenyl
50	1	fluoro	H 2, 4 - difluorophenyl
	1	fluoro	H 2, 5 - difluorophenyl
	1	fluoro	H 2, 6 - difluorophenyl
	1	fluoro	H 3, 4 - difluorophenyl
	1	fluoro	H 3, 5 - difluorophenyl
55	1	fluoro	H 2 - chlorophenyl

Table 4(continuation 2)

m	R ¹	R ³	Z
5	fluoro	H	3 - chlorophenyl
	fluoro	H	4 - chlorophenyl
	fluoro	H	4 - methylphenyl
10	fluoro	H	2 - methoxyphenyl
	fluoro	H	3 - methoxyphenyl
	fluoro	H	4 - methoxyphenyl
	fluoro	H	2, 3 - dimethoxyphenyl
15	fluoro	H	2, 4 - dimethoxyphenyl
	fluoro	H	2, 5 - dimethoxyphenyl
	fluoro	H	3, 4 - dimethoxyphenyl
	fluoro	H	3, 5 - dimethoxyphenyl
	fluoro	H	3, 4 - (methylenedioxy) phenyl
20	fluoro	H	2 - hydroxyphenyl
	fluoro	H	3 - hydroxyphenyl
	fluoro	H	4 - hydroxyphenyl
	fluoro	H	4 - aminophenyl
25	fluoro	H	4 - (methylamino) phenyl
	fluoro	H	4 - (dimethylamino) phenyl
	fluoro	H	4 - (methylcarbamoyl) phenyl
	fluoro	H	2 - (acetoxy) phenyl
30	fluoro	H	3 - (acetoxy) phenyl
	fluoro	H	4 - (acetoxy) phenyl
	fluoro	H	2 - trifluoromethylphenyl
	fluoro	H	3 - trifluoromethylphenyl
35	fluoro	H	4 - trifluoromethylphenyl
	chloro	H	phenyl
	chloro	H	2 - fluorophenyl
	chloro	H	3 - fluorophenyl
40	chloro	H	4 - fluorophenyl
	chloro	H	2, 4 - difluorophenyl
	chloro	H	2, 5 - difluorophenyl
	chloro	H	2, 6 - difluorophenyl
45	chloro	H	3, 4 - difluorophenyl
	chloro	H	3, 5 - difluorophenyl
	chloro	H	2 - chlorophenyl
	chloro	H	3 - chlorophenyl
	chloro	H	4 - chlorophenyl
50	chloro	H	4 - methylphenyl
	chloro	H	2 - methoxyphenyl
	chloro	H	3 - methoxyphenyl
	chloro	H	4 - methoxyphenyl
	chloro	H	2, 3 - dimethoxyphenyl
55	chloro	H	2, 4 - dimethoxyphenyl
	chloro	H	2, 5 - dimethoxyphenyl
	chloro	H	3, 4 - dimethoxyphenyl

Table 4(continuation 3)

m	R ¹	R ³	Z
5	chloro	H	3, 5 - dimethoxyphenyl
	chloro	H	3, 4 - (methylenedioxy) phenyl
	chloro	H	2 - hydroxyphenyl
10	chloro	H	3 - hydroxyphenyl
	chloro	H	4 - hydroxyphenyl
	chloro	H	4 - aminophenyl
	chloro	H	4 - (methylamino) phenyl
15	chloro	H	4 - (dimethylamino) phenyl
	chloro	H	4 - (methylcarbamoyl) phenyl
	chloro	H	2 - (acetoxy) phenyl
	chloro	H	3 - (acetoxy) phenyl
	chloro	H	4 - (acetoxy) phenyl
20	chloro	H	2 - (propionyloxy) phenyl
	chloro	H	3 - (propionyloxy) phenyl
	chloro	H	4 - (propionyloxy) phenyl
	chloro	H	2 - trifluoromethylphenyl
	chloro	H	3 - trifluoromethylphenyl
25	chloro	H	4 - trifluoromethylphenyl
	nitro	methyl	phenyl
	nitro	methyl	2 - fluorophenyl
	nitro	methyl	3 - fluorophenyl
	nitro	methyl	4 - fluorophenyl
30	nitro	methyl	2, 4 - difluorophenyl
	nitro	methyl	2, 5 - difluorophenyl
	nitro	methyl	2, 6 - difluorophenyl
	nitro	methyl	3, 4 - difluorophenyl
	nitro	methyl	3, 5 - difluorophenyl
35	nitro	methyl	2 - chlorophenyl
	nitro	methyl	3 - chlorophenyl
	nitro	methyl	4 - chlorophenyl
	nitro	methyl	4 - methylphenyl
40	nitro	methyl	2 - methoxyphenyl
	nitro	methyl	3 - methoxyphenyl
	nitro	methyl	4 - methoxyphenyl
	nitro	methyl	2, 3 - dimethoxyphenyl
	nitro	methyl	2, 4 - dimethoxyphenyl
45	nitro	methyl	2, 5 - dimethoxyphenyl
	nitro	methyl	3, 4 - dimethoxyphenyl
	nitro	methyl	3, 5 - dimethoxyphenyl
	nitro	methyl	3, 4 - (methylenedioxy) phenyl
	nitro	methyl	2 - hydroxyphenyl
50	nitro	methyl	3 - hydroxyphenyl
	nitro	methyl	4 - hydroxyphenyl
	nitro	methyl	4 - aminophenyl
	nitro	methyl	4 - (methylamino) phenyl
	nitro	methyl	4 - (dimethylamino) phenyl
55	nitro	methyl	4 - (methylcarbamoyl) phenyl
	nitro	methyl	2 - (acetoxy) phenyl

Table 4(continuation 4)

m	R ¹	R ³	Z
5	1	nitro	methyl 3 - (acetoxy) phenyl
	1	nitro	methyl 4 - (acetoxy) phenyl
	1	nitro	methyl 2 - (propionyloxy) phenyl
	1	nitro	methyl 3 - (propionyloxy) phenyl
10	1	nitro	methyl 4 - (propionyloxy) phenyl
	1	nitro	methyl 2 - trifluoromethylphenyl
	1	nitro	methyl 3 - trifluoromethylphenyl
	1	nitro	methyl 4 - trifluoromethylphenyl
15	2	nitro	methyl phenyl
	2	nitro	methyl 2 - fluorophenyl
	2	nitro	methyl 3 - fluorophenyl
	2	nitro	methyl 4 - fluorophenyl
20	2	nitro	methyl 2, 4 - difluorophenyl
	2	nitro	methyl 2, 5 - difluorophenyl
	2	nitro	methyl 2, 6 - difluorophenyl
	2	nitro	methyl 3, 4 - difluorophenyl
	2	nitro	methyl 3, 5 - difluorophenyl
25	2	nitro	methyl 2 - chlorophenyl
	2	nitro	methyl 3 - chlorophenyl
	2	nitro	methyl 4 - chlorophenyl
	2	nitro	methyl 4 - methylphenyl
30	2	nitro	methyl 2 - methoxyphenyl
	2	nitro	methyl 3 - methoxyphenyl
	2	nitro	methyl 4 - methoxyphenyl
	2	nitro	methyl 2, 3 - dimethoxyphenyl
	2	nitro	methyl 2, 4 - dimethoxyphenyl
35	2	nitro	methyl 2, 5 - dimethoxyphenyl
	2	nitro	methyl 3, 4 - dimethoxyphenyl
	2	nitro	methyl 3, 5 - dimethoxyphenyl
	2	nitro	methyl 3, 4 - (methylenedioxy) phenyl
40	2	nitro	methyl 2 - hydroxyphenyl
	2	nitro	methyl 3 - hydroxyphenyl
	2	nitro	methyl 4 - hydroxyphenyl
	2	nitro	methyl 4 - aminophenyl
45	2	nitro	methyl 4 - (methylamino) phenyl
	2	nitro	methyl 4 - (dimethylamino) phenyl
	2	nitro	methyl 4 - (methylcarbamoyl) phenyl
	2	nitro	methyl 2 - (acetoxy) phenyl
50	2	nitro	methyl 3 - (acetoxy) phenyl
	2	nitro	methyl 4 - (acetoxy) phenyl
	2	nitro	methyl 2 - (propionyloxy) phenyl
	2	nitro	methyl 3 - (propionyloxy) phenyl
	2	nitro	methyl 4 - (propionyloxy) phenyl
55	2	nitro	methyl 2 - trifluoromethylphenyl
	2	nitro	methyl 3 - trifluoromethylphenyl
	2	nitro	methyl 4 - trifluoromethylphenyl
	1	nitro	ethyl phenyl
	1	nitro	ethyl 2 - fluorophenyl

Table 4(continuation 5)

m	R ¹	R ³	Z
5	nitro	ethyl	3 - fluorophenyl
	nitro	ethyl	4 - fluorophenyl
	nitro	ethyl	2, 4 - difluorophenyl
	nitro	ethyl	2, 5 - difluorophenyl
10	nitro	ethyl	2, 6 - difluorophenyl
	nitro	ethyl	3, 4 - difluorophenyl
	nitro	ethyl	3, 5 - difluorophenyl
	nitro	ethyl	2 - chlorophenyl
15	nitro	ethyl	3 - chlorophenyl
	nitro	ethyl	4 - chlorophenyl
	nitro	ethyl	4 - methylphenyl
	nitro	ethyl	2 - methoxyphenyl
20	nitro	ethyl	3 - methoxyphenyl
	nitro	ethyl	4 - methoxyphenyl
	nitro	ethyl	2, 3 - dimethoxyphenyl
	nitro	ethyl	2, 4 - dimethoxyphenyl
	nitro	ethyl	2, 5 - dimethoxyphenyl
25	nitro	ethyl	3, 4 - dimethoxyphenyl
	nitro	ethyl	3, 5 - dimethoxyphenyl
	nitro	ethyl	3, 4 - (methylenedioxy) phenyl
	nitro	ethyl	2 - hydroxyphenyl
	nitro	ethyl	3 - hydroxyphenyl
30	nitro	ethyl	4 - hydroxyphenyl
	nitro	ethyl	4 - aminophenyl
	nitro	ethyl	4 - (methylamino) phenyl
	nitro	ethyl	4 - (dimethylamino) phenyl
	nitro	ethyl	4 - (methylcarbamoyl) phenyl
35	nitro	ethyl	2 - (acetoxy) phenyl
	nitro	ethyl	3 - (acetoxy) phenyl
	nitro	ethyl	4 - (acetoxy) phenyl
	nitro	ethyl	2 - (propionyloxy) phenyl
	nitro	ethyl	3 - (propionyloxy) phenyl
40	nitro	ethyl	4 - (propionyloxy) phenyl
	nitro	ethyl	2 - trifluoromethylphenyl
	nitro	ethyl	3 - trifluoromethylphenyl
	nitro	ethyl	4 - trifluoromethylphenyl
45	nitro	ethyl	phenyl
	nitro	ethyl	2 - fluorophenyl
	nitro	ethyl	3 - fluorophenyl
	nitro	ethyl	4 - fluorophenyl
	nitro	ethyl	2, 4 - difluorophenyl
50	nitro	ethyl	2, 5 - difluorophenyl
	nitro	ethyl	2, 6 - difluorophenyl
	nitro	ethyl	3, 4 - difluorophenyl
	nitro	ethyl	3, 5 - difluorophenyl
	nitro	ethyl	2 - chlorophenyl
	nitro	ethyl	3 - chlorophenyl
55	nitro	ethyl	4 - chlorophenyl

Table 4(continuation 6)

m	R ¹	R ³	Z
5	2	nitro	ethyl 4 - methylphenyl
	2	nitro	ethyl 2 - methoxyphenyl
	2	nitro	ethyl 3 - methoxyphenyl
	2	nitro	ethyl 4 - methoxyphenyl
10	2	nitro	ethyl 2, 3 - dimethoxyphenyl
	2	nitro	ethyl 2, 4 - dimethoxyphenyl
	2	nitro	ethyl 2, 5 - dimethoxyphenyl
	2	nitro	ethyl 3, 4 - dimethoxyphenyl
15	2	nitro	ethyl 3, 5 - dimethoxyphenyl
	2	nitro	ethyl 3, 4 - (methylenedioxy) phenyl
	2	nitro	ethyl 2 - hydroxyphenyl
	2	nitro	ethyl 3 - hydroxyphenyl
20	2	nitro	ethyl 4 - hydroxyphenyl
	2	nitro	ethyl 4 - aminophenyl
	2	nitro	ethyl 4 - (methylamino) phenyl
	2	nitro	ethyl 4 - (dimethylamino) phenyl
25	2	nitro	ethyl 4 - (methylcarbamoyl) phenyl
	2	nitro	ethyl 2 - (acetoxy) phenyl
	2	nitro	ethyl 3 - (acetoxy) phenyl
	2	nitro	ethyl 4 - (acetoxy) phenyl
30	2	nitro	ethyl 2 - trifluoromethylphenyl
	2	nitro	ethyl 3 - trifluoromethylphenyl
	2	nitro	ethyl 4 - trifluoromethylphenyl
	1	nitro	propyl phenyl
35	1	nitro	propyl 2 - fluorophenyl
	1	nitro	propyl 3 - fluorophenyl
	1	nitro	propyl 4 - fluorophenyl
	1	nitro	propyl 2, 4 - difluorophenyl
40	1	nitro	propyl 2, 5 - difluorophenyl
	1	nitro	propyl 2, 6 - difluorophenyl
	1	nitro	propyl 3, 4 - difluorophenyl
	1	nitro	propyl 3, 5 - difluorophenyl
45	1	nitro	propyl 2 - chlorophenyl
	1	nitro	propyl 3 - chlorophenyl
	1	nitro	propyl 4 - chlorophenyl
	1	nitro	propyl 4 - methylphenyl
50	1	nitro	propyl 2 - methoxyphenyl
	1	nitro	propyl 3 - methoxyphenyl
	1	nitro	propyl 4 - methoxyphenyl
	1	nitro	propyl 2, 3 - dimethoxyphenyl
	1	nitro	propyl 2, 4 - dimethoxyphenyl
	1	nitro	propyl 2, 5 - dimethoxyphenyl
	1	nitro	propyl 3, 4 - dimethoxyphenyl
55	1	nitro	propyl 3, 5 - dimethoxyphenyl
	1	nitro	propyl 3, 4 - (methylenedioxy) phenyl

Table 4(continuation 7)

m	R ¹	R ³	Z
5	1	nitro	propyl
	1	nitro	propyl
	1	nitro	propyl
	1	nitro	propyl
10	1	nitro	propyl
	1	nitro	propyl
	1	nitro	propyl
	1	nitro	propyl
15	1	nitro	propyl
	1	nitro	propyl
	1	nitro	propyl
	1	nitro	propyl
20	1	nitro	propyl
	1	nitro	propyl
	1	nitro	propyl
	1	nitro	propyl
25	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
30	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
35	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
40	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
45	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
50	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
55	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl

Table 4(continuation 8)

m	R ¹	R ³	Z
5	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
	2	nitro	propyl
10	2	nitro	propyl
	2	nitro	propyl
	1	nitro	isopropyl
	1	nitro	isopropyl
15	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
20	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
25	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
30	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
35	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
40	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
45	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
	1	nitro	isopropyl
50	1	nitro	isopropyl
	2	nitro	isopropyl
	2	nitro	isopropyl
	2	nitro	isopropyl
55	2	nitro	isopropyl

Table 4(continuation 9)

m	R ¹	R ³	Z	
5	2	nitro	isopropyl	2, 5 - difluorophenyl
	2	nitro	isopropyl	2, 6 - difluorophenyl
	2	nitro	isopropyl	3, 4 - difluorophenyl
	2	nitro	isopropyl	3, 5 - difluorophenyl
10	2	nitro	isopropyl	2 - chlorophenyl
	2	nitro	isopropyl	3 - chlorophenyl
	2	nitro	isopropyl	4 - chlorophenyl
	2	nitro	isopropyl	4 - methylphenyl
15	2	nitro	isopropyl	2 - methoxyphenyl
	2	nitro	isopropyl	3 - methoxyphenyl
	2	nitro	isopropyl	4 - methoxyphenyl
	2	nitro	isopropyl	2, 3 - dimethoxyphenyl
	2	nitro	isopropyl	2, 4 - dimethoxyphenyl
20	2	nitro	isopropyl	2, 5 - dimethoxyphenyl
	2	nitro	isopropyl	3, 4 - dimethoxyphenyl
	2	nitro	isopropyl	3, 5 - dimethoxyphenyl
	2	nitro	isopropyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	isopropyl	2 - hydroxyphenyl
25	2	nitro	isopropyl	3 - hydroxyphenyl
	2	nitro	isopropyl	4 - hydroxyphenyl
	2	nitro	isopropyl	4 - (methylamino) phenyl
	2	nitro	isopropyl	4 - (dimethylamino) phenyl
30	2	nitro	isopropyl	4 - (methylcarbamoyl) phenyl
	2	nitro	isopropyl	2 - (acetoxy) phenyl
	2	nitro	isopropyl	3 - (acetoxy) phenyl
	2	nitro	isopropyl	4 - (acetoxy) phenyl
	2	nitro	isopropyl	2 - (propionyloxy) phenyl
35	2	nitro	isopropyl	3 - (propionyloxy) phenyl
	2	nitro	isopropyl	4 - (propionyloxy) phenyl
	2	nitro	isopropyl	2 - trifluoromethylphenyl
	2	nitro	isopropyl	3 - trifluoromethylphenyl
	2	nitro	isopropyl	4 - trifluoromethylphenyl
40	1	nitro	butyl	phenyl
	1	nitro	butyl	2 - fluorophenyl
	1	nitro	butyl	3 - fluorophenyl
	1	nitro	butyl	4 - fluorophenyl
45	1	nitro	butyl	2, 4 - difluorophenyl
	1	nitro	butyl	2, 5 - difluorophenyl
	1	nitro	butyl	2, 6 - difluorophenyl
	1	nitro	butyl	3, 4 - difluorophenyl
	1	nitro	butyl	3, 5 - difluorophenyl
50	1	nitro	butyl	2 - chlorophenyl
	1	nitro	butyl	3 - chlorophenyl
	1	nitro	butyl	4 - chlorophenyl
	1	nitro	butyl	4 - methylphenyl
	1	nitro	butyl	2 - methoxyphenyl
55	1	nitro	butyl	3 - methoxyphenyl

Table 4(continuation 10)

m	R ¹	R ³	Z
5	1	nitro	butyl
	1	nitro	butyl
	1	nitro	butyl
	1	nitro	butyl
10	1	nitro	butyl
	1	nitro	butyl
	1	nitro	butyl
	1	nitro	butyl
15	1	nitro	butyl
	1	nitro	butyl
	1	nitro	butyl
	1	nitro	butyl
20	1	nitro	butyl
	1	nitro	butyl
	1	nitro	butyl
	1	nitro	butyl
25	1	nitro	butyl
	1	nitro	butyl
	1	nitro	butyl
	1	nitro	butyl
30	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
35	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
40	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
45	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
50	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
55	2	nitro	butyl

Table 4(continuation 11)

m	R ¹	R ³	Z
5	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
10	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
15	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
	2	nitro	butyl
20	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
25	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
30	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
35	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
40	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
45	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
50	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
	1	nitro	hydroxyethyl
55	1	nitro	hydroxyethyl

Table 4(continuation 12)

m	R ¹	R ³	Z
5	1 nitro	hydroxyethyl	2 - trifluoromethylphenyl
10	1 nitro	hydroxyethyl	3 - trifluoromethylphenyl
15	1 nitro	hydroxyethyl	4 - trifluoromethylphenyl
20	2 nitro	hydroxyethyl	phenyl
25	2 nitro	hydroxyethyl	2 - fluorophenyl
30	2 nitro	hydroxyethyl	3 - fluorophenyl
35	2 nitro	hydroxyethyl	4 - fluorophenyl
40	2 nitro	hydroxyethyl	2, 4 - disfluorophenyl
45	2 nitro	hydroxyethyl	2, 5 - disfluorophenyl
50	2 nitro	hydroxyethyl	2, 6 - disfluorophenyl
55	2 nitro	hydroxyethyl	3, 4 - disfluorophenyl
	2 nitro	hydroxyethyl	3, 5 - disfluorophenyl
	2 nitro	hydroxyethyl	2 - chlorophenyl
	2 nitro	hydroxyethyl	3 - chlorophenyl
	2 nitro	hydroxyethyl	4 - chlorophenyl
	2 nitro	hydroxyethyl	4 - methylphenyl
	2 nitro	hydroxyethyl	2 - methoxyphenyl
	2 nitro	hydroxyethyl	3 - methoxyphenyl
	2 nitro	hydroxyethyl	4 - methoxyphenyl
	2 nitro	hydroxyethyl	2, 3 - dimethoxyphenyl
	2 nitro	hydroxyethyl	2, 4 - dimethoxyphenyl
	2 nitro	hydroxyethyl	2, 5 - dimethoxyphenyl
	2 nitro	hydroxyethyl	3, 4 - dimethoxyphenyl
	2 nitro	hydroxyethyl	3, 5 - dimethoxyphenyl
	2 nitro	hydroxyethyl	3, 4 - (methylenedioxy) phenyl
	2 nitro	hydroxyethyl	2 - hydroxyphenyl
	2 nitro	hydroxyethyl	3 - hydroxyphenyl
	2 nitro	hydroxyethyl	4 - hydroxyphenyl
	2 nitro	hydroxyethyl	4 - aminophenyl
	2 nitro	hydroxyethyl	4 - (methylamino) phenyl
	2 nitro	hydroxyethyl	4 - (dimethylamino) phenyl
	2 nitro	hydroxyethyl	4 - (methylcarbamoyl) phenyl
	2 nitro	hydroxyethyl	2 - (acyloxy) phenyl
	2 nitro	hydroxyethyl	3 - (acyloxy) phenyl
	2 nitro	hydroxyethyl	4 - (acyloxy) phenyl
	2 nitro	hydroxyethyl	2 - (propionyloxy) phenyl
	2 nitro	hydroxyethyl	3 - (propionyloxy) phenyl
	2 nitro	hydroxyethyl	4 - (propionyloxy) phenyl
	2 nitro	hydroxyethyl	2 - trifluoromethylphenyl
	2 nitro	hydroxyethyl	3 - trifluoromethylphenyl
	2 nitro	hydroxyethyl	4 - trifluoromethylphenyl
	1 nitro	methoxyethyl	phenyl
	1 nitro	methoxyethyl	2 - fluorophenyl
	1 nitro	methoxyethyl	3 - fluorophenyl
	1 nitro	methoxyethyl	4 - fluorophenyl
	1 nitro	methoxyethyl	2, 4 - disfluorophenyl
	1 nitro	methoxyethyl	2, 5 - disfluorophenyl
	1 nitro	methoxyethyl	2, 6 - disfluorophenyl

Table 4(continuation 13)

m	R ¹	R ³	Z	
5	1	nitro	methoxyethyl	3, 4 - difluorophenyl
	1	nitro	methoxyethyl	3, 5 - difluorophenyl
	1	nitro	methoxyethyl	2 - chlorophenyl
10	1	nitro	methoxyethyl	3 - chlorophenyl
	1	nitro	methoxyethyl	4 - chlorophenyl
	1	nitro	methoxyethyl	4 - methylphenyl
	1	nitro	methoxyethyl	2 - methoxyphenyl
15	1	nitro	methoxyethyl	3 - methoxyphenyl
	1	nitro	methoxyethyl	4 - methoxyphenyl
	1	nitro	methoxyethyl	2, 3 - dimethoxyphenyl
	1	nitro	methoxyethyl	2, 4 - dimethoxyphenyl
20	1	nitro	methoxyethyl	2, 5 - dimethoxyphenyl
	1	nitro	methoxyethyl	3, 4 - dimethoxyphenyl
	1	nitro	methoxyethyl	3, 5 - dimethoxyphenyl
	1	nitro	methoxyethyl	3, 4 - (methylenedioxy) phenyl
	1	nitro	methoxyethyl	2 - hydroxyphenyl
25	1	nitro	methoxyethyl	3 - hydroxyphenyl
	1	nitro	methoxyethyl	4 - hydroxyphenyl
	1	nitro	methoxyethyl	4 - aminophenyl
	1	nitro	methoxyethyl	4 - (methylamino) phenyl
30	1	nitro	methoxyethyl	4 - (dimethylamino) phenyl
	1	nitro	methoxyethyl	4 - (methylcarbamoyl) phenyl
	1	nitro	methoxyethyl	2 - (acyloxy) phenyl
	1	nitro	methoxyethyl	3 - (acyloxy) phenyl
	1	nitro	methoxyethyl	4 - (acyloxy) phenyl
35	1	nitro	methoxyethyl	2 - (propionyloxy) phenyl
	1	nitro	methoxyethyl	3 - (propionyloxy) phenyl
	1	nitro	methoxyethyl	4 - (propionyloxy) phenyl
	1	nitro	methoxyethyl	2 - trifluoromethylphenyl
	1	nitro	methoxyethyl	3 - trifluoromethylphenyl
40	1	nitro	methoxyethyl	4 - trifluoromethylphenyl
	2	nitro	methoxyethyl	phenyl
	2	nitro	methoxyethyl	2 - fluorophenyl
45	2	nitro	methoxyethyl	3 - fluorophenyl
	2	nitro	methoxyethyl	4 - fluorophenyl
	2	nitro	methoxyethyl	2, 4 - difluorophenyl
	2	nitro	methoxyethyl	2, 5 - difluorophenyl
	2	nitro	methoxyethyl	2, 6 - difluorophenyl
50	2	nitro	methoxyethyl	3, 4 - difluorophenyl
	2	nitro	methoxyethyl	3, 5 - difluorophenyl
	2	nitro	methoxyethyl	2 - chlorophenyl
	2	nitro	methoxyethyl	3 - chlorophenyl
55	2	nitro	methoxyethyl	4 - chlorophenyl
	2	nitro	methoxyethyl	4 - methylphenyl
	2	nitro	methoxyethyl	2 - methoxyphenyl
	2	nitro	methoxyethyl	3 - methoxyphenyl
	2	nitro	methoxyethyl	4 - methoxyphenyl
	2	nitro	methoxyethyl	2, 3 - dimethoxyphenyl

Table 4(continuation 14)

m	R ¹	R ³	Z	
5	2	nitro	methoxyethyl	2, 4 - dimethoxyphenyl
	2	nitro	methoxyethyl	2, 5 - dimethoxyphenyl
	2	nitro	methoxyethyl	3, 4 - dimethoxyphenyl
	2	nitro	methoxyethyl	3, 5 - dimethoxyphenyl
10	2	nitro	methoxyethyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	methoxyethyl	2 - hydroxyphenyl
	2	nitro	methoxyethyl	3 - hydroxyphenyl
	2	nitro	methoxyethyl	4 - hydroxyphenyl
15	2	nitro	methoxyethyl	4 - aminophenyl
	2	nitro	methoxyethyl	4 - (methylamino) phenyl
	2	nitro	methoxyethyl	4 - (dimethylamino) phenyl
	2	nitro	methoxyethyl	4 - (methylcarbamoyl) phenyl
20	2	nitro	methoxyethyl	2 - (acetoxy) phenyl
	2	nitro	methoxyethyl	3 - (acetoxy) phenyl
	2	nitro	methoxyethyl	4 - (acetoxy) phenyl
	2	nitro	methoxyethyl	2 - (propionyloxy) phenyl
	2	nitro	methoxyethyl	3 - (propionyloxy) phenyl
25	2	nitro	methoxyethyl	4 - (propionyloxy) phenyl
	2	nitro	methoxyethyl	2 - trifluoromethylphenyl
	2	nitro	methoxyethyl	3 - trifluoromethylphenyl
	2	nitro	methoxyethyl	4 - trifluoromethylphenyl
	1	nitro	aminoethyl	phenyl
30	1	nitro	aminoethyl	2 - fluorophenyl
	1	nitro	aminoethyl	3 - fluorophenyl
	1	nitro	aminoethyl	4 - fluorophenyl
	1	nitro	aminoethyl	2, 4 - difluorophenyl
	1	nitro	aminoethyl	2, 5 - difluorophenyl
35	1	nitro	aminoethyl	2, 6 - difluorophenyl
	1	nitro	aminoethyl	3, 4 - difluorophenyl
	1	nitro	aminoethyl	3, 5 - difluorophenyl
	1	nitro	aminoethyl	2 - chlorophenyl
	1	nitro	aminoethyl	3 - chlorophenyl
40	1	nitro	aminoethyl	4 - chlorophenyl
	1	nitro	aminoethyl	4 - methylphenyl
	1	nitro	aminoethyl	2 - methoxyphenyl
	1	nitro	aminoethyl	3 - methoxyphenyl
	1	nitro	aminoethyl	4 - methoxyphenyl
45	1	nitro	aminoethyl	2, 3 - dimethoxyphenyl
	1	nitro	aminoethyl	2, 4 - dimethoxyphenyl
	1	nitro	aminoethyl	2, 5 - dimethoxyphenyl
	1	nitro	aminoethyl	3, 4 - dimethoxyphenyl
	1	nitro	aminoethyl	3, 5 - dimethoxyphenyl
50	1	nitro	aminoethyl	3, 4 - (methylenedioxy) phenyl
	1	nitro	aminoethyl	2 - hydroxyphenyl
	1	nitro	aminoethyl	3 - hydroxyphenyl
	1	nitro	aminoethyl	4 - hydroxyphenyl
	1	nitro	aminoethyl	4 - aminophenyl
55	1	nitro	aminoethyl	4 - (methylamino) phenyl

Table 4(continuation 15)

m	R ¹	R ³	Z
5	1	nitro aminoethyl	4 - (dimethylamino) phenyl
	1	nitro aminoethyl	4 - (methylcarbamoyl) phenyl
	1	nitro aminoethyl	2 - (acetoxy) phenyl
	1	nitro aminoethyl	3 - (acetoxy) phenyl
10	1	nitro aminoethyl	4 - (acetoxy) phenyl
	1	nitro aminoethyl	2 - (propionyloxy) phenyl
	1	nitro aminoethyl	3 - (propionyloxy) phenyl
	1	nitro aminoethyl	4 - (propionyloxy) phenyl
15	1	nitro aminoethyl	2 - trifluoromethylphenyl
	1	nitro aminoethyl	3 - trifluoromethylphenyl
	1	nitro aminoethyl	4 - trifluoromethylphenyl
	2	nitro aminoethyl	phenyl
20	2	nitro aminoethyl	2 - fluorophenyl
	2	nitro aminoethyl	3 - fluorophenyl
	2	nitro aminoethyl	4 - fluorophenyl
	2	nitro aminoethyl	2, 4 - difluorophenyl
	2	nitro aminoethyl	2, 5 - difluorophenyl
	2	nitro aminoethyl	2, 6 - difluorophenyl
25	2	nitro aminoethyl	3, 4 - difluorophenyl
	2	nitro aminoethyl	3, 5 - difluorophenyl
	2	nitro aminoethyl	2 - chlorophenyl
	2	nitro aminoethyl	3 - chlorophenyl
	2	nitro aminoethyl	4 - chlorophenyl
30	2	nitro aminoethyl	4 - methylphenyl
	2	nitro aminoethyl	2 - methoxyphenyl
	2	nitro aminoethyl	3 - methoxyphenyl
	2	nitro aminoethyl	4 - methoxyphenyl
35	2	nitro aminoethyl	2, 3 - dimethoxyphenyl
	2	nitro aminoethyl	2, 4 - dimethoxyphenyl
	2	nitro aminoethyl	2, 5 - dimethoxyphenyl
	2	nitro aminoethyl	3, 4 - dimethoxyphenyl
	2	nitro aminoethyl	3, 5 - dimethoxyphenyl
40	2	nitro aminoethyl	3, 4 - (methylenedioxy) phenyl
	2	nitro aminoethyl	2 - hydroxyphenyl
	2	nitro aminoethyl	3 - hydroxyphenyl
	2	nitro aminoethyl	4 - hydroxyphenyl
	2	nitro aminoethyl	4 - aminophenyl
45	2	nitro aminoethyl	4 - (methylamino) phenyl
	2	nitro aminoethyl	4 - (dimethylamino) phenyl
	2	nitro aminoethyl	4 - (methylcarbamoyl) phenyl
	2	nitro aminoethyl	2 - (acetoxy) phenyl
	2	nitro aminoethyl	3 - (acetoxy) phenyl
50	2	nitro aminoethyl	4 - (acetoxy) phenyl
	2	nitro aminoethyl	2 - (propionyloxy) phenyl
	2	nitro aminoethyl	3 - (propionyloxy) phenyl
	2	nitro aminoethyl	4 - (propionyloxy) phenyl
55	2	nitro aminoethyl	2 - trifluoromethylphenyl
	2	nitro aminoethyl	3 - trifluoromethylphenyl

Table 4(continuation 16)

m	R ¹	R ³	Z
5	2	nitro	aminoethyl
	1	nitro	formyl
10	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
15	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
20	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
25	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
30	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
35	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
40	1	nitro	formyl
	1	nitro	formyl
	1	nitro	formyl
45	1	nitro	formyl
	1	nitro	formyl
	2	nitro	formyl
50	2	nitro	formyl
	2	nitro	formyl
	2	nitro	formyl
55	2	nitro	formyl
	2	nitro	formyl

Table 4(continuation 17)

m	R ¹	R ³	Z
5	nitro	formyl	2 - chlorophenyl
10	nitro	formyl	3 - chlorophenyl
15	nitro	formyl	4 - chlorophenyl
20	nitro	formyl	4 - methylphenyl
25	nitro	formyl	2 - methoxyphenyl
30	nitro	formyl	3 - methoxyphenyl
35	nitro	formyl	4 - methoxyphenyl
40	nitro	formyl	2, 3 - dimethoxyphenyl
45	nitro	formyl	2, 4 - dimethoxyphenyl
50	nitro	formyl	2, 5 - dimethoxyphenyl
55	nitro	formyl	3, 4 - dimethoxyphenyl
	nitro	formyl	3, 5 - dimethoxyphenyl
	nitro	formyl	3, 4 - (methylenedioxy) phenyl
	nitro	formyl	2 - hydroxyphenyl
	nitro	formyl	3 - hydroxyphenyl
	nitro	formyl	4 - hydroxyphenyl
	nitro	formyl	4 - aminophenyl
	nitro	formyl	4 - (methylamino) phenyl
	nitro	formyl	4 - (dimethylamino) phenyl
	nitro	formyl	4 - (methylcarbamoyl) phenyl
	nitro	formyl	2 - (acetoxy) phenyl
	nitro	formyl	3 - (acetoxy) phenyl
	nitro	formyl	4 - (acetoxy) phenyl
	nitro	formyl	2 - (propionyloxy) phenyl
	nitro	formyl	3 - (propionyloxy) phenyl
	nitro	formyl	4 - (propionyloxy) phenyl
	nitro	formyl	2 - trifluoromethylphenyl
	nitro	formyl	3 - trifluoromethylphenyl
	nitro	formyl	4 - trifluoromethylphenyl
	nitro	acetyl	phenyl
	nitro	acetyl	2 - fluorophenyl
	nitro	acetyl	3 - fluorophenyl
	nitro	acetyl	4 - fluorophenyl
	nitro	acetyl	2, 4 - difluorophenyl
	nitro	acetyl	2, 5 - difluorophenyl
	nitro	acetyl	2, 6 - difluorophenyl
	nitro	acetyl	3, 4 - difluorophenyl
	nitro	acetyl	3, 5 - difluorophenyl
	nitro	acetyl	2 - chlorophenyl
	nitro	acetyl	3 - chlorophenyl
	nitro	acetyl	4 - chlorophenyl
	nitro	acetyl	4 - methylphenyl
	nitro	acetyl	2 - methoxyphenyl
	nitro	acetyl	3 - methoxyphenyl
	nitro	acetyl	4 - methoxyphenyl
	nitro	acetyl	2, 3 - dimethoxyphenyl
	nitro	acetyl	2, 4 - dimethoxyphenyl
	nitro	acetyl	2, 5 - dimethoxyphenyl

Table 4(continuation 18)

m	R ¹	R ³	Z	
5	1	nitro	acetyl	3, 4 - dimethoxyphenyl
10	1	nitro	acetyl	3, 5 - dimethoxyphenyl
15	1	nitro	acetyl	3, 4 - (methylenedioxy) phenyl
20	1	nitro	acetyl	2 - hydroxyphenyl
25	1	nitro	acetyl	3 - hydroxyphenyl
30	1	nitro	acetyl	4 - hydroxyphenyl
35	1	nitro	acetyl	4 - aminophenyl
40	1	nitro	acetyl	4 - (methylamino) phenyl
45	1	nitro	acetyl	4 - (dimethylamino) phenyl
50	1	nitro	acetyl	4 - (methylcarbamoyl) phenyl
55	1	nitro	acetyl	2 - (acetoxy) phenyl
	1	nitro	acetyl	3 - (acetoxy) phenyl
	1	nitro	acetyl	4 - (acetoxy) phenyl
	1	nitro	acetyl	2 - (propionyloxy) phenyl
	1	nitro	acetyl	3 - (propionyloxy) phenyl
	1	nitro	acetyl	4 - (propionyloxy) phenyl
	1	nitro	acetyl	2 - trifluoromethylphenyl
	1	nitro	acetyl	3 - trifluoromethylphenyl
	1	nitro	acetyl	4 - trifluoromethylphenyl
	2	nitro	acetyl	phenyl
	2	nitro	acetyl	2 - fluorophenyl
	2	nitro	acetyl	3 - fluorophenyl
	2	nitro	acetyl	4 - fluorophenyl
	2	nitro	acetyl	2, 4 - difluorophenyl
	2	nitro	acetyl	2, 5 - difluorophenyl
	2	nitro	acetyl	2, 6 - difluorophenyl
	2	nitro	acetyl	3, 4 - difluorophenyl
	2	nitro	acetyl	3, 5 - difluorophenyl
	2	nitro	acetyl	2 - chlorophenyl
	2	nitro	acetyl	3 - chlorophenyl
	2	nitro	acetyl	4 - chlorophenyl
	2	nitro	acetyl	4 - methylphenyl
	2	nitro	acetyl	2 - methoxyphenyl
	2	nitro	acetyl	3 - methoxyphenyl
	2	nitro	acetyl	4 - methoxyphenyl
	2	nitro	acetyl	2, 3 - dimethoxyphenyl
	2	nitro	acetyl	2, 4 - dimethoxyphenyl
	2	nitro	acetyl	2, 5 - dimethoxyphenyl
	2	nitro	acetyl	3, 4 - dimethoxyphenyl
	2	nitro	acetyl	3, 5 - dimethoxyphenyl
	2	nitro	acetyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	acetyl	2 - hydroxyphenyl
	2	nitro	acetyl	3 - hydroxyphenyl
	2	nitro	acetyl	4 - hydroxyphenyl
	2	nitro	acetyl	4 - aminophenyl
	2	nitro	acetyl	4 - (methylamino) phenyl
	2	nitro	acetyl	4 - (dimethylamino) phenyl
	2	nitro	acetyl	4 - (methylcarbamoyl) phenyl

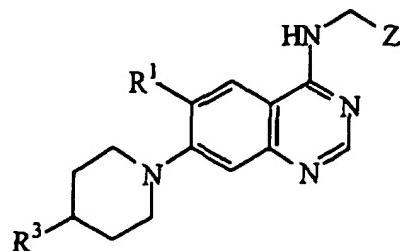
Table 4(continuation 19)

m	R ¹	R ³	Z
5	nitro	acetyl	2 - (acetoxy) phenyl
10	nitro	acetyl	3 - (acetoxy) phenyl
15	nitro	acetyl	4 - (acetoxy) phenyl
20	nitro	acetyl	2 - (propionyloxy) phenyl
25	nitro	acetyl	3 - (propionyloxy) phenyl
30	nitro	acetyl	4 - (propionyloxy) phenyl
35	nitro	acetyl	2 - trifluoromethylphenyl
40	nitro	acetyl	3 - trifluoromethylphenyl
45	nitro	acetyl	4 - trifluoromethylphenyl
50	nitro	propionyl	phenyl
55	nitro	propionyl	2 - fluorophenyl
1	nitro	propionyl	3 - fluorophenyl
1	nitro	propionyl	4 - fluorophenyl
1	nitro	propionyl	2, 4 - difluorophenyl
1	nitro	propionyl	2, 5 - difluorophenyl
1	nitro	propionyl	2, 6 - difluorophenyl
1	nitro	propionyl	3, 4 - difluorophenyl
1	nitro	propionyl	3, 5 - difluorophenyl
1	nitro	propionyl	2 - chlorophenyl
1	nitro	propionyl	3 - chlorophenyl
1	nitro	propionyl	4 - chlorophenyl
1	nitro	propionyl	4 - methylphenyl
1	nitro	propionyl	2 - methoxyphenyl
1	nitro	propionyl	3 - methoxyphenyl
1	nitro	propionyl	4 - methoxyphenyl
1	nitro	propionyl	2, 3 - dimethoxyphenyl
1	nitro	propionyl	2, 4 - dimethoxyphenyl
1	nitro	propionyl	2, 5 - dimethoxyphenyl
1	nitro	propionyl	3, 4 - dimethoxyphenyl
1	nitro	propionyl	3, 5 - dimethoxyphenyl
1	nitro	propionyl	3, 4 - (methylenedioxy) phenyl
1	nitro	propionyl	2 - hydroxyphenyl
1	nitro	propionyl	3 - hydroxyphenyl
1	nitro	propionyl	4 - hydroxyphenyl
1	nitro	propionyl	4 - aminophenyl
1	nitro	propionyl	4 - (methylamino) phenyl
1	nitro	propionyl	4 - (dimethylamino) phenyl
1	nitro	propionyl	4 - (methylcarbamoyl) phenyl
1	nitro	propionyl	2 - (acetoxy) phenyl
1	nitro	propionyl	3 - (acetoxy) phenyl
1	nitro	propionyl	4 - (acetoxy) phenyl
1	nitro	propionyl	2 - (propionyloxy) phenyl
1	nitro	propionyl	3 - (propionyloxy) phenyl
1	nitro	propionyl	4 - (propionyloxy) phenyl
1	nitro	propionyl	2 - trifluoromethylphenyl
1	nitro	propionyl	3 - trifluoromethylphenyl
1	nitro	propionyl	4 - trifluoromethylphenyl

Table 4(continuation 20)

m	R ¹	R ³	Z
5	2	nitro	propionyl phenyl
10	2	nitro	propionyl 2 - fluorophenyl
15	2	nitro	propionyl 3 - fluorophenyl
20	2	nitro	propionyl 4 - fluorophenyl
25	2	nitro	propionyl 2, 4 - difluorophenyl
30	2	nitro	propionyl 2, 5 - difluorophenyl
35	2	nitro	propionyl 2, 6 - difluorophenyl
40	2	nitro	propionyl 3, 4 - difluorophenyl
45	2	nitro	propionyl 3, 5 - difluorophenyl
50			
55			

Table 5



15 Each substituent in the formula above is as follows:

R¹	R³	Z
nitro	amino	phenyl
nitro	amino	2 - fluorophenyl
nitro	amino	3 - fluorophenyl
nitro	amino	4 - fluorophenyl
nitro	amino	2, 4 - difluorophenyl
nitro	amino	2, 5 - difluorophenyl
nitro	amino	2, 6 - difluorophenyl
nitro	amino	3, 4 - difluorophenyl
nitro	amino	3, 5 - difluorophenyl
nitro	amino	2 - chlorophenyl
nitro	amino	3 - chlorophenyl
nitro	amino	4 - chlorophenyl
nitro	amino	2, 4 - dichlorophenyl
nitro	amino	3, 4 - dichlorophenyl
nitro	amino	2 - bromophenyl
nitro	amino	3 - bromophenyl
nitro	amino	4 - bromophenyl
nitro	amino	2 - methylphenyl
nitro	amino	3 - methylphenyl
nitro	amino	4 - methylphenyl
nitro	amino	2 - methoxyphenyl
nitro	amino	3 - methoxyphenyl
nitro	amino	4 - methoxyphenyl
nitro	amino	2, 3 - dimethoxyphenyl
nitro	amino	2, 4 - dimethoxyphenyl
nitro	amino	3, 4 - dimethoxyphenyl
nitro	amino	3, 5 - dimethoxyphenyl
nitro	amino	3, 4 - (methylenedioxy) phenyl
nitro	amino	3, 4 - (ethylenedioxy) phenyl
nitro	amino	2 - hydroxyphenyl
nitro	amino	3 - hydroxyphenyl
nitro	amino	4 - hydroxyphenyl
nitro	amino	2 - aminophenyl
nitro	amino	3 - aminophenyl
nitro	amino	4 - aminophenyl

Table 5(continuation 1)

	R ¹	R ³	Z
5	nitro	amino	2 - (methylamino) phenyl
	nitro	amino	3 - (methylamino) phenyl
	nitro	amino	4 - (methylamino) phenyl
	nitro	amino	2 - (dimethylamino) phenyl
10	nitro	amino	3 - (dimethylamino) phenyl
	nitro	amino	4 - (dimethylamino) phenyl
	nitro	amino	2 - carboxyphenyl
	nitro	amino	3 - carboxyphenyl
	nitro	amino	4 - carboxyphenyl
15	nitro	amino	2 - (methylcarbamoyl) phenyl
	nitro	amino	3 - (methylcarbamoyl) phenyl
	nitro	amino	4 - (methylcarbamoyl) phenyl
	nitro	amino	2 - (methoxycarbonyl) phenyl
20	nitro	amino	3 - (methoxycarbonyl) phenyl
	nitro	amino	4 - (methoxycarbonyl) phenyl
	nitro	amino	2 - (ethoxycarbonyl) phenyl
	nitro	amino	3 - (ethoxycarbonyl) phenyl
	nitro	amino	4 - (ethoxycarbonyl) phenyl
25	nitro	amino	2 - (acetoxy) phenyl
	nitro	amino	3 - (acetoxy) phenyl
	nitro	amino	4 - (acetoxy) phenyl
	nitro	amino	2 - (propionyloxy) phenyl
	nitro	amino	3 - (propionyloxy) phenyl
30	nitro	amino	4 - (propionyloxy) phenyl
	nitro	amino	2 - trifluoromethylphenyl
	nitro	amino	3 - trifluoromethylphenyl
	nitro	amino	4 - trifluoromethylphenyl
35	nitro	amino	2 - thiaryl
	nitro	amino	3 - thiaryl
	nitro	amino	2 - furyl
	nitro	amino	3 - furyl
	nitro	amino	2 - pyridyl
40	nitro	amino	3 - pyridyl
	nitro	amino	4 - pyridyl
	nitro	aminomethyl	phenyl
	nitro	aminomethyl	2 - fluorophenyl
	nitro	aminomethyl	3 - fluorophenyl
45	nitro	aminomethyl	4 - fluorophenyl
	nitro	aminomethyl	2, 4 - difluorophenyl
	nitro	aminomethyl	2, 5 - difluorophenyl
	nitro	aminomethyl	2, 6 - difluorophenyl
	nitro	aminomethyl	3, 4 - difluorophenyl
50	nitro	aminomethyl	3, 5 - difluorophenyl
	nitro	aminomethyl	2 - chlorophenyl
	nitro	aminomethyl	3 - chlorophenyl
	nitro	aminomethyl	4 - chlorophenyl
	nitro	aminomethyl	2, 4 - dichlorophenyl
55	nitro	aminomethyl	3, 4 - dichlorophenyl

Table 5(continuation 2)

	R ¹	R ³	Z
5	nitro	aminomethyl	2 - bromophenyl
	nitro	aminomethyl	3 - bromophenyl
	nitro	aminomethyl	4 - bromophenyl
	nitro	aminomethyl	2 - methylphenyl
10	nitro	aminomethyl	3 - methylphenyl
	nitro	aminomethyl	4 - methylphenyl
	nitro	aminomethyl	2 - methoxyphenyl
	nitro	aminomethyl	3 - methoxyphenyl
	nitro	aminomethyl	4 - methoxyphenyl
15	nitro	aminomethyl	2, 3 - dimethoxyphenyl
	nitro	aminomethyl	2, 4 - dimethoxyphenyl
	nitro	aminomethyl	3, 4 - dimethoxyphenyl
	nitro	aminomethyl	3, 5 - dimethoxyphenyl
20	nitro	aminomethyl	3, 4 - (methylenedioxy) phenyl
	nitro	aminomethyl	3, 4 - (ethylenedioxy) phenyl
	nitro	aminomethyl	2 - hydroxyphenyl
	nitro	aminomethyl	3 - hydroxyphenyl
	nitro	aminomethyl	4 - hydroxyphenyl
25	nitro	aminomethyl	2 - aminophenyl
	nitro	aminomethyl	3 - aminophenyl
	nitro	aminomethyl	4 - aminophenyl
	nitro	aminomethyl	2 - (methylamino) phenyl
	nitro	aminomethyl	3 - (methylamino) phenyl
30	nitro	aminomethyl	4 - (methylamino) phenyl
	nitro	aminomethyl	2 - (dimethylamino) phenyl
	nitro	aminomethyl	3 - (dimethylamino) phenyl
	nitro	aminomethyl	4 - (dimethylamino) phenyl
35	nitro	aminomethyl	2 - carboxyphenyl
	nitro	aminomethyl	3 - carboxyphenyl
	nitro	aminomethyl	4 - carboxyphenyl
	nitro	aminomethyl	2 - (methylcarbamoyl) phenyl
	nitro	aminomethyl	3 - (methylcarbamoyl) phenyl
40	nitro	aminomethyl	4 - (methylcarbamoyl) phenyl
	nitro	aminomethyl	2 - (methoxycarbonyl) phenyl
	nitro	aminomethyl	3 - (methoxycarbonyl) phenyl
	nitro	aminomethyl	4 - (methoxycarbonyl) phenyl
	nitro	aminomethyl	2 - (ethoxycarbonyl) phenyl
45	nitro	aminomethyl	3 - (ethoxycarbonyl) phenyl
	nitro	aminomethyl	4 - (ethoxycarbonyl) phenyl
	nitro	aminomethyl	2 - (acetoxy) phenyl
	nitro	aminomethyl	3 - (acetoxy) phenyl
	nitro	aminomethyl	4 - (acetoxy) phenyl
50	nitro	aminomethyl	2 - (propionyloxy) phenyl
	nitro	aminomethyl	3 - (propionyloxy) phenyl
	nitro	aminomethyl	4 - (propionyloxy) phenyl
	nitro	aminomethyl	2 - trifluoromethylphenyl
55	nitro	aminomethyl	3 - trifluoromethylphenyl
	nitro	aminomethyl	4 - trifluoromethylphenyl

Table 5(continuation 3)

R ¹	R ³	Z
nitro	aminomethyl	2 - thieryl
nitro	aminomethyl	3 - thieryl
nitro	aminomethyl	2 - furyl
nitro	aminomethyl	3 - furyl
nitro	aminomethyl	2 - pyridyl
nitro	aminomethyl	3 - pyridyl
nitro	aminomethyl	4 - pyridyl

5

10

15

20

25

30

35

40

45

50

55

Table 6

5

10

15

20

25

30

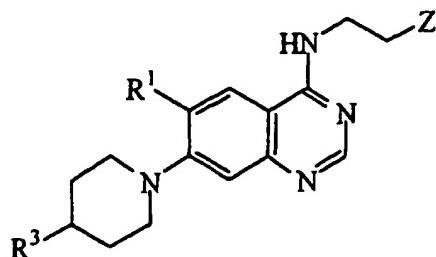
35

40

45

50

55



Each substituent in the formula above is as follows:

R^1	R^3	Z
nitro	amino	phenyl
nitro	amino	2 - fluorophenyl
nitro	amino	3 - fluorophenyl
nitro	amino	4 - fluorophenyl
nitro	amino	2, 4 - difluorophenyl
nitro	amino	2, 5 - difluorophenyl
nitro	amino	2, 6 - difluorophenyl
nitro	amino	3, 4 - difluorophenyl
nitro	amino	3, 5 - difluorophenyl
nitro	amino	2 - chlorophenyl
nitro	amino	3 - chlorophenyl
nitro	amino	4 - chlorophenyl
nitro	amino	2, 4 - dichlorophenyl
nitro	amino	3, 4 - dichlorophenyl
nitro	amino	2 - bromophenyl
nitro	amino	3 - bromophenyl
nitro	amino	4 - bromophenyl
nitro	amino	2 - methylphenyl
nitro	amino	3 - methylphenyl
nitro	amino	4 - methylphenyl
nitro	amino	2 - methoxyphenyl
nitro	amino	3 - methoxyphenyl
nitro	amino	4 - methoxyphenyl
nitro	amino	2, 3 - dimethoxyphenyl
nitro	amino	2, 4 - dimethoxyphenyl
nitro	amino	3, 4 - dimethoxyphenyl
nitro	amino	3, 5 - dimethoxyphenyl
nitro	amino	3, 4 - (methylenedioxy) phenyl
nitro	amino	3, 4 - (ethylenedioxy) phenyl
nitro	amino	2 - hydroxyphenyl
nitro	amino	3 - hydroxyphenyl
nitro	amino	4 - hydroxyphenyl
nitro	amino	2 - aminophenyl
nitro	amino	3 - aminophenyl
nitro	amino	4 - aminophenyl

Table 6(continuation 1)

	R ¹	R ³	Z
5	nitro	amino	2 - (methylamino) phenyl
	nitro	amino	3 - (methylamino) phenyl
	nitro	amino	4 - (methylamino) phenyl
	nitro	amino	2 - (dimethylamino) phenyl
10	nitro	amino	3 - (dimethylamino) phenyl
	nitro	amino	4 - (dimethylamino) phenyl
	nitro	amino	2 - carboxyphenyl
	nitro	amino	3 - carboxyphenyl
15	nitro	amino	4 - carboxyphenyl
	nitro	amino	2 - (methylcarbamoyl) phenyl
	nitro	amino	3 - (methylcarbamoyl) phenyl
	nitro	amino	4 - (methylcarbamoyl) phenyl
20	nitro	amino	2 - (methoxycarbonyl) phenyl
	nitro	amino	3 - (methoxycarbonyl) phenyl
	nitro	amino	4 - (methoxycarbonyl) phenyl
	nitro	amino	2 - (ethoxycarbonyl) phenyl
25	nitro	amino	3 - (ethoxycarbonyl) phenyl
	nitro	amino	4 - (ethoxycarbonyl) phenyl
	nitro	amino	2 - (acetoxy) phenyl
	nitro	amino	3 - (acetoxy) phenyl
	nitro	amino	4 - (acetoxy) phenyl
30	nitro	amino	2 - (propionyloxy) phenyl
	nitro	amino	3 - (propionyloxy) phenyl
	nitro	amino	4 - (propionyloxy) phenyl
	nitro	amino	2 - trifluoromethylphenyl
35	nitro	amino	3 - trifluoromethylphenyl
	nitro	amino	4 - trifluoromethylphenyl
	nitro	amino	2 - thieryl
	nitro	amino	3 - thieryl
	nitro	amino	2 - furyl
	nitro	amino	3 - furyl
40	nitro	amino	2 - pyridyl
	nitro	amino	3 - pyridyl
	nitro	amino	4 - pyridyl
	nitro	aminomethyl	phenyl
45	nitro	aminomethyl	2 - fluorophenyl
	nitro	aminomethyl	3 - fluorophenyl
	nitro	aminomethyl	4 - fluorophenyl
	nitro	aminomethyl	2, 4 - difluorophenyl
	nitro	aminomethyl	2, 5 - difluorophenyl
	nitro	aminomethyl	2, 6 - difluorophenyl
50	nitro	aminomethyl	3, 4 - difluorophenyl
	nitro	aminomethyl	3, 5 - difluorophenyl
	nitro	aminomethyl	2 - chlorophenyl
	nitro	aminomethyl	3 - chlorophenyl
	nitro	aminomethyl	4 - chlorophenyl
55	nitro	aminomethyl	2, 4 - dichlorophenyl
	nitro	aminomethyl	3, 4 - dichlorophenyl

Table 6(continuation 2)

	R ¹	R ³	Z
5	nitro	aminomethyl	2 - bromophenyl
	nitro	aminomethyl	3 - bromophenyl
	nitro	aminomethyl	4 - bromophenyl
10	nitro	aminomethyl	2 - methylphenyl
	nitro	aminomethyl	3 - methylphenyl
	nitro	aminomethyl	4 - methylphenyl
	nitro	aminomethyl	2 - methoxyphenyl
	nitro	aminomethyl	3 - methoxyphenyl
15	nitro	aminomethyl	4 - methoxyphenyl
	nitro	aminomethyl	2, 3 - dimethoxyphenyl
	nitro	aminomethyl	2, 4 - dimethoxyphenyl
	nitro	aminomethyl	3, 4 - dimethoxyphenyl
20	nitro	aminomethyl	3, 5 - dimethoxyphenyl
	nitro	aminomethyl	3, 4 - (methylenedioxy) phenyl
	nitro	aminomethyl	3, 4 - (ethylenedioxy) phenyl
	nitro	aminomethyl	2 - hydroxyphenyl
	nitro	aminomethyl	3 - hydroxyphenyl
25	nitro	aminomethyl	4 - hydroxyphenyl
	nitro	aminomethyl	2 - aminophenyl
	nitro	aminomethyl	3 - aminophenyl
	nitro	aminomethyl	4 - aminophenyl
30	nitro	aminomethyl	2 - (methylamino) phenyl
	nitro	aminomethyl	3 - (methylamino) phenyl
	nitro	aminomethyl	4 - (methylamino) phenyl
	nitro	aminomethyl	2 - (dimethylamino) phenyl
	nitro	aminomethyl	3 - (dimethylamino) phenyl
35	nitro	aminomethyl	4 - (dimethylamino) phenyl
	nitro	aminomethyl	2 - carboxyphenyl
	nitro	aminomethyl	3 - carboxyphenyl
	nitro	aminomethyl	4 - carboxyphenyl
40	nitro	aminomethyl	2 - (methylcarbamoyl) phenyl
	nitro	aminomethyl	3 - (methylcarbamoyl) phenyl
	nitro	aminomethyl	4 - (methylcarbamoyl) phenyl
	nitro	aminomethyl	2 - (methoxycarbonyl) phenyl
	nitro	aminomethyl	3 - (methoxycarbonyl) phenyl
45	nitro	aminomethyl	4 - (methoxycarbonyl) phenyl
	nitro	aminomethyl	2 - (ethoxycarbonyl) phenyl
	nitro	aminomethyl	3 - (ethoxycarbonyl) phenyl
	nitro	aminomethyl	4 - (ethoxycarbonyl) phenyl
50	nitro	aminomethyl	2 - (acetoxy) phenyl
	nitro	aminomethyl	3 - (acetoxy) phenyl
	nitro	aminomethyl	4 - (acetoxy) phenyl
	nitro	aminomethyl	2 - (propionyloxy) phenyl
	nitro	aminomethyl	3 - (propionyloxy) phenyl
55	nitro	aminomethyl	4 - (propionyloxy) phenyl
	nitro	aminomethyl	2 - trifluoromethylphenyl
	nitro	aminomethyl	3 - trifluoromethylphenyl
	nitro	aminomethyl	4 - trifluoromethylphenyl

Table 6(continuation 3)

R ¹	R ³	Z
nitro	aminomethyl	2 - thieryl
nitro	aminomethyl	3 - thieryl
nitro	aminomethyl	2 - furyl
nitro	aminomethyl	3 - furyl
nitro	aminomethyl	2 - pyridyl
nitro	aminomethyl	3 - pyridyl
nitro	aminomethyl	4 - pyridyl

5

10

15

20

25

30

35

40

45

50

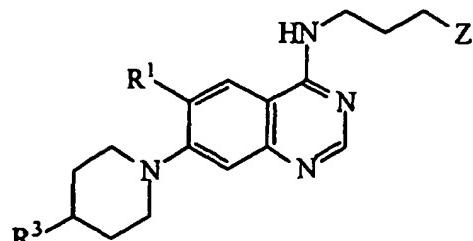
55

Table 7

5

10

15



Each substituent in the formula above is as follows:

R¹	R³	Z
nitro	amino	phenyl
nitro	amino	2 - fluorophenyl
nitro	amino	3 - fluorophenyl
nitro	amino	4 - fluorophenyl
nitro	amino	2, 4 - difluorophenyl
nitro	amino	2, 5 - difluorophenyl
nitro	amino	2, 6 - difluorophenyl
nitro	amino	3, 4 - difluorophenyl
nitro	amino	3, 5 - difluorophenyl
nitro	amino	2 - chlorophenyl
nitro	amino	3 - chlorophenyl
nitro	amino	4 - chlorophenyl
nitro	amino	2, 4 - dichlorophenyl
nitro	amino	3, 4 - dichlorophenyl
nitro	amino	2 - bromophenyl
nitro	amino	3 - bromophenyl
nitro	amino	4 - bromophenyl
nitro	amino	2 - methylphenyl
nitro	amino	3 - methylphenyl
nitro	amino	4 - methylphenyl
nitro	amino	2 - methoxyphenyl
nitro	amino	3 - methoxyphenyl
nitro	amino	4 - methoxyphenyl
nitro	amino	2, 3 - dimethoxyphenyl
nitro	amino	2, 4 - dimethoxyphenyl
nitro	amino	3, 4 - dimethoxyphenyl
nitro	amino	3, 5 - dimethoxyphenyl
nitro	amino	3, 4 - (methylenedioxy) phenyl
nitro	amino	3, 4 - (ethylenedioxy) phenyl
nitro	amino	2 - hydroxyphenyl
nitro	amino	3 - hydroxyphenyl
nitro	amino	4 - hydroxyphenyl

55

Table 7(continuation 1)

	R ¹	R ³	Z
5	nitro	amino	2 - aminophenyl
	nitro	amino	3 - aminophenyl
	nitro	amino	4 - aminophenyl
10	nitro	amino	2 - (methylamino) phenyl
	nitro	amino	3 - (methylamino) phenyl
	nitro	amino	4 - (methylamino) phenyl
	nitro	amino	2 - (dimethylamino) phenyl
	nitro	amino	3 - (dimethylamino) phenyl
15	nitro	amino	4 - (dimethylamino) phenyl
	nitro	amino	2 - carboxyphenyl
	nitro	amino	3 - carboxyphenyl
	nitro	amino	4 - carboxyphenyl
20	nitro	amino	2 - (methylcarbamoyl) phenyl
	nitro	amino	3 - (methylcarbamoyl) phenyl
	nitro	amino	4 - (methylcarbamoyl) phenyl
	nitro	amino	2 - (methoxycarbonyl) phenyl
	nitro	amino	3 - (methoxycarbonyl) phenyl
25	nitro	amino	4 - (methoxycarbonyl) phenyl
	nitro	amino	2 - (ethoxycarbonyl) phenyl
	nitro	amino	3 - (ethoxycarbonyl) phenyl
	nitro	amino	4 - (ethoxycarbonyl) phenyl
	nitro	amino	2 - (acetoxy) phenyl
30	nitro	amino	3 - (acetoxy) phenyl
	nitro	amino	4 - (acetoxy) phenyl
	nitro	amino	2 - (propionyloxy) phenyl
	nitro	amino	3 - (propionyloxy) phenyl
	nitro	amino	4 - (propionyloxy) phenyl
35	nitro	amino	2 - trifluoromethylphenyl
	nitro	amino	3 - trifluoromethylphenyl
	nitro	amino	4 - trifluoromethylphenyl
	nitro	amino	2 - thieryl
	nitro	amino	3 - thieryl
40	nitro	amino	2 - furyl
	nitro	amino	3 - furyl
	nitro	amino	2 - pyridyl
	nitro	amino	3 - pyridyl
	nitro	amino	4 - pyridyl
45	nitro	aminomethyl	phenyl
	nitro	aminomethyl	2 - fluorophenyl
	nitro	aminomethyl	3 - fluorophenyl
	nitro	aminomethyl	4 - fluorophenyl
	nitro	aminomethyl	2, 4 - difluorophenyl
50	nitro	aminomethyl	2, 5 - difluorophenyl
	nitro	aminomethyl	2, 6 - difluorophenyl
	nitro	aminomethyl	3, 4 - difluorophenyl
	nitro	aminomethyl	3, 5 - difluorophenyl
55	nitro	aminomethyl	2 - chlorophenyl
	nitro	aminomethyl	3 - chlorophenyl

Table 7(continuation 2)

	R ¹	R ³	Z
5	nitro	aminomethyl	4 - chlorophenyl
	nitro	aminomethyl	2, 4 - dichlorophenyl
	nitro	aminomethyl	3, 4 - dichlorophenyl
	nitro	aminomethyl	2 - bromophenyl
10	nitro	aminomethyl	3 - bromophenyl
	nitro	aminomethyl	4 - bromophenyl
	nitro	aminomethyl	2 - methylphenyl
	nitro	aminomethyl	3 - methylphenyl
15	nitro	aminomethyl	4 - methylphenyl
	nitro	aminomethyl	2 - methoxyphenyl
	nitro	aminomethyl	3 - methoxyphenyl
	nitro	aminomethyl	4 - methoxyphenyl
	nitro	aminomethyl	2, 3 - dimethoxyphenyl
20	nitro	aminomethyl	2, 4 - dimethoxyphenyl
	nitro	aminomethyl	3, 4 - dimethoxyphenyl
	nitro	aminomethyl	3, 5 - dimethoxyphenyl
	nitro	aminomethyl	3, 4 - (methylenedioxy) phenyl
25	nitro	aminomethyl	3, 4 - (ethylenedioxy) phenyl
	nitro	aminomethyl	2 - hydroxyphenyl
	nitro	aminomethyl	3 - hydroxyphenyl
	nitro	aminomethyl	4 - hydroxyphenyl
	nitro	aminomethyl	2 - aminophenyl
30	nitro	aminomethyl	3 - aminophenyl
	nitro	aminomethyl	4 - aminophenyl
	nitro	aminomethyl	2 - (methylamino) phenyl
	nitro	aminomethyl	3 - (methylamino) phenyl
	nitro	aminomethyl	4 - (methylamino) phenyl
35	nitro	aminomethyl	2 - (dimethylamino) phenyl
	nitro	aminomethyl	3 - (dimethylamino) phenyl
	nitro	aminomethyl	4 - (dimethylamino) phenyl
	nitro	aminomethyl	2 - carboxyphenyl
40	nitro	aminomethyl	3 - carboxyphenyl
	nitro	aminomethyl	4 - carboxyphenyl
	nitro	aminomethyl	2 - (methylcarbamoyl) phenyl
	nitro	aminomethyl	3 - (methylcarbamoyl) phenyl
	nitro	aminomethyl	4 - (methylcarbamoyl) phenyl
45	nitro	aminomethyl	2 - (methoxycarbonyl) phenyl
	nitro	aminomethyl	3 - (methoxycarbonyl) phenyl
	nitro	aminomethyl	4 - (methoxycarbonyl) phenyl
	nitro	aminomethyl	2 - (ethoxycarbonyl) phenyl
	nitro	aminomethyl	3 - (ethoxycarbonyl) phenyl
50	nitro	aminomethyl	4 - (ethoxycarbonyl) phenyl
	nitro	aminomethyl	2 - (acetyloxy) phenyl
	nitro	aminomethyl	3 - (acetyloxy) phenyl
	nitro	aminomethyl	4 - (acetyloxy) phenyl
55	nitro	aminomethyl	2 - (propionyloxy) phenyl
	nitro	aminomethyl	3 - (propionyloxy) phenyl
	nitro	aminomethyl	4 - (propionyloxy) phenyl

Table 7(continuation 3)

	R ¹	R ³	Z
5	nitro	aminomethyl	2 - trifluoromethylphenyl
	nitro	aminomethyl	3 - trifluoromethylphenyl
	nitro	aminomethyl	4 - trifluoromethylphenyl
10	nitro	aminomethyl	2 - thiienyl
	nitro	aminomethyl	3 - thiienyl
	nitro	aminomethyl	2 - furyl
	nitro	aminomethyl	3 - furyl
15	nitro	aminomethyl	2 - pyridyl
	nitro	aminomethyl	3 - pyridyl
	nitro	aminomethyl	4 - pyridyl

20

25

30

35

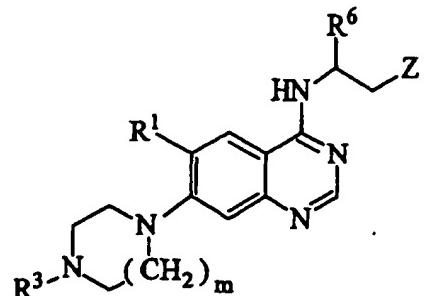
40

45

50

55

Table 8



Each substituent in the formula above is as follows:

m	R ¹	R ³	R ⁶	Z
1	nitro	H	hydroxymethyl	phenyl
1	nitro	H	hydroxymethyl	4 - fluorophenyl
1	nitro	H	hydroxymethyl	4 - chlorophenyl
1	nitro	H	hydroxymethyl	4 - methoxyphenyl
1	nitro	H	hydroxymethyl	4 - hydroxyphenyl
1	nitro	H	hydroxymethyl	4 - (acetoxy) phenyl
1	nitro	H	hydroxymethyl	4 - (propionyloxy) phenyl
1	nitro	H	methoxycarbonyl	phenyl
1	nitro	H	methoxycarbonyl	4 - fluorophenyl
1	nitro	H	methoxycarbonyl	4 - chlorophenyl
1	nitro	H	methoxycarbonyl	4 - methoxyphenyl
1	nitro	H	methoxycarbonyl	4 - hydroxyphenyl
1	nitro	H	methoxycarbonyl	4 - (acetoxy) phenyl
1	nitro	H	methoxycarbonyl	4 - (propionyloxy) phenyl
1	nitro	H	ethoxycarbonyl	phenyl
1	nitro	H	ethoxycarbonyl	4 - fluorophenyl
1	nitro	H	ethoxycarbonyl	4 - chlorophenyl
1	nitro	H	ethoxycarbonyl	4 - methoxyphenyl
1	nitro	H	ethoxycarbonyl	4 - hydroxyphenyl
1	nitro	H	ethoxycarbonyl	4 - (acetoxy) phenyl
1	nitro	H	ethoxycarbonyl	4 - (propionyloxy) phenyl

5

10

15

20

25

30

35

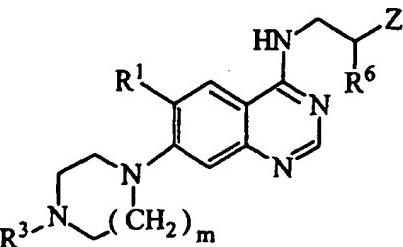
40

45

50

55

Table 9



Each substituent in the formula above is as follows:

m	R ¹	R ³	R ⁶	Z
1	nitro	H	methyl	phenyl
1	nitro	H	hydroxyl	phenyl
1	nitro	H	phenyl	phenyl
1	nitro	methyl	methyl	phenyl
1	nitro	methyl	hydroxyl	phenyl
1	nitro	methyl	phenyl	phenyl
1	nitro	ethyl	methyl	phenyl
1	nitro	ethyl	hydroxyl	phenyl
1	nitro	ethyl	phenyl	phenyl
2	nitro	H	methyl	phenyl
2	nitro	H	hydroxyl	phenyl
2	nitro	H	phenyl	phenyl

30

35

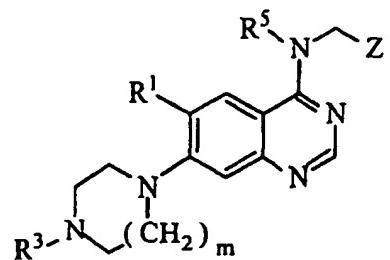
40

45

50

55

Table 10



Each substituent in the formula above is as follows:

m	R ¹	R ³	R ⁵	Z
1	nitro	H	methyl	phenyl
1	nitro	H	methyl	2 - fluorophenyl
1	nitro	H	methyl	3 - fluorophenyl
1	nitro	H	methyl	4 - fluorophenyl
1	nitro	H	methyl	4 - chlorophenyl
1	nitro	H	methyl	4 - methylphenyl
1	nitro	H	methyl	2 - methoxyphenyl
1	nitro	H	methyl	3 - methoxyphenyl
1	nitro	H	methyl	4 - methoxyphenyl
1	nitro	H	methyl	3, 4 - dimethoxyphenyl
1	nitro	H	methyl	3, 4 - (methyleneedioxy) phenyl
1	nitro	H	methyl	4 - hydroxyphenyl
1	nitro	H	methyl	1 - naphthyl
1	nitro	H	ethyl	phenyl
1	nitro	H	ethyl	2 - fluorophenyl
1	nitro	H	ethyl	3 - fluorophenyl
1	nitro	H	ethyl	4 - fluorophenyl
1	nitro	H	ethyl	4 - chlorophenyl
1	nitro	H	ethyl	4 - methylphenyl
1	nitro	H	ethyl	2 - methoxyphenyl
1	nitro	H	ethyl	3 - methoxyphenyl
1	nitro	H	ethyl	4 - methoxyphenyl
1	nitro	H	ethyl	3, 4 - dimethoxyphenyl
1	nitro	H	ethyl	3, 4 - (methyleneedioxy) phenyl
1	nitro	H	ethyl	4 - hydroxyphenyl
1	nitro	H	ethyl	1 - naphthyl
1	nitro	H	butyl	phenyl
1	nitro	H	butyl	2 - fluorophenyl
1	nitro	H	butyl	3 - fluorophenyl
1	nitro	H	butyl	4 - fluorophenyl
1	nitro	H	butyl	4 - chlorophenyl
1	nitro	H	butyl	4 - methylphenyl
1	nitro	H	butyl	2 - methoxyphenyl
1	nitro	H	butyl	3 - methoxyphenyl
1	nitro	H	butyl	4 - methoxyphenyl

Table 10(continuation 1)

m	R ¹	R ³	R ³	Z
5	1 nitro	H	butyl	3, 4 - dimethoxyphenyl
1	nitro	H	butyl	3, 4 - (methylenedioxy) phenyl
1	nitro	H	butyl	4 - hydroxyphenyl
1	nitro	H	butyl	1 - naphthyl
10	nitro	H	hydroxyethyl	phenyl
1	nitro	H	hydroxyethyl	2 - fluorophenyl
1	nitro	H	hydroxyethyl	3 - fluorophenyl
1	nitro	H	hydroxyethyl	4 - fluorophenyl
15	nitro	H	hydroxyethyl	4 - chlorophenyl
1	nitro	H	hydroxyethyl	4 - methylphenyl
1	nitro	H	hydroxyethyl	2 - methoxyphenyl
1	nitro	H	hydroxyethyl	3 - methoxyphenyl
20	nitro	H	hydroxyethyl	4 - methoxyphenyl
1	nitro	H	hydroxyethyl	3, 4 - dimethoxyphenyl
1	nitro	H	hydroxyethyl	3, 4 - (methylenedioxy) phenyl
1	nitro	H	hydroxyethyl	4 - hydroxyphenyl
1	nitro	H	hydroxyethyl	1 - naphthyl
1	nitro	H	benzyl	phenyl
25	nitro	H	benzyl	4 - fluorophenyl
1	nitro	H	benzyl	4 - chlorophenyl
1	nitro	H	benzyl	2 - methoxyphenyl
1	nitro	H	benzyl	3 - methoxyphenyl
30	nitro	H	benzyl	4 - methoxyphenyl
1	nitro	methyl	methyl	3, 4 - dimethoxyphenyl
1	nitro	methyl	methyl	phenyl
1	nitro	methyl	methyl	2 - fluorophenyl
1	nitro	methyl	methyl	3 - fluorophenyl
35	nitro	methyl	methyl	4 - fluorophenyl
1	nitro	methyl	methyl	4 - chlorophenyl
1	nitro	methyl	methyl	4 - methylphenyl
1	nitro	methyl	methyl	2 - methoxyphenyl
1	nitro	methyl	methyl	3 - methoxyphenyl
40	nitro	methyl	methyl	4 - methoxyphenyl
1	nitro	methyl	methyl	3, 4 - dimethoxyphenyl
1	nitro	methyl	methyl	3, 4 - (methylenedioxy) phenyl
1	nitro	methyl	methyl	4 - hydroxyphenyl
45	nitro	methyl	methyl	1 - naphthyl
1	nitro	methyl	ethyl	phenyl
1	nitro	methyl	ethyl	2 - fluorophenyl
1	nitro	methyl	ethyl	3 - fluorophenyl
1	nitro	methyl	ethyl	4 - fluorophenyl
50	nitro	methyl	ethyl	4 - chlorophenyl
1	nitro	methyl	ethyl	4 - methylphenyl
1	nitro	methyl	ethyl	2 - methoxyphenyl
1	nitro	methyl	ethyl	3 - methoxyphenyl
1	nitro	methyl	ethyl	4 - methoxyphenyl
55	nitro	methyl	ethyl	3, 4 - dimethoxyphenyl
1	nitro	methyl	ethyl	3, 4 - (methylenedioxy) phenyl

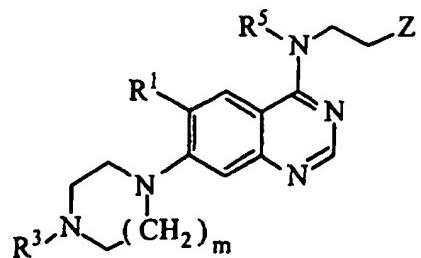
Table 10(continuation 2)

m	R ¹	R ³	R ⁵	Z	
5	1	nitro	methyl	ethyl	4 - hydroxyphenyl
	1	nitro	methyl	ethyl	1 - naphthyl
	1	nitro	methyl	butyl	phenyl
10	1	nitro	methyl	butyl	2 - fluorophenyl
	1	nitro	methyl	butyl	3 - fluorophenyl
	1	nitro	methyl	butyl	4 - fluorophenyl
	1	nitro	methyl	butyl	4 - chlorophenyl
	1	nitro	methyl	butyl	4 - methylphenyl
15	1	nitro	methyl	butyl	2 - methoxyphenyl
	1	nitro	methyl	butyl	3 - methoxyphenyl
	1	nitro	methyl	butyl	4 - methoxyphenyl
	1	nitro	methyl	butyl	3, 4 - dimethoxyphenyl
20	1	nitro	methyl	butyl	3, 4 - (methylenedioxy) phenyl
	1	nitro	methyl	butyl	4 - hydroxyphenyl
	1	nitro	methyl	butyl	1 - naphthyl
	1	nitro	methyl	hydroxyethyl	phenyl
25	1	nitro	methyl	hydroxyethyl	2 - fluorophenyl
	1	nitro	methyl	hydroxyethyl	3 - fluorophenyl
	1	nitro	methyl	hydroxyethyl	4 - fluorophenyl
	1	nitro	methyl	hydroxyethyl	4 - chlorophenyl
	1	nitro	methyl	hydroxyethyl	4 - methylphenyl
	1	nitro	methyl	hydroxyethyl	2 - methoxyphenyl
30	1	nitro	methyl	hydroxyethyl	3 - methoxyphenyl
	1	nitro	methyl	hydroxyethyl	4 - methoxyphenyl
	1	nitro	methyl	hydroxyethyl	3, 4 - dimethoxyphenyl
	1	nitro	methyl	hydroxyethyl	3, 4 - (methylenedioxy) phenyl
	1	nitro	methyl	hydroxyethyl	4 - hydroxyphenyl
35	1	nitro	methyl	hydroxyethyl	1 - naphthyl
	1	nitro	methyl	benzyl	phenyl
	1	nitro	methyl	benzyl	4 - fluorophenyl
	1	nitro	methyl	benzyl	4 - chlorophenyl
	1	nitro	methyl	benzyl	2 - methoxyphenyl
40	1	nitro	methyl	benzyl	3 - methoxyphenyl
	1	nitro	methyl	benzyl	4 - methoxyphenyl
	1	nitro	methyl	benzyl	3, 4 - dimethoxyphenyl
	1	nitro	methyl	benzyl	3, 4 - (methylenedioxy) phenyl
45	2	nitro	H	methyl	phenyl
	2	nitro	H	methyl	2 - fluorophenyl
	2	nitro	H	methyl	3 - fluorophenyl
	2	nitro	H	methyl	4 - fluorophenyl
	2	nitro	H	methyl	4 - chlorophenyl
50	2	nitro	H	methyl	4 - methylphenyl
	2	nitro	H	methyl	2 - methoxyphenyl
	2	nitro	H	methyl	3 - methoxyphenyl
	2	nitro	H	methyl	4 - methoxyphenyl
	2	nitro	H	methyl	3, 4 - dimethoxyphenyl
55	2	nitro	H	methyl	3, 4 - (methylenedioxy) phenyl
	2	nitro	H	methyl	4 - hydroxyphenyl

Table 10(continuation 2)

m	R ¹	R ³	R ⁵	Z
5	2 nitro	H	methyl	1-naphthyl
2	nitro	H	ethyl	phenyl
2	nitro	H	ethyl	2-fluorophenyl
2	nitro	H	ethyl	3-fluorophenyl
2	nitro	H	ethyl	4-fluorophenyl
10	2 nitro	H	ethyl	4-chlorophenyl
2	nitro	H	ethyl	4-methylphenyl
2	nitro	H	ethyl	2-methoxyphenyl
2	nitro	H	ethyl	3-methoxyphenyl
15	2 nitro	H	ethyl	4-methoxyphenyl
2	nitro	H	ethyl	3, 4-(methylenedioxy)phenyl
2	nitro	H	ethyl	4-hydroxyphenyl
20	nitro	H	ethyl	1-naphthyl
2	nitro	H	butyl	phenyl
2	nitro	H	butyl	2-fluorophenyl
2	nitro	H	butyl	3-fluorophenyl
2	nitro	H	butyl	4-fluorophenyl
25	2 nitro	H	butyl	4-chlorophenyl
2	nitro	H	butyl	4-methylphenyl
2	nitro	H	butyl	2-methoxyphenyl
2	nitro	H	butyl	3-methoxyphenyl
2	nitro	H	butyl	4-methoxyphenyl
30	2 nitro	H	butyl	3, 4-dimethoxyphenyl
2	nitro	H	butyl	3, 4-(methylenedioxy)phenyl
2	nitro	H	butyl	4-hydroxyphenyl
2	nitro	H	butyl	1-naphthyl
35	2 nitro	H	hydroxyethyl	phenyl
2	nitro	H	hydroxyethyl	2-fluorophenyl
2	nitro	H	hydroxyethyl	3-fluorophenyl
2	nitro	H	hydroxyethyl	4-fluorophenyl
2	nitro	H	hydroxyethyl	4-chlorophenyl
40	2 nitro	H	hydroxyethyl	4-methylphenyl
2	nitro	H	hydroxyethyl	2-methoxyphenyl
2	nitro	H	hydroxyethyl	3-methoxyphenyl
2	nitro	H	hydroxyethyl	4-methoxyphenyl
45	2 nitro	H	hydroxyethyl	3, 4-dimethoxyphenyl
2	nitro	H	hydroxyethyl	3, 4-(methylenedioxy)phenyl
2	nitro	H	hydroxyethyl	4-hydroxyphenyl
2	nitro	H	hydroxyethyl	1-naphthyl
50	2 nitro	H	benzyl	phenyl
2	nitro	H	benzyl	4-fluorophenyl
2	nitro	H	benzyl	4-chlorophenyl
2	nitro	H	benzyl	2-methoxyphenyl
2	nitro	H	benzyl	3-methoxyphenyl
55	2 nitro	H	benzyl	4-methoxyphenyl
2	nitro	H	benzyl	3, 4-dimethoxyphenyl
2	nitro	H	benzyl	3, 4-(methylenedioxy)phenyl

Table 11



Each substituent in the formula above is as follows:

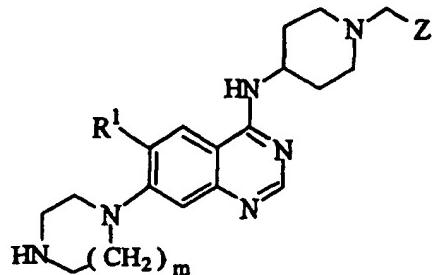
m	R¹	R³	R⁵	Z
1	nitro	H	methyl	phenyl
1	nitro	H	methyl	3, 4 - dimethoxyphenyl
1	nitro	H	ethyl	phenyl
1	nitro	H	ethyl	3, 4 - dimethoxyphenyl
1	nitro	methyl	methyl	phenyl
1	nitro	methyl	methyl	3, 4 - dimethoxyphenyl
1	nitro	methyl	ethyl	phenyl
1	nitro	methyl	ethyl	3, 4 - dimethoxyphenyl
1	nitro	ethyl	methyl	phenyl
1	nitro	ethyl	methyl	3, 4 - dimethoxyphenyl
1	nitro	ethyl	ethyl	phenyl
1	nitro	ethyl	ethyl	3, 4 - dimethoxyphenyl
2	nitro	H	methyl	phenyl
2	nitro	H	methyl	3, 4 - dimethoxyphenyl
2	nitro	H	ethyl	phenyl
2	nitro	H	ethyl	3, 4 - dimethoxyphenyl
2	nitro	methyl	methyl	phenyl
2	nitro	methyl	methyl	3, 4 - dimethoxyphenyl
2	nitro	methyl	ethyl	phenyl
2	nitro	methyl	ethyl	3, 4 - dimethoxyphenyl
2	nitro	ethyl	methyl	phenyl
2	nitro	ethyl	methyl	3, 4 - dimethoxyphenyl
2	nitro	ethyl	ethyl	phenyl
2	nitro	ethyl	ethyl	3, 4 - dimethoxyphenyl

Table 12

5

10

15



Each substituent in the formula above is as follows:

m	R¹	Z
20	1 nitro	phenyl
1	nitro	2 - fluorophenyl
1	nitro	3 - fluorophenyl
1	nitro	4 - fluorophenyl
1	nitro	2, 4 - difluorophenyl
1	nitro	3, 4 - difluorophenyl
25	1 nitro	2 - chlorophenyl
1	nitro	3 - chlorophenyl
1	nitro	4 - chlorophenyl
30	1 nitro	4 - bromophenyl
1	nitro	2 - methylphenyl
1	nitro	3 - methylphenyl
1	nitro	4 - methylphenyl
35	1 nitro	2, 3 - dimethylphenyl
1	nitro	2, 4 - dimethylphenyl
1	nitro	3, 4 - dimethylphenyl
1	nitro	2 - methoxyphenyl
40	1 nitro	3 - methoxyphenyl
1	nitro	4 - methoxyphenyl
1	nitro	2, 3 - dimethoxyphenyl
1	nitro	2, 4 - dimethoxyphenyl
45	1 nitro	3, 4 - dimethoxyphenyl
1	nitro	3, 4, 5 - trimethoxyphenyl
1	nitro	3, 4 - (methylenedioxy) phenyl
50	1 nitro	2 - trifluoromethylphenyl
1	nitro	3 - trifluoromethylphenyl
1	nitro	4 - trifluoromethylphenyl
55	1 nitro	2 - thiienyl
	1 nitro	3 - thiienyl
	1 nitro	2 - furyl
	1 nitro	3 - furyl
	1 nitro	2 - pyridyl
	1 nitro	3 - pyridyl
	1 nitro	4 - pyridyl

Table 12(continuation 1)

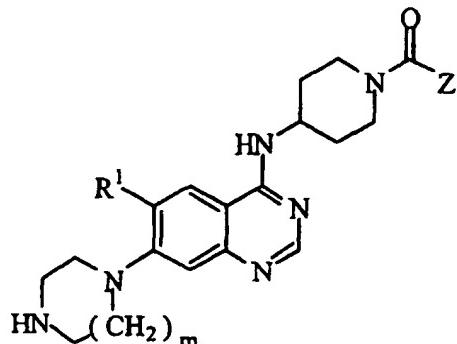
m	R ¹	Z
5	nitro	phenyl
10	nitro	2 - fluorophenyl
15	nitro	3 - fluorophenyl
20	nitro	4 - fluorophenyl
25	nitro	2, 4 - difluorophenyl
30	nitro	3, 4 - difluorophenyl
35	nitro	2 - chlorophenyl
40	nitro	3 - chlorophenyl
45	nitro	4 - chlorophenyl
50	nitro	4 - bromophenyl
55	nitro	2 - methylphenyl
60	nitro	3 - methylphenyl
65	nitro	4 - methylphenyl
70	nitro	2, 3 - dimethylphenyl
75	nitro	2, 4 - dimethylphenyl
80	nitro	3, 4 - dimethylphenyl
85	nitro	2 - methoxyphenyl
90	nitro	3 - methoxyphenyl
95	nitro	4 - methoxyphenyl
100	nitro	2, 3 - dimethoxyphenyl
105	nitro	2, 4 - dimethoxyphenyl
110	nitro	3, 4 - dimethoxyphenyl
115	nitro	3, 4, 5 - trimethoxyphenyl
120	nitro	3, 4 - (methylenedioxy) phenyl
125	nitro	2 - trifluoromethylphenyl
130	nitro	3 - trifluoromethylphenyl
135	nitro	4 - trifluoromethylphenyl
140	nitro	2 - thieryl
145	nitro	3 - thieryl
150	nitro	2 - furyl
155	nitro	3 - furyl
160	nitro	2 - pyridyl
165	nitro	3 - pyridyl
170	nitro	4 - pyridyl

Table 13

5

10

15



Each substituent in the formula above is as follows:

20

25

30

35

40

45

50

55

m	R^1	Z
1	nitro	phenyl
1	nitro	2 - fluorophenyl
1	nitro	3 - fluorophenyl
1	nitro	4 - fluorophenyl
1	nitro	2, 4 - difluorophenyl
1	nitro	2, 5 - difluorophenyl
1	nitro	2, 6 - difluorophenyl
1	nitro	3, 4 - difluorophenyl
1	nitro	3, 5 - difluorophenyl
1	nitro	2 - chlorophenyl
1	nitro	3 - chlorophenyl
1	nitro	4 - chlorophenyl
1	nitro	2, 3 - dichlorophenyl
1	nitro	3, 4 - dichlorophenyl
1	nitro	2 - bromophenyl
1	nitro	3 - bromophenyl
1	nitro	4 - bromophenyl
1	nitro	2 - methylphenyl
1	nitro	3 - methylphenyl
1	nitro	4 - methylphenyl
1	nitro	4 - ethylphenyl
1	nitro	4 - propylphenyl
1	nitro	4 - isopropylphenyl
1	nitro	4 - butylphenyl
1	nitro	2, 3 - dimethylphenyl
1	nitro	2, 4 - dimethylphenyl
1	nitro	3, 4 - dimethylphenyl
1	nitro	2 - methoxyphenyl
1	nitro	3 - methoxyphenyl
1	nitro	4 - methoxyphenyl
1	nitro	4 - ethoxyphenyl
1	nitro	4 - propoxyphenyl

Table 13(continuation 1)

m	R ¹	Z
5	1	nitro 4 - isopropoxypyhenyl
	1	nitro 2, 3 - dimethoxyphenyl
	1	nitro 2, 4 - dimethoxyphenyl
	1	nitro 3, 4 - dimethoxyphenyl
10	1	nitro 3, 4, 5 - trimethoxyphenyl
	1	nitro 2 - hydroxyphenyl
	1	nitro 3 - hydroxyphenyl
	1	nitro 4 - hydroxyphenyl
15	1	nitro 2 - aminophenyl
	1	nitro 3 - aminophenyl
	1	nitro 4 - aminophenyl
	1	nitro 2 - (methylamino) phenyl
20	1	nitro 3 - (methylamino) phenyl
	1	nitro 4 - (methylamino) phenyl
	1	nitro 3 - (dimethylamino) phenyl
	1	nitro 2 - carboxyphenyl
	1	nitro 3 - carboxyphenyl
	1	nitro 4 - carboxyphenyl
25	1	nitro 2 - (methylcarbamoyl) phenyl
	1	nitro 3 - (methylcarbamoyl) phenyl
	1	nitro 4 - (methylcarbamoyl) phenyl
	1	nitro 2 - (methoxycarbonyl) phenyl
	1	nitro 3 - (methoxycarbonyl) phenyl
30	1	nitro 4 - (methoxycarbonyl) phenyl
	1	nitro 2 - (ethoxycarbonyl) phenyl
	1	nitro 3 - (ethoxycarbonyl) phenyl
	1	nitro 4 - (ethoxycarbonyl) phenyl
35	1	nitro 2 - (acetoxy) phenyl
	1	nitro 3 - (acetoxy) phenyl
	1	nitro 4 - (acetoxy) phenyl
	1	nitro 2 - (propionyloxy) phenyl
	1	nitro 3 - (propionyloxy) phenyl
40	1	nitro 4 - (propionyloxy) phenyl
	1	nitro 2 - trifluoromethylphenyl
	1	nitro 3 - trifluoromethylphenyl
	1	nitro 4 - trifluoromethylphenyl
45	1	nitro 2 - thiaryl
	1	nitro 3 - thiaryl
	1	nitro 2 - furyl
	1	nitro 3 - furyl
	1	nitro 2 - pyridyl
50	1	nitro 3 - pyridyl
	1	nitro 4 - pyridyl
	2	nitro phenyl
	2	nitro 2 - fluorophenyl
	2	nitro 3 - fluorophenyl
	2	nitro 4 - fluorophenyl
55	2	nitro 2, 4 - difluorophenyl

Table 13(continuation 2)

m	R ¹	Z
5	2 nitro	2, 5 - difluorophenyl
10	2 nitro	2, 6 - difluorophenyl
15	2 nitro	3, 4 - difluorophenyl
20	2 nitro	3, 5 - difluorophenyl
25	2 nitro	2 - chlorophenyl
30	2 nitro	3 - chlorophenyl
35	2 nitro	4 - chlorophenyl
40	2 nitro	2, 3 - dichlorophenyl
45	2 nitro	3, 4 - dichlorophenyl
50	2 nitro	2 - bromophenyl
55	2 nitro	3 - bromophenyl
	2 nitro	4 - bromophenyl
	2 nitro	2 - methylphenyl
	2 nitro	3 - methylphenyl
	2 nitro	4 - methylphenyl
	2 nitro	4 - ethylphenyl
	2 nitro	4 - propylphenyl
	2 nitro	4 - isopropylphenyl
	2 nitro	4 - butylphenyl
	2 nitro	2, 3 - dimethylphenyl
	2 nitro	2, 4 - dimethylphenyl
	2 nitro	3, 4 - dimethylphenyl
	2 nitro	2 - methoxyphenyl
	2 nitro	3 - methoxyphenyl
	2 nitro	4 - methoxyphenyl
	2 nitro	4 - ethoxyphenyl
	2 nitro	4 - propoxyphenyl
	2 nitro	4 - isopropoxyphenyl
	2 nitro	2, 3 - dimethoxyphenyl
	2 nitro	2, 4 - dimethoxyphenyl
	2 nitro	3, 4 - dimethoxyphenyl
	2 nitro	3, 4, 5 - trimethoxyphenyl
	2 nitro	2 - hydroxyphenyl
	2 nitro	3 - hydroxyphenyl
	2 nitro	4 - hydroxyphenyl
	2 nitro	2 - aminophenyl
	2 nitro	3 - aminophenyl
	2 nitro	4 - aminophenyl
	2 nitro	2 - (methylamino) phenyl
	2 nitro	3 - (methylamino) phenyl
	2 nitro	4 - (methylamino) phenyl
	2 nitro	3 - (dimethylamino) phenyl
	2 nitro	2 - carboxyphenyl
	2 nitro	3 - carboxyphenyl
	2 nitro	4 - carboxyphenyl
	2 nitro	2 - (methylcarbamoyl) phenyl
	2 nitro	3 - (methylcarbamoyl) phenyl
	2 nitro	4 - (methylcarbamoyl) phenyl

Table 13(continuation 3)

m	R ¹	Z
2	nitro	2 - (methoxycarbonyl) phenyl
2	nitro	3 - (methoxycarbonyl) phenyl
2	nitro	4 - (methoxycarbonyl) phenyl
10	nitro	2 - (ethoxycarbonyl) phenyl
2	nitro	3 - (ethoxycarbonyl) phenyl
2	nitro	4 - (ethoxycarbonyl) phenyl
15	nitro	2 - (acetoxy) phenyl
2	nitro	3 - (acetoxy) phenyl
2	nitro	4 - (acetoxy) phenyl
2	nitro	2 - (propionyloxy) phenyl
2	nitro	3 - (propionyloxy) phenyl
20	nitro	4 - (propionyloxy) phenyl
2	nitro	2 - trifluoromethylphenyl
2	nitro	3 - trifluoromethylphenyl
2	nitro	4 - trifluoromethylphenyl
25	nitro	2 - thienyl
2	nitro	3 - thienyl
2	nitro	2 - furyl
2	nitro	3 - furyl
2	nitro	2 - pyridyl
2	nitro	3 - pyridyl
30	nitro	4 - pyridyl

35

40

45

50

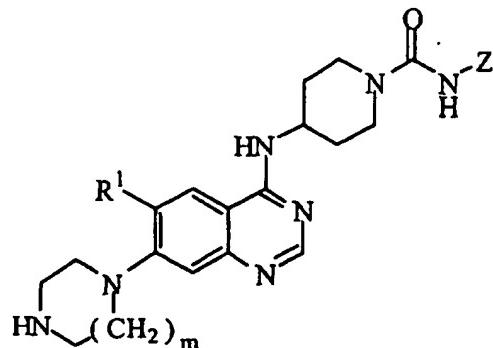
55

Table 14

5

10

15



Each substituent in the formula above is as follows:

20

25

30

35

40

45

50

55

m	R ¹	Z
1	nitro	phenyl
1	nitro	2 - fluorophenyl
1	nitro	3 - fluorophenyl
1	nitro	4 - fluorophenyl
1	nitro	2, 4 - difluorophenyl
1	nitro	2, 5 - difluorophenyl
1	nitro	2 - chlorophenyl
1	nitro	3 - chlorophenyl
1	nitro	4 - chlorophenyl
1	nitro	2 - bromophenyl
1	nitro	3 - bromophenyl
1	nitro	4 - bromophenyl
1	nitro	2 - methylphenyl
1	nitro	3 - methylphenyl
1	nitro	4 - methylphenyl
1	nitro	4 - ethylphenyl
1	nitro	4 - butylphenyl
1	nitro	2, 3 - dimethylphenyl
1	nitro	2, 4 - dimethylphenyl
1	nitro	3, 4 - dimethylphenyl
1	nitro	2 - methoxyphenyl
1	nitro	3 - methoxyphenyl
1	nitro	4 - methoxyphenyl
1	nitro	4 - butoxyphenyl
1	nitro	2, 4 - dimethoxyphenyl
1	nitro	2, 5 - dimethoxyphenyl
1	nitro	3, 4, 5 - trimethoxyphenyl
1	nitro	3, 4 - (methylenedioxy) phenyl
1	nitro	2 - trifluoromethylphenyl
1	nitro	3 - trifluoromethylphenyl
1	nitro	4 - trifluoromethylphenyl
1	nitro	2 - carboxyphenyl

Table 14(continuation 1)

m	R ¹	Z
5	1 nitro	3 - carboxyphenyl
	1 nitro	4 - carboxyphenyl
10	1 nitro	2 - (methylcarbamoyl) phenyl
	1 nitro	3 - (methylcarbamoyl) phenyl
	1 nitro	4 - (methylcarbamoyl) phenyl
	1 nitro	2 - (ethoxycarbonyl) phenyl
15	1 nitro	3 - (ethoxycarbonyl) phenyl
	1 nitro	4 - (ethoxycarbonyl) phenyl
	1 nitro	4 - nitrophenyl
20	1 nitro	1 - naphthyl
	1 nitro	3 - pyridyl
	2 nitro	phenyl
	2 nitro	2 - fluorophenyl
25	2 nitro	3 - fluorophenyl
	2 nitro	4 - fluorophenyl
	2 nitro	2, 4 - difluorophenyl
	2 nitro	2, 5 - difluorophenyl
30	2 nitro	2 - chlorophenyl
	2 nitro	3 - chlorophenyl
	2 nitro	4 - chlorophenyl
	2 nitro	2 - bromophenyl
35	2 nitro	3 - bromophenyl
	2 nitro	4 - bromophenyl
	2 nitro	2 - methylphenyl
	2 nitro	3 - methylphenyl
	2 nitro	4 - methylphenyl
	2 nitro	4 - ethylphenyl
40	2 nitro	4 - butylphenyl
	2 nitro	2, 3 - dimethylphenyl
	2 nitro	2, 4 - dimethylphenyl
	2 nitro	3, 4 - dimethylphenyl
45	2 nitro	2 - methoxyphenyl
	2 nitro	3 - methoxyphenyl
	2 nitro	4 - methoxyphenyl
	2 nitro	4 - butoxyphenyl
	2 nitro	2, 4 - dimethoxyphenyl
	2 nitro	2, 5 - dimethoxyphenyl
50	2 nitro	3, 4, 5 - trimethoxyphenyl
	2 nitro	3, 4 - (methylenedioxy) phenyl
	2 nitro	2 - trifluoromethylphenyl
	2 nitro	3 - trifluoromethylphenyl
	2 nitro	4 - trifluoromethylphenyl
55	2 nitro	2 - carboxyphenyl
	2 nitro	3 - carboxyphenyl
	2 nitro	4 - carboxyphenyl
	2 nitro	2 - (methylcarbamoyl) phenyl
	2 nitro	3 - (methylcarbamoyl) phenyl
	2 nitro	4 - (methylcarbamoyl) phenyl

Table 14(continuation 2)

m	R ¹	Z
2	nitro	2 - (ethoxycarbonyl) phenyl
2	nitro	3 - (ethoxycarbonyl) phenyl
2	nitro	4 - (ethoxycarbonyl) phenyl
2	nitro	4 - nitrophenyl
2	nitro	1 - naphthyl
2	nitro	3 - pyridyl

5

10

15

20

25

30

35

40

45

50

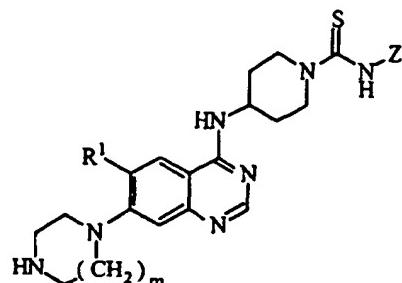
55

Table 15

5

10

15



Each substituent in the formula above is as follows:

m	R^1	Z
20	1 nitro	phenyl
	1 nitro	2 - fluorophenyl
	1 nitro	3 - fluorophenyl
	1 nitro	4 - fluorophenyl
	1 nitro	2, 4 - difluorophenyl
25	1 nitro	2, 5 - difluorophenyl
	1 nitro	2 - chlorophenyl
	1 nitro	3 - chlorophenyl
	1 nitro	4 - chlorophenyl
30	1 nitro	2 - bromophenyl
	1 nitro	3 - bromophenyl
	1 nitro	4 - bromophenyl
	1 nitro	2 - methylphenyl
	1 nitro	3 - methylphenyl
35	1 nitro	4 - methylphenyl
	1 nitro	4 - ethylphenyl
	1 nitro	4 - butylphenyl
	1 nitro	2, 4 - dimethylphenyl
	1 nitro	3, 5 - dimethylphenyl
40	1 nitro	2 - methoxyphenyl
	1 nitro	3 - methoxyphenyl
	1 nitro	4 - methoxyphenyl
	1 nitro	4 - butoxyphenyl
	1 nitro	2, 4 - dimethoxyphenyl
45	1 nitro	2, 5 - dimethoxyphenyl
	1 nitro	3, 4 - dimethoxyphenyl
	1 nitro	3, 4, 5 - trimethoxyphenyl
	1 nitro	3, 4 - (methylenedioxy) phenyl
50	1 nitro	4 - carboxyphenyl
	1 nitro	4 - (methylcarbamoyl) phenyl
	1 nitro	2 - nitrophenyl
	1 nitro	3 - nitrophenyl
	1 nitro	4 - nitrophenyl
55	1 nitro	4 - cyanophenyl

Table 15(continuation 1)

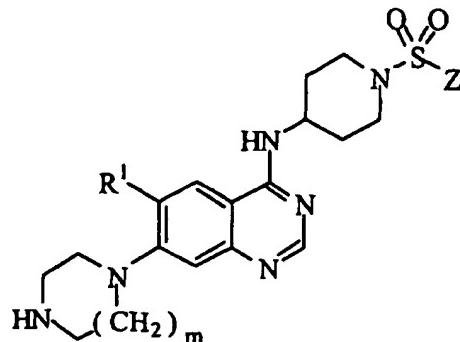
m	R ¹	Z
5	2 nitro	phenyl
10	2 nitro	2 - fluorophenyl
15	2 nitro	3 - fluorophenyl
20	2 nitro	4 - fluorophenyl
25	2 nitro	2, 4 - difluorophenyl
30	2 nitro	2, 5 - difluorophenyl
35	2 nitro	2 - chlorophenyl
40	2 nitro	3 - chlorophenyl
45	2 nitro	4 - chlorophenyl
50	2 nitro	2 - bromophenyl
55	2 nitro	3 - bromophenyl
60	2 nitro	4 - bromophenyl
65	2 nitro	2 - methylphenyl
70	2 nitro	3 - methylphenyl
75	2 nitro	4 - methylphenyl
80	2 nitro	4 - ethylphenyl
85	2 nitro	4 - butylphenyl
90	2 nitro	2, 4 - dimethylphenyl
95	2 nitro	3, 5 - dimethylphenyl
100	2 nitro	2 - methoxyphenyl
105	2 nitro	3 - methoxyphenyl
110	2 nitro	4 - methoxyphenyl
115	2 nitro	4 - butoxyphenyl
120	2 nitro	2, 4 - dimethoxyphenyl
125	2 nitro	2, 5 - dimethoxyphenyl
130	2 nitro	3, 4 - dimethoxyphenyl
135	2 nitro	3, 4, 5 - trimethoxyphenyl
140	2 nitro	3, 4 - (methylenedioxy) phenyl
145	2 nitro	4 - carboxyphenyl
150	2 nitro	4 - (methylcarbamoyl) phenyl
155	2 nitro	2 - nitrophenyl
160	2 nitro	3 - nitrophenyl
165	2 nitro	4 - nitrophenyl
170	2 nitro	4 - cyanophenyl

Table 16

5

10

15



Each substituent in the formula above is as follows:

20

25

30

35

40

45

50

55

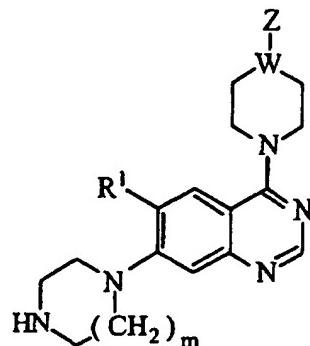
m	R¹	Z
1	nitro	phenyl
2	nitro	phenyl
1	nitro	4 - fluorophenyl
2	nitro	4 - fluorophenyl
1	nitro	4 - chlorophenyl
2	nitro	4 - chlorophenyl
1	nitro	4 - methylphenyl
2	nitro	4 - methylphenyl
1	nitro	2, 4, 6 - trimethylphenyl
2	nitro	2, 4, 6 - trimethylphenyl
1	nitro	2, 4, 6 - triisopropylphenyl
2	nitro	2, 4, 6 - triisopropylphenyl
1	nitro	4 - methoxyphenyl
2	nitro	4 - methoxyphenyl
1	nitro	2 - nitrophenyl
2	nitro	2 - nitrophenyl
1	nitro	3 - nitrophenyl
2	nitro	3 - nitrophenyl
1	nitro	4 - nitrophenyl
2	nitro	4 - nitrophenyl
1	nitro	2 - aminophenyl
2	nitro	2 - aminophenyl
1	nitro	3 - aminophenyl
2	nitro	3 - aminophenyl
1	nitro	4 - aminophenyl
2	nitro	4 - aminophenyl
1	nitro	1 - naphthyl
2	nitro	1 - naphthyl
1	nitro	2 - naphthyl
2	nitro	2 - naphthyl
1	nitro	1 - thiienyl
2	nitro	1 - thiienyl

Table 17

5

10

15



Each substituent in the formula above is as follows:

20

25

30

35

40

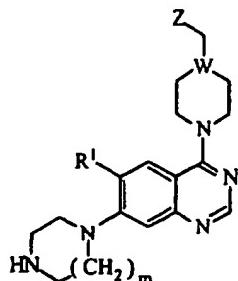
45

50

55

m	W	R¹	Z
1	N	nitro	phenyl
1	N	nitro	2 - fluorophenyl
1	N	nitro	4 - fluorophenyl
1	N	nitro	2 - chlorophenyl
1	N	nitro	3 - chlorophenyl
1	N	nitro	4 - chlorophenyl
1	N	nitro	2 - methylphenyl
1	N	nitro	2, 3 - dimethylphenyl
1	N	nitro	2 - methoxyphenyl
1	N	nitro	4 - methoxyphenyl
1	N	nitro	2 - ethoxyphenyl
1	N	nitro	3 - trifluoromethylphenyl
1	N	nitro	2 - pyridyl
1	N	nitro	2 - pyrimidinyl
1	CH	nitro	phenyl
2	N	nitro	phenyl
2	N	nitro	2 - fluorophenyl
2	N	nitro	4 - fluorophenyl
2	N	nitro	2 - chlorophenyl
2	N	nitro	3 - chlorophenyl
2	N	nitro	4 - chlorophenyl
2	N	nitro	2 - methylphenyl
2	N	nitro	2, 3 - dimethylphenyl
2	N	nitro	2 - methoxyphenyl
2	N	nitro	4 - methoxyphenyl
2	N	nitro	2 - ethoxyphenyl
2	N	nitro	3 - trifluoromethylphenyl
2	N	nitro	2 - pyridyl
2	N	nitro	2 - pyrimidinyl
2	CH	nitro	phenyl

Table 18



Each substituent in the formula above is as follows:

m	W	R¹	Z
20	N	nitro	phenyl
1	N	nitro	2 - fluorophenyl
1	N	nitro	3 - fluorophenyl
1	N	nitro	4 - fluorophenyl
1	N	nitro	2, 4 - difluorophenyl
1	N	nitro	3, 4 - difluorophenyl
25	N	nitro	2 - chlorophenyl
1	N	nitro	3 - chlorophenyl
1	N	nitro	4 - chlorophenyl
1	N	nitro	4 - bromophenyl
30	N	nitro	2 - methylphenyl
1	N	nitro	3 - methylphenyl
1	N	nitro	4 - methylphenyl
1	N	nitro	2, 3 - dimethylphenyl
1	N	nitro	2, 4 - dimethylphenyl
35	N	nitro	3, 4 - dimethylphenyl
1	N	nitro	2 - methoxyphenyl
1	N	nitro	3 - methoxyphenyl
1	N	nitro	4 - methoxyphenyl
40	N	nitro	2, 3 - dimethoxyphenyl
1	N	nitro	2, 4 - dimethoxyphenyl
1	N	nitro	3, 4 - dimethoxyphenyl
1	N	nitro	3, 4, 5 - trimethoxyphenyl
45	N	nitro	3, 4 - (methylenedioxy) phenyl
1	N	nitro	2 - trifluoromethylphenyl
1	N	nitro	3 - trifluoromethylphenyl
1	N	nitro	4 - trifluoromethylphenyl
50	CH	nitro	phenyl
1	N	nitro	2 - thienyl
1	N	nitro	3 - thienyl
1	N	nitro	2 - furyl
1	N	nitro	3 - furyl
55	N	nitro	2 - pyridyl
1	N	nitro	3 - pyridyl
	N	nitro	4 - pyridyl

Table 18(continuation 1)

m	W	R'	Z
5	2	N	nitro phenyl
	2	N	nitro 2 - fluorophenyl
	2	N	nitro 3 - fluorophenyl
10	2	N	nitro 4 - fluorophenyl
	2	N	nitro 2, 4 - difluorophenyl
	2	N	nitro 3, 4 - difluorophenyl
	2	N	nitro 2 - chlorophenyl
15	2	N	nitro 3 - chlorophenyl
	2	N	nitro 4 - chlorophenyl
	2	N	nitro 4 - bromophenyl
	2	N	nitro 2 - methylphenyl
	2	N	nitro 3 - methylphenyl
20	2	N	nitro 4 - methylphenyl
	2	N	nitro 2, 3 - dimethylphenyl
	2	N	nitro 2, 4 - dimethylphenyl
	2	N	nitro 3, 4 - dimethylphenyl
25	2	N	nitro 2 - methoxyphenyl
	2	N	nitro 3 - methoxyphenyl
	2	N	nitro 4 - methoxyphenyl
	2	N	nitro 2, 3 - dimethoxyphenyl
	2	N	nitro 2, 4 - dimethoxyphenyl
	2	N	nitro 3, 4 - dimethoxyphenyl
30	2	N	nitro 3, 4, 5 - trimethoxyphenyl
	2	N	nitro 3, 4 - (methylenedioxy) phenyl
	2	N	nitro 2 - trifluoromethylphenyl
	2	N	nitro 3 - trifluoromethylphenyl
35	2	N	nitro 4 - trifluoromethylphenyl
	2	N	nitro 2 - thiienyl
	2	N	nitro 3 - thiienyl
	2	N	nitro 2 - furyl
40	2	N	nitro 3 - furyl
	2	N	nitro 2 - pyridyl
	2	N	nitro 3 - pyridyl
	2	N	nitro 4 - pyridyl

45

50

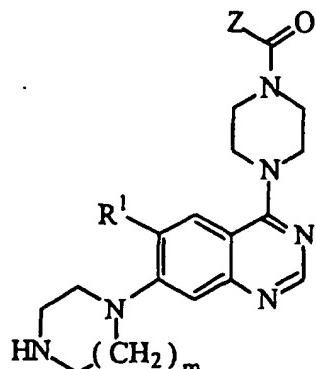
55

Table 19

5

10

15



Each substituent in the formula above is as follows:

20

25

30

35

40

45

50

55

m	R¹	Z
1	nitro	phenyl
1	nitro	2 - fluorophenyl
1	nitro	3 - fluorophenyl
1	nitro	4 - fluorophenyl
1	nitro	2, 4 - difluorophenyl
1	nitro	2, 5 - difluorophenyl
1	nitro	2, 6 - difluorophenyl
1	nitro	3, 4 - difluorophenyl
1	nitro	3, 5 - difluorophenyl
1	nitro	2 - chlorophenyl
1	nitro	3 - chlorophenyl
1	nitro	4 - chlorophenyl
1	nitro	2, 3 - dichlorophenyl
1	nitro	3, 4 - dichlorophenyl
1	nitro	2 - bromophenyl
1	nitro	3 - bromophenyl
1	nitro	4 - bromophenyl
1	nitro	2 - methylphenyl
1	nitro	3 - methylphenyl
1	nitro	4 - methylphenyl
1	nitro	4 - ethylphenyl
1	nitro	4 - propylphenyl
1	nitro	4 - isopropylphenyl
1	nitro	4 - butylphenyl
1	nitro	2, 3 - dimethylphenyl
1	nitro	2, 4 - dimethylphenyl
1	nitro	3, 4 - dimethylphenyl
1	nitro	2 - methoxyphenyl
1	nitro	3 - methoxyphenyl
1	nitro	4 - methoxyphenyl
1	nitro	4 - ethoxyphenyl
1	nitro	4 - propoxyphenyl

Table 19(continuation 1)

m	R ¹	Z
5	1 nitro	4 - isopropoxyphenyl
10	1 nitro	2, 3 - dimethoxyphenyl
1	nitro	2, 4 - dimethoxyphenyl
1	nitro	3, 4 - dimethoxyphenyl
1	nitro	3, 4 - (methylenedioxy) phenyl
1	nitro	3, 4, 5 - trimethoxyphenyl
15	1 nitro	2 - hydroxyphenyl
1	nitro	3 - hydroxyphenyl
1	nitro	4 - hydroxyphenyl
20	1 nitro	2 - aminophenyl
1	nitro	3 - aminophenyl
1	nitro	4 - aminophenyl
25	1 nitro	2 - (methylamino) phenyl
1	nitro	3 - (methylamino) phenyl
1	nitro	4 - (methylamino) phenyl
1	nitro	3 - (dimethylamino) phenyl
1	nitro	2 - carboxyphenyl
25	1 nitro	3 - carboxyphenyl
1	nitro	4 - carboxyphenyl
30	1 nitro	2 - (methylcarbamoyl) phenyl
1	nitro	3 - (methylcarbamoyl) phenyl
1	nitro	4 - (methylcarbamoyl) phenyl
1	nitro	2 - (methoxycarbonyl) phenyl
35	1 nitro	3 - (methoxycarbonyl) phenyl
1	nitro	4 - (methoxycarbonyl) phenyl
1	nitro	2 - (ethoxycarbonyl) phenyl
1	nitro	3 - (ethoxycarbonyl) phenyl
35	1 nitro	4 - (ethoxycarbonyl) phenyl
1	nitro	2 - (acetoxy) phenyl
1	nitro	3 - (acetoxy) phenyl
1	nitro	4 - (acetoxy) phenyl
40	1 nitro	2 - (propionyloxy) phenyl
1	nitro	3 - (propionyloxy) phenyl
1	nitro	4 - (propionyloxy) phenyl
1	nitro	2 - trifluoromethylphenyl
50	1 nitro	3 - trifluoromethylphenyl
1	nitro	4 - trifluoromethylphenyl
45	1 nitro	2 - thieryl
1	nitro	3 - thieryl
1	nitro	2 - furyl
1	nitro	3 - furyl
50	1 nitro	2 - pyridyl
1	nitro	3 - pyridyl
1	nitro	4 - pyridyl
55	2 nitro	phenyl
2	nitro	2 - fluorophenyl
2	nitro	3 - fluorophenyl
2	nitro	4 - fluorophenyl

Table 19(continuation 2)

m	R ¹	Z
5	2	nitro
	2	2, 4 - difluorophenyl
	2	2, 5 - difluorophenyl
	2	2, 6 - difluorophenyl
10	2	3, 4 - difluorophenyl
	2	3, 5 - difluorophenyl
	2	2 - chlorophenyl
	2	3 - chlorophenyl
	2	4 - chlorophenyl
15	2	2, 3 - dichlorophenyl
	2	3, 4 - dichlorophenyl
	2	2 - bromophenyl
	2	3 - bromophenyl
20	2	4 - bromophenyl
	2	2 - methylphenyl
	2	3 - methylphenyl
	2	4 - methylphenyl
	2	4 - ethylphenyl
	2	4 - propylphenyl
25	2	4 - isopropylphenyl
	2	4 - butylphenyl
	2	2, 3 - dimethylphenyl
	2	2, 4 - dimethylphenyl
30	2	3, 4 - dimethylphenyl
	2	2 - methoxyphenyl
	2	3 - methoxyphenyl
	2	4 - methoxyphenyl
	2	4 - ethoxyphenyl
35	2	4 - propoxyphenyl
	2	4 - isopropoxyphenyl
	2	2, 3 - dimethoxyphenyl
	2	2, 4 - dimethoxyphenyl
40	2	3, 4 - dimethoxyphenyl
	2	3, 4 - (methylenedioxy) phenyl
	2	3, 4, 5 - trimethoxyphenyl
	2	2 - hydroxyphenyl
	2	3 - hydroxyphenyl
	2	4 - hydroxyphenyl
45	2	2 - aminophenyl
	2	3 - aminophenyl
	2	4 - aminophenyl
	2	2 - (methylamino) phenyl
	2	3 - (methylamino) phenyl
50	2	4 - (methylamino) phenyl
	2	3 - (dimethylamino) phenyl
	2	2 - carboxyphenyl
	2	3 - carboxyphenyl
	2	4 - carboxyphenyl
55	2	2 - (methylcarbamoyl) phenyl

Table 19(continuation 3)

m	R ¹	Z
5	2	nitro 3 - (methylcarbamoyl) phenyl
	2	nitro 4 - (methylcarbamoyl) phenyl
	2	nitro 2 - (methoxycarbonyl) phenyl
	2	nitro 3 - (methoxycarbonyl) phenyl
10	2	nitro 4 - (methoxycarbonyl) phenyl
	2	nitro 2 - (ethoxycarbonyl) phenyl
	2	nitro 3 - (ethoxycarbonyl) phenyl
	2	nitro 4 - (ethoxycarbonyl) phenyl
15	2	nitro 2 - (acetoxy) phenyl
	2	nitro 3 - (acetoxy) phenyl
	2	nitro 4 - (acetoxy) phenyl
	2	nitro 2 - (propionyloxy) phenyl
20	2	nitro 3 - (propionyloxy) phenyl
	2	nitro 4 - (propionyloxy) phenyl
	2	nitro 2 - trifluoromethylphenyl
	2	nitro 3 - trifluoromethylphenyl
	2	nitro 4 - trifluoromethylphenyl
25	2	nitro 2 - thieryl
	2	nitro 3 - thieryl
	2	nitro 2 - furyl
	2	nitro 3 - furyl
	2	nitro 2 - pyridyl
	2	nitro 3 - pyridyl
30	2	nitro 4 - pyridyl

35

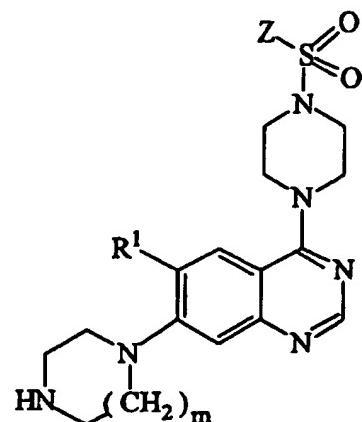
40

45

50

55

Table 20



5

10

15

20

25

30

35

40

45

50

55

Each substituent in the formula above is as follows:

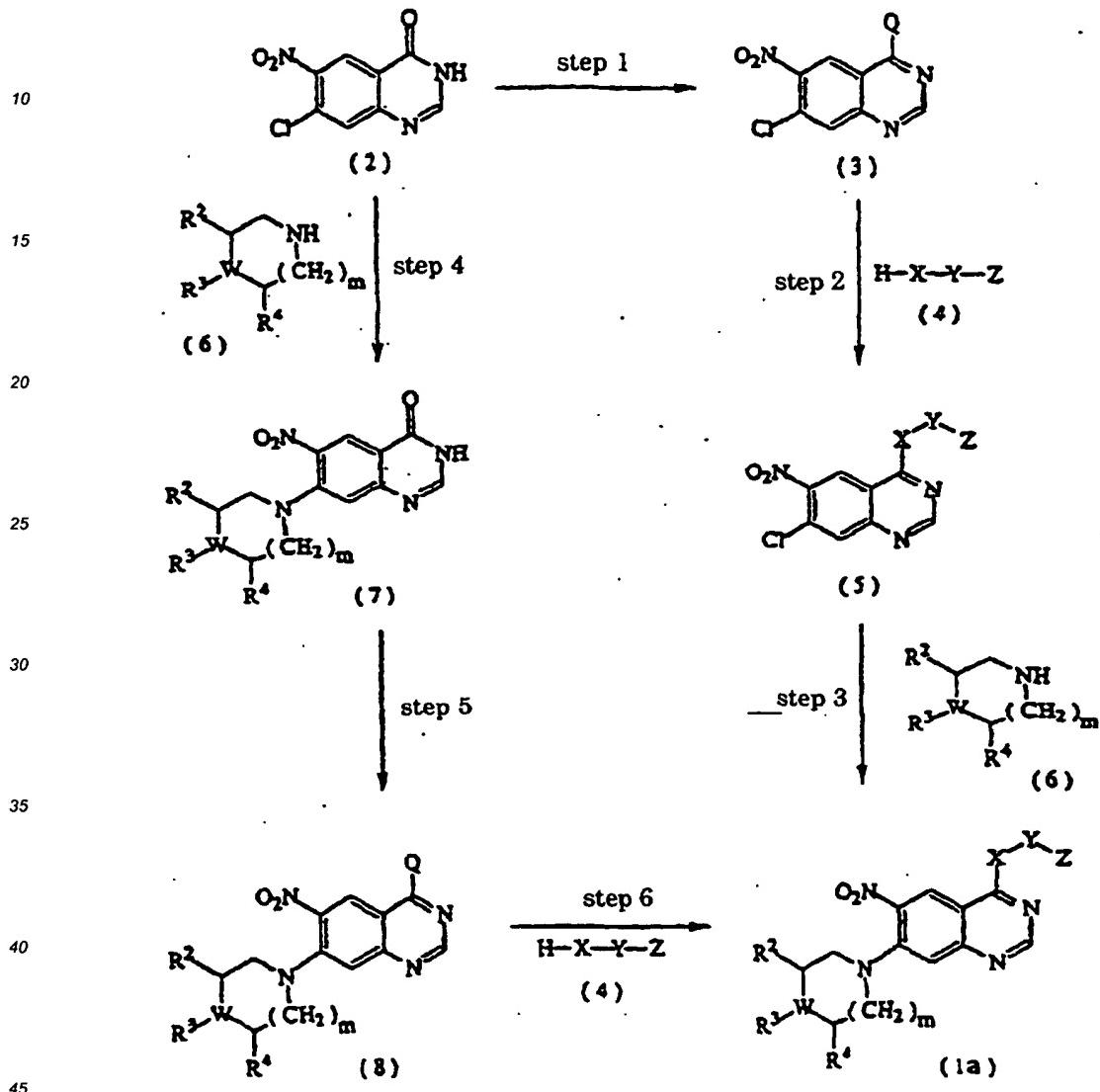
m	R¹	Z
1	nitro	phenyl
2	nitro	phenyl
1	nitro	4 - fluorophenyl
2	nitro	4 - fluorophenyl
1	nitro	4 - chlorophenyl
2	nitro	4 - chlorophenyl
1	nitro	4 - methylphenyl
2	nitro	4 - methylphenyl
1	nitro	2, 4, 6 - trimethylphenyl
2	nitro	2, 4, 6 - trimethylphenyl
1	nitro	2, 4, 6 - triisopropylphenyl
2	nitro	2, 4, 6 - triisopropylphenyl
1	nitro	4 - methoxyphenyl
2	nitro	4 - methoxyphenyl
1	nitro	2 - nitrophenyl
2	nitro	2 - nitrophenyl
1	nitro	3 - nitrophenyl
2	nitro	3 - nitrophenyl
1	nitro	4 - nitrophenyl
2	nitro	4 - nitrophenyl
1	nitro	3 - aminophenyl
2	nitro	3 - aminophenyl
1	nitro	4 - aminophenyl
2	nitro	4 - aminophenyl
1	nitro	1 - naphthyl
2	nitro	1 - naphthyl
1	nitro	2 - naphthyl
2	nitro	2 - naphthyl
1	nitro	1 - thiaryl
2	nitro	1 - thiaryl

[0052] Next, the manufacturing methods of the compounds of the present invention will be explained in detail. The starting compounds which are not described in the following sections can be produced according to the following

methods, or known methods or methods based thereon.

[0053] Manufacturing method 1: The compound (1a) wherein R₁ is a nitro group can be prepared according to the following reaction steps.

5



(wherein R², R³, R⁴, X, Y, Z, W and m are as defined above, Q is halogen atom, alkylsulfonyloxy group such as methanesulfonyloxy and ethanesulfonyloxy, or substituted or unsubstituted arylsulfonyloxy group such as benzenesulfonyloxy or methylbenzenesulfonyloxy.)

[0054] The starting material (2) can be obtained according to the known methods [J. Org. Chem., 40, 356(1975), etc.]

(Step 1-1)

[0055] The compound (3a), wherein Q of the compound (3) is a halogen atom, can be obtained by reacting the compound (2) with a halogenating agent, without solvent or with a solvent of 1 to 100 times in volume, at between room temperature and the reflux temperature of the solvent used (in the case of non-solvent condition, reaction temperature is between room temperature and the boiling point of the halogenating agent) for 0.5 to 10 hours. The halogenating agent includes chlorination agent such as phosphorus oxychloride and phosphorus pentachloride and bro-

mination agent such as phosphorus pentabromide and thionyl bromide. The solvent includes halogen-containing solvents such as chloroform, dichloromethane and dichloroethane, aromatic solvent such as benzene, toluene and xylene and ester solvent such as ethyl acetate, propyl acetate and butyl acetate.

5 (Step 1-2)

[0056] The compound (3b), wherein Q of the compound(3) is alkylsulfonyloxy, or substituted or unsubstituted arylsulfonyloxy group, can be obtained by reacting the compound (2) with an alkylsulfonyl chloride, or substituted or unsubstituted arylsulfonyl chloride, in the presence of a 2 to 20 equivalent base, without solvent or with a solvent of 1 to 100 times in volume, at between 0 °C and the reflux temperature of the solvent used, for 0.5 to 12 hours. The base includes an inorganic base such as sodium hydride, potassium carbonate and sodium carbonate, and an organic base such as triethyl amine, diisopropylethyl amine, pyridine and 2,6-lutidine. The solvent includes halogen-containing solvent such as chloroform, dichloromethane and dichloroethane, aromatic solvent such as benzene, toluene and xylene, ester solvent such as ethyl acetate, propyl acetate and butyl acetate, and N,N-dimethylformamide.

15

(Step 2)

[0057] The compound (5) can be obtained by reacting the compound (3) with a primary or secondary amine (4), in the presence of a base, in a solvent of 1 to 100 times in volume, at between 0 °C and the reflux temperature of the solvent used, for 0.5 to 12 hours. The base includes an inorganic base such as sodium hydride, potassium carbonate and potassium tert-butoxide, and an organic base such as triethyl amine, diisopropylethyl amine, pyridine and 2,6-lutidine. The solvent includes ether solvent such as diethyl ether, tetrahydrofuran and dioxane, halogen-containing solvent such as chloroform, dichloromethane and dichloroethane, aromatic solvent such as benzene, toluene and xylene, ester solvent such as ethyl acetate, propyl acetate and butyl acetate, water, and N,N-dimethylformamide.

25

(Step 3)

[0058] The compound (1) can be obtained by reacting the compound (5) with a cyclic amine (6), in the presence of a base, in a solvent of 1 to 100 times in volume, at between 0 °C and the reflux temperature of the solvent used, for 0.5 to 12 hours. The base includes an inorganic base such as sodium hydride, potassium carbonate and potassium tert-butoxide, and an organic base such as triethyl amine, diisopropylethyl amine, pyridine and 2,6-lutidine. The solvent includes ether solvent such as diethyl ether, tetrahydrofuran and dioxane, halogen-containing solvent such as chloroform, dichloromethane and dichloroethane, aromatic solvent such as benzene, toluene and xylene, ester solvent such as ethyl acetate, propyl acetate and butyl acetate, water, and N,N-dimethylformamide.

35

(Step 4)

[0059] The compound (7) can be obtained by reacting the compound (2) with a cyclic amine (6), in the presence of a base, in a solvent of 1 to 100 times in volume, at between 0 °C and the reflux temperature of the solvent used, for 0.5 to 12 hours. The base includes an inorganic base such as sodium hydride, potassium carbonate and potassium tert-butoxide, and an organic base such as triethyl amine, diisopropylethyl amine, pyridine and 2,6-lutidine. The solvent includes ether solvent such as diethyl ether, tetrahydrofuran and dioxane, halogen-containing solvent such as chloroform, dichloromethane and dichloroethane, aromatic solvent such as benzene, toluene and xylene, ester solvent such as ethyl acetate, propyl acetate and butyl acetate, water, and N,N-dimethylformamide.

45

(Step 5-1)

[0060] The compound (8a), wherein Q of the compound (8) is a halogen atom, can be obtained by reacting the compound (7) with a halogenating agent, without solvent or with a solvent of 1 to 100 times in volume, at between room temperature and the reflux temperature of the solvent used (in the case of non-solvent condition, reaction temperature is between room temperature and the boiling point of the halogenating agent) for 0.5 to 10 hours. The halogenating agent and solvent are the same as those used in the preparation of the compound (3a).

55

(Step 5-2)

[0061] The compound (8b), wherein Q of the compound(8) is alkylsulfonyloxy, or substituted or unsubstituted arylsulfonyloxy group, can be obtained by reacting the compound (7) with an alkylsulfonyl chloride, or substituted or unsubstituted arylsulfonyl chloride, in the presence of a 2 to 20 equivalent base, without solvent or with a solvent of 1 to 100

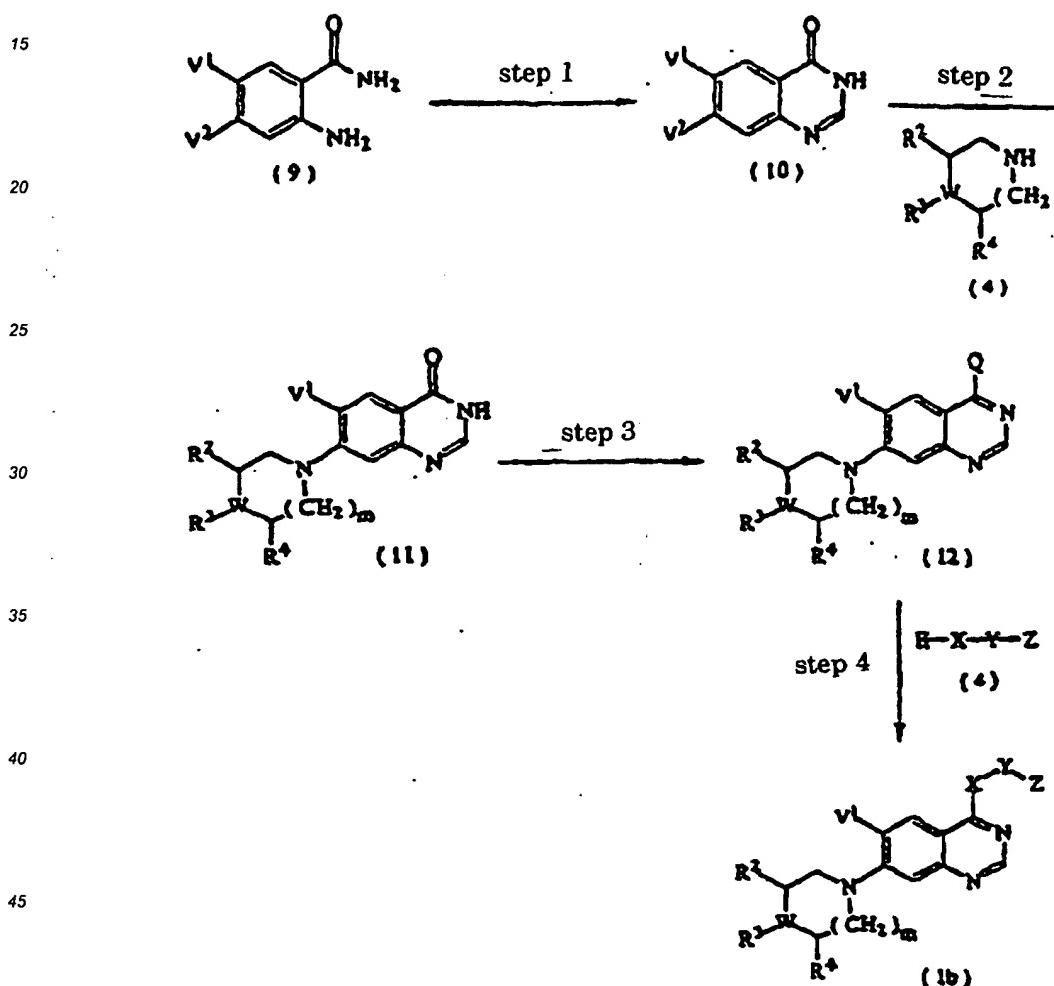
times in volume, at between 0 °C and the reflux temperature of the solvent used, for 0.5 to 12 hours. The base and solvent are the same as those used in the preparation of the compound (3b).

(Step 6)

5

[0062] The compound (1) can be obtained by reacting the compound (8) with a primary or secondary amine (4), in the presence of a base, in a solvent of 1 to 100 times in volume, at between 0 °C and the reflux temperature of the solvent used, for 0.5 to 12 hours. The base and solvent are the same as those used in the preparation of the compound (5).

10 **[0063]** Manufacturing method 2: The compound (1b) wherein R₁ is a halogen atom can be prepared according to the following reaction steps.



50 (wherein R², R³, R⁴, X, Y, Z, W and m are as defined above, V¹, V² and Q is halogen atom, alkylsulfonyloxy group such as methanesulfonyloxy and ethanesulfonyloxy, or substituted or unsubstituted arylsulfonyloxy group such as benzenesulfonyloxy or methylbenzenesulfonyloxy.)

(Step 1)

55

[0064] The compound (10) can be obtained by reacting the compound (9) obtained according to the known methods with formic acid or trimethyl orthoformate, in the presence of an acid, at a temperature of between room temperature and 100 °C for 0.5 to 10 hours. The acid includes an inorganic acid such as hydrochloric acid and an organic acid such

as p-toluenesulfonic acid and camphorsulfonic acid.

(Step 2)

- 5 [0065] The compound (11) can be obtained by reacting the compound (10) with a cyclic amine (6), in the presence of a base, in a solvent of 1 to 100 times in volume, at between 0 °C and the reflux temperature of the solvent used, for 0.5 to 12 hours. The base includes an inorganic base such as sodium hydride, potassium carbonate and potassium tert-butoxide, and an organic base such as triethyl amine, diisopropylethyl amine, pyridine and 2,6-lutidine. The solvent includes ether solvent such as diethyl ether, tetrahydrofuran and dioxane, halogen-containing solvent such as chloroform, dichloromethane and dichloroethane, aromatic solvent such as benzene, toluene and xylene, ester solvent such as ethyl acetate, propyl acetate and butyl acetate, water, and N,N-dimethylformamide.

10

(Step 3-1)

- 15 [0066] The compound (12a), wherein Q of the compound(12) is a halogen atom, can be obtained by reacting the compound (11) with a halogenating agent, without solvent or with a solvent of 1 to 100 times in volume, at between room temperature and the reflux temperature of the solvent used, for 0.5 to 10 hours. The halogenating agent includes chlorination agent such as phosphorus oxychloride and phosphorus pentachloride and bromination agent such as phosphorus pentabromide and thionyl bromide. The solvent includes halogen-containing solvent such as chloroform, dichloromethane and dichloroethane, aromatic solvent such as benzene, toluene and xylene and ester solvent such as ethyl acetate, propyl acetate and butyl acetate.

20

(Step 3-2)

- 25 [0067] The compound (12b), wherein Q of the compound(12) is alkylsulfonyloxy, or substituted or unsubstituted arylsulfonyloxy group, can be obtained by reacting the compound (11) with an alkylsulfonyl chloride, or substituted or unsubstituted arylsulfonyl chloride, in the presence of a 2 to 20 equivalent base, without solvent or with a solvent of 1 to 100 times in volume, at between 0 °C and the reflux temperature of the solvent used, for 0.5 to 12 hours. The base includes an inorganic base such as sodium hydride, potassium carbonate and sodium carbonate, and an organic base such as triethyl amine, diisopropylethyl amine, pyridine and 2,6-lutidine. The solvent includes halogen-containing solvent such as chloroform, dichloromethane and dichloroethane, aromatic solvent such as benzene, toluene and xylene, ester solvent such as ethyl acetate, propyl acetate and butyl acetate, and N,N-dimethylformamide.

30

(Step 4)

- 35 [0068] The compound (1b) can be obtained by reacting the compound (12) with a primary or secondary amine (4), in the presence of a base, in a solvent of 1 to 100 times in volume, at between 0 °C and the reflux temperature of the solvent used, for 0.5 to 12 hours. The base includes an inorganic base such as sodium hydride, potassium carbonate and potassium tert-butoxide, and an organic base such as triethyl amine, diisopropylethyl amine, pyridine and 2,6-lutidine. The solvent includes ether solvent such as diethyl ether, tetrahydrofuran and dioxane, halogen-containing solvent such as chloroform, dichloromethane and dichloroethane, aromatic solvent such as benzene, toluene and xylene, ester solvent such as ethyl acetate, propyl acetate and butyl acetate, water, and N,N-dimethylformamide.

40

- [0069] The intermediates and end products in the above-mentioned manufacturing methods can be isolated or purified by conventional methods. For example, they can be isolated or purified using neutralization, filtration, extraction, drying, concentration, recrystallization and various kinds of chromatography. The solvent for recrystallization includes, for example, alcohol solvent such as methanol, ethanol and 2-propanol, ether solvent such as diethyl ether, ester solvent such as ethyl acetate, aromatic hydrocarbon solvent such as toluene, ketone solvent such as acetone, hydrocarbon solvent such as hexane and mixed solvents thereof. It is also possible for the intermediates to be used in the next steps without any purification.

45

- [0070] In case that a salt of the compound (1) is desired to be obtained, if the compound (1) is obtained in the form of a salt it is purified as it is, and if obtained in a free form it is dissolved or suspended in a suitable solvent and convert it into a salt by adding an acid. And, it is possible to transform the compound (1) obtained in the form of a salt into a free compound and then to convert it into the form of a desired salt.

50

- [0071] Upon carrying out the above reactions, it is possible to use the techniques of protection and deprotection for functional group, which are described in detail in T. W. Green and P. G. M. Wuts, "Protecting Groups in Organic Synthesis", 1990.

55

- [0072] As the medicaments of the present invention there can be used substances selected from the group consisting of compounds represented by the general formula (1) and pharmaceutically acceptable salts thereof, or there can be

used hydrates or solvates thereof. It is also possible to use these substances in a proper combination of two or more. A substance per se selected from the group may be administered as a medicament of the present invention, but usually it is preferable to administer in the form of a medicament composition containing the above substance as an effective ingredient and pharmaceutically acceptable preparation additives.

5 [0073] The medicament composition applied for the living body can easily be produced according to the preparation methods commonly used in the field of pharmacology, that is, by mixing the above substance as effective ingredient and one or two or more kinds of pharmaceutically acceptable preparation additives. The administration route of the medicament of the present invention is not limited in particular, but it is preferable to properly choice the most effective route in the treatment and/or prevention. The medicinal composition suitable for oral administration includes, for example, capsules, powders, tablets, granules, fine grains, syrups, solutions and suspensions, and the medicament composition suitable for parenteral administration includes, for example, absorbents, nebulas, intrarectal administration medicaments, injections, drops, ointments, creams, transdermal absorbents, transmucosa absorbents, eyedrops, col-lunarium, eardrops, tapes and patches. The forms of the medicaments of the present invention, however, are not limited to these.

10 [0074] Of the medicinal compositions suitable for oral administration, liquid preparations such as emulsions and syrups can be manufactured using preparation additives such as water; saccharides such as saccharose, sorbit and fructose; glycols such as polyethylene glycol and propylene glycol; oils such as sesame oil, olive oil and soybean oil; antiseptics such as p-hydroxybenzoic acid ester; and flavors such as strawberry flavor and peppermint flavor. The solid preparations such as capsules, tablets, powders and granules can be manufactured using excipients such as lactose, glucose, saccharose and mannitol; disintegrating agents such as starch and sodium alginate; lubricants such as magnesium stearate and talc; binders such as polyvinyl alcohol, hydroxypropyl cellulose and gelatin; surfactants such as fatty acid ester; and plasticizers such as glycerol.

15 [0075] Of the medicament compositions suitable for parenteral administration, liquid preparations in the form of injections, drops and eyedrops can preferably be manufactured as sterilized isotonic liquid preparations. For example, the injections can be prepared using a salt solution, a glucose solution or an aqueous medium consisting of a mixture of salt water and glucose solution. The intrarectal administration agents can be prepared usually in the form of suppository using carriers such as cacao fat, hydrogenated fat and hydrogenated carboxylic acid. Further, for the preparation of the nebulas there can be used non-irritating carriers which disperse the above substance of the effective ingredient as fine particles to facilitate absorption. As such carriers, it is possible to enumerate lactose and glycerol and to select the forms of aerosol and drypowder as the form of the preparations. Further, in the production of the medicament compositions for parenteral administration, there can optionally be used one kind, or two or more kinds of preparation additives selected from diluents, flavors, antiseptics, excipients, disintegrating agents, lubricants, binders, surfactants and plasticizers which have been illustrated in the oral administration agents. The preparation additives used for the manufacture of the medicaments of the present invention are not limited to those mentioned above, and any can be used as long as it is utilizable for a person skilled in the art.

20 [0076] The dose and frequency of administration of the medicaments of the present invention are not limited in particular, and generally, in the case of oral administration, it is possible to administer a dose in the range of about 1 to about 1000 mg, preferably about 10 to about 500 mg per day for an adult in one portion or in several portions. In the case of administration as an injection medicament, the dose ranging about 0.1 to about 500 mg, preferably about 3 to about 100 mg can be administered in one portion or in several portions.

25 [0077] This specification includes part or all of the contents as disclosed in the specification of Japanese Patent Application No. 11-282078, which is the base of priority of the present invention.

Best Mode for Embodying the Invention

30 [0078] The present invention will hereinafter be explained more in detail by way of Examples and Reference examples, but the scope of the present invention is limited in no way by the following Examples.

Reference example 1: 2-amino-4-chlorobenzamide

35 [0079] 4-Chloroanthranilic acid (75 g, 0.437 mol) was suspended in dichloromethane (1.09 l). To this suspension, triethylamine (73 ml, 0.524 mol) and diphenylphosphoryl chloride (141 g, 0.524 mol) were added successively, and the mixture was stirred at room temperature for 1 hour. Then, 28% aqueous ammonia (44 ml) was added, and the mixture was further stirred at room temperature for 2 hours. After completion of the reaction, the reaction solution was filtrated, 40 the filtrated crude crystal was washed with methanol to give 51.0 g (yield 68%) of the title compound as yellow crystal.

Reference example 2: 7-chloro-4(3H)-quinazolone

[0080] 2-Amino-4-chlorobenzamide (25.6 g, 0.150 mol) obtained in Reference example 1 was dissolved in trimethyl orthoformate (560 ml), and to this added was concentrated hydrochloric acid (15 ml), and the mixture was stirred at room temperature for 1 hour. After completion of the reaction, the reaction solution was filtered, and the crude crystal filtered was suspended in water (250 ml) and neutralized with 3N NaOH aqueous solution. The neutralized solution was filtered, the solid being washed with water on the funnel to give 20.9 g (yield 77%) of the title compound as white crystal.

10 Reference example 3: 7-chloro-6-nitro-4(3H)-quinazolone

[0081] 7-Chloro-4(3H)-quinazolone (20.9 g, 0.116 mmol) obtained in Reference example 2 was dissolved in a mixed solution of concentrated sulfuric acid (45 ml) and fuming nitric acid (45 ml) and stirred at 80 °C for 2 hours. After completion of the reaction, the reaction solution was cooled to room temperature and poured into ice water (900 ml). The precipitated solid was filtered off, and the filtered crude crystal was suspended in acetic acid (440 ml) and stirred at 80 °C for 1 hour. After cooling to 40 °C, the precipitated crystal was subjected to filtration and washed with water on the funnel to give 15.7 g (yield 60%) of the title compound as pale yellow crystal.

20 Reference example 4: 4,7-dichloro-6-nitro-4(3H)-quinazolone

[0082] 7-Chloro-6-nitro-4(3H)-quinazolone (1.00 g, 4.43 mmol) obtained in Reference example 3 was added in phosphorus oxychloride (22 ml) and heated under reflux for 1 hour. After completion of the reaction, the reaction solution was cooled to room temperature, the solvent was removed under reduced pressure, the residue was added with a saturated sodium bicarbonate aqueous solution, and the solution was extracted with chloroform. The organic layer was washed with a 10% saline and dried, the solvent being removed. The precipitated crystal was washed with ethanol to give 888 mg (yield 82%) of the title compound as pale yellow crystal.

25 Reference example 5: 7-chloro-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline

[0083] 4,7-Dichloro-6-nitro-4(3H)-quinazolone (5.95 g, 24.4 mmol) obtained in Reference example 4 was suspended in ethanol (150 ml). To this suspension, 2-(4-chlorophenyl)ethylamine (4.07 ml, 29.3 mmol) and triethylamine (4.08 ml, 29.3 mmol) were added successively, and the mixture was heated under reflux for 5 hours. The reaction solution was cooled to room temperature, the solvent was removed under reduced pressure, and the residue was washed with dichloromethane to give 7.32 g (yield 83%) of the title compound as yellow crystal.

35 Reference example 6: 7-chloro-4-(phenethylamino)-6-nitroquinazoline

[0084] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

40 Reference example 7: 7-chloro-4-(benzylamino)-6-nitroquinazoline

[0085] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

45 Reference example 8: 7-chloro-4-(4-fluorobenzylamino)-6-nitroquinazoline

[0086] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

50 Reference example 9: 7-chloro-4-[3,4-(methylenedioxy)benzylamino]-6-nitroquinazoline

[0087] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

55 Reference example 10: 7-chloro-6-nitro-4-[3-(phenyl)propylamino]quinazoline

[0088] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained

according to the same method as Reference example 5.

Reference example 11: 7-chloro-6-nitro-4-[(2-pyridyl)methylamino]quinazoline

- 5 [0089] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 12: 7-chloro-6-nitro-4-[2-(2-pyridyl)ethylamino]quinazoline

- 10 [0090] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 13: 7-chloro-6-nitro-4-[2-(3-pyridyl)ethylamino]quinazoline

- 15 [0091] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 14: 7-chloro-6-vitro-4-[2-(4-pyridyl)ethylamino]quinazoline

- 20 [0092] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 15: 7-chloro-6-nitro-4-[(2-thienyl)methylamino]quinazoline

- 25 [0093] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 16: 7-chloro-6-nitro-4-[2-(2-thienyl)ethylamino]quinazoline

- 30 [0094] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 17: 7-chloro-4-[(2-furyl)methylamino]-6-nitroquinazoline

- 35 [0095] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 18: 7-chloro-4-[(1-naphthyl)methylamino]-6-nitroquinazoline

- 40 [0096] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 19: 7-chloro-4-(4-chlorobenzylamino)-6-nitroquinazoline

- 45 [0097] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 20: 7-chloro-4-(2-fluorobenzylamino)-6-nitroquinazoline

- 50 [0098] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 21: 7-chloro-4-(3-fluorobenzylamino)-6-nitroquinazoline

- 55 [0099] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 22: 7-chloro-6-nitro-4-[4-(1,1,1-trifluoromethyl)benzylamino]quinazoline

[0100] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

5 Reference example 23: 7-chloro-4-(4-methylbenzylamino)-6-nitroquinazoline

[0101] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

10 Reference example 24: 7-chloro-4-(2-methoxybenzylamino)-6-nitroquinazoline

[0102] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

15 Reference example 25: 7-chloro-4-(3-methoxybenzylamino)-6-nitroquinazoline

[0103] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

20 Reference example 26: 7-chloro-4-(4-methoxybenzylamino)-6-nitroquinazoline

[0104] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

25 Reference example 27: 7-chloro-4-(3,4-dimethoxybenzylamino)-6-nitroquinazoline

[0105] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

30 Reference example 28: 7-chloro-6-nitro-4-(3,4,5-trimethoxybenzylamino)quinazoline

[0106] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

35 Reference example 29: 7-chloro-4-[2-(2-chlorophenyl)ethylamino]-6-nitroquinazoline

[0107] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

40 Reference example 30: 7-chloro-4-[2-(3-chlorophenyl)ethylamino]-6-nitroquinazoline

[0108] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

45 Reference example 31: 4-[2-(4-bromophenyl)ethylamino]-7-chloro-6-nitroquinazoline

[0109] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

50 Reference example 32: 7-chloro-4-[2-(2-fluorophenyl)ethylamino]-6-nitroquinazoline

[0110] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

55 Reference example 33: 7-chloro-4-[2-(3-fluorophenyl)ethylamino]-6-nitroquinazoline

[0111] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained

according to the same method as Reference example 5.

Reference example 34: 7-chloro-4-[2-(4-fluorophenyl)ethylamino]-6-nitroquinazoline

- 5 [0112] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 35: 7-chloro-4-[2-(4-methylphenyl)ethylamino]-6-nitroquinazoline

- 10 [0113] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 36: 7-chloro-4-[2-(2-methoxyphenyl)ethylamino]-6-nitroquinazoline

- 15 [0114] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 37: 7-chloro-4-[2-(3-methoxyphenyl)ethylamino]-6-nitroquinazoline

- 20 [0115] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 38: 7-chloro-4-[2-(4-methoxyphenyl)ethylamino]-6-nitroquinazoline

- 25 [0116] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 39: 7-chloro-4-[2-(3,4-dimethoxyphenyl)ethylamino]-6-nitroquinazoline

- 30 [0117] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 40: 7-chloro-4-[2-(4-hydroxyphenyl)ethylamino]-6-nitroquinazoline

- 35 [0118] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 41: 4-[2-(4-anilino)ethylamino]-7-chloro-6-nitroquinazoline

- 40 [0119] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 42: 7-chloro-4-(2-methyl-2-phenylethylamino)-6-nitroquinazoline

- 45 [0120] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 43: 7-chloro-4-(2,2-diphenylethylamino)-6-nitroquinazoline

- 50 [0121] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 44: 7-chloro-4-(N-methylbenzylamino)-6-nitroquinazoline

- 55 [0122] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 45: 7-chloro-4-(dibenzylamino)-6-nitroquinazoline

[0123] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

5 Reference example 46: 4-[(1-benzyl-4-piperidyl)amino]-7-chloro-6-nitroquinazoline

[0124] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

10 Reference example 47: N-(1-benzyl-4-piperidyl)-tert-butoxyformamide

[0125] The title compound was obtained by reacting 4-amino-1-benzylpiperidine with di-tert-butyl dicarbonate in THF at room temperature for 3 hours in the presence of triethylamine.

15 Reference example 48: tert-butoxy-N-(4-piperidyl)formamide

[0126] Using the compound obtained in Reference example 47 as starting material, the title compound was obtained by catalytic hydrogenation reduction in ethanol using 5% palladium on carbon as the catalyst.

20 Reference example 49: N-[1-(4-methoxybenzyl-4-piperidyl)]-tert-butoxyformamide

[0127] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with 4-methoxybenzyl chloride in dimethylformamide in the presence of potassium carbonate.

25 Reference example 50: 1-(4-methoxybenzyl)-4-piperidylamine

[0128] Using the compound obtained in Reference example 49 as starting material, the title compound was obtained by performing the reaction in a 4N hydrochloric acid-dioxane solution.

30 Reference example 51: N-(1-benzoyl-piperidyl)-tert-butoxyformamide

[0129] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with benzoyl chloride in dichloromethane in the presence of triethylamine.

35 Reference example 52: 4-aminopiperidyl-phenylketone

[0130] Using the compound obtained in Reference example 51 as starting material, the title compound was obtained by performing the reaction in a 4N hydrochloric acid-dioxane solution.

40 Reference example 53: N-(1-(3,4-methylenedioxy)benzoyl-piperidyl)-tert-butoxyformamide

[0131] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with piperonyloyl chloride in dichloromethane in the presence of triethylamine.

45 Reference example 54: 4-aminopiperidyl-[(3,4-methylenedioxy)phenyl]ketone

[0132] Using the compound obtained in Reference example 53 as starting material, the title compound was obtained by performing the reaction in a 4N hydrochloric acid-dioxane solution.

50 Reference example 55: N-(1-nicotinoyl-piperidyl)-tert-butoxyformamide

[0133] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with nicotinoyl chloride in dichloromethane in the presence of triethylamine.

55 Reference example 56: 4-aminopiperidyl-(3-pyridyl)ketone

[0134] Using the compound obtained in Reference example 55 as starting material, the title compound was obtained

by performing the reaction in a 4N hydrochloric acid-dioxane solution.

Reference example 57: N-[1-(N-phenylcarbamoyl)-4-piperidyl]-tert-butoxyformamide

- 5 [0135] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with phenylisocyanate in acetonitrile.

Reference example 58: N-phenyl-4-aminopiperidylformamide

- 10 [0136] Using the compound obtained in Reference example 49 as starting material, the title compound was obtained by performing the reaction in a 4N hydrochloric acid-dioxane solution.

Reference example 59: N-[1-[N-(4-fluorophenyl)carbamoyl]-4-piperidyl]-tert-butoxyformamide

- 15 [0137] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with 4-fluorophenylisocyanate in acetonitrile.

Reference example 60: N-(4-fluorophenyl)-4-aminopiperidylformamide

- 20 [0138] Using the compound obtained in Reference example 59 as starting material, the title compound was obtained by performing the reaction in a 4N hydrochloric acid-dioxane solution.

Reference example 61: N-[1-[N-(4-methoxyphenyl)carbamoyl]-4-piperidyl]-tert-butoxyformamide

- 25 [0139] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with 4-methoxyphenyl isocyanate in acetonitrile.

Reference example 62: N-(4-methoxyphenyl)-4-aminopiperidylformamide

- 30 [0140] Using the compound obtained in Reference example 61 as starting material, the title compound was obtained by performing the reaction in a 4N hydrochloric acid-dioxane solution.

Reference example 63: N-[1-((phenylamino)thioxomethyl)-4-piperidyl]-tert-butoxyformamide

- 35 [0141] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with phenyl isothiocyanate in acetonitrile.

Reference example 64: (4-aminopiperidyl)(phenylamino) methane-1-thione

- 40 [0142] Using the compound obtained in Reference example 63 as starting material, the title compound was obtained by performing the reaction in a 4N hydrochloric acid-dioxane solution.

Reference example 65: N-[1-(phenylsulfonyl)-4-piperidyl]-tert-butoxyformamide

- 45 [0143] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with benzenesulfonyl chloride in dichloromethane in the presence of triethylamine.

Reference example 66: 1-(phenylsulfonyl)-4-piperidylamine

- 50 [0144] Using the compound obtained in Reference example 65 as starting material, the title compound was obtained by performing the reaction in a 4N hydrochloric acid-dioxane solution.

Reference example 67: N-[1-(4-methoxyphenylsulfonyl)-4-piperidyl]-tert-butoxyformamide

- 55 [0145] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with 4-methoxybenzenesulfonyl chloride in dichloromethane in the presence of triethylamine.

Reference example 68: 1-(4-methoxyphenylsulfonyl)-4-piperidylamine

[0146] Using the compound obtained in Reference example 67 as starting material, the title compound was obtained by performing the reaction in a 4N hydrochloric acid-dioxane solution.

5 Reference example 69: N-[1-(4-fluorophenylsulfonyl)-4-piperidyl]-tert-butoxyformamide

[0147] Using the compound obtained in Reference example 48 as starting material, the title compound was obtained by reacting it with 4-fluorobenzenesulfonyl chloride in dichloromethane in the presence of triethylamine.

10 Reference example 70: 1-(4-fluorophenylsulfonyl)-4-piperidylamine

[0148] Using the compound obtained in Reference example 69 as starting material, the title compound was obtained by performing the reaction in a 4N hydrochloric acid-dioxane solution.

15 Reference example 71: 7-chloro-6-nitro-4-(4-phenylpiperazinyl)quinazoline

[0149] 4,7-Dichloro-6-nitro-4(3H)-quinazolone (138 mg, 0.576 mmol) obtained in Reference example 4 was suspended in ethanol (3 ml). To this suspension, 1-(4-chlorophenyl)piperazine dihydrochloride (186 mg, 0.689 mmol) and N,N-diisopropylethylamine (360 µl, 2.07 mmol) were added successively, and the mixture was stirred at room temperature over night. After completion of the reaction, the reaction solution was filtered, and the crude crystal was washed with ethanol and water to give 72 mg (yield 31%) of the title compound as yellow crystal.

20 Reference example 72: 4-benzylpiperazinylphenylketone

[0150] Using 1-benzylpiperazine as starting material, the title compound was obtained by reacting it with benzoyl chloride in dichloromethane in the presence of triethylamine.

25 Reference example 73: piperazinylphenylketone

[0151] Using the compound obtained in Reference example 72 as starting material, the title compound was obtained by catalytic hydrogenation reduction in ethanol using 5% palladium on carbon as the catalyst.

30 Reference example 74: 4-(7-chloro-6-nitroquinazolin-4-yl)piperazinyl-4-phenylketone

[0152] Using the compound obtained in Reference example 73, the title compound was obtained according to the same method as Reference example 71.

35 Reference example 75: 4-benzylpiperazinyl-4-fluorophenylketone

[0153] Using 1-benzylpiperazine as starting material, the title compound was obtained by reacting it with 4-fluorobenzoyl chloride in dichloromethane in the presence of triethylamine.

40 Reference example 76: 4-fluorophenyl-piperazinylketone

[0154] Using the compound obtained in Reference example 75 as starting material, the title compound was obtained by catalytic hydrogenation reduction in ethanol using 5% palladium on carbon as the catalyst.

45 Reference example 77: 4-(7-chloro-6-nitroquinazolin-4-yl) piperazinyl-4-fluorophenylketone

[0155] Using the compound obtained in Reference example 76 as starting material, the title compound was obtained according to the same method as Reference example 71.

50 Reference example 78: 4-benzylpiperazinyl-4-methoxyphenylketone

[0156] Using 1-benzylpiperazine as starting material, the title compound was obtained by reacting it with 4-methoxybenzoyl chloride in dichloromethane in the presence of triethylamine.

Reference example 79: 4-methoxyphenyl-piperazinylketone

[0157] Using the compound obtained in Reference example 78 as starting material, the title compound was obtained by catalytic hydrogenation reduction in ethanol using 5% palladium on carbon as the catalyst.

5 Reference example 80: 4-(7-chloro-6-nitroquinazolin-4-yl) piperazinyl-4-methoxyphenylketone

[0158] Using the compound obtained in Reference example 79 as starting material, the title compound was obtained according to the same method as Reference example 71.

10 Reference example 81: 4-benzylpiperazinyl-4-(3,4-methylenedioxy)phenylketone

[0159] Using 1-benzylpiperazine as starting material, the title compound was obtained by reacting it with piperonyloyl chloride in dichloromethane in the presence of triethylamine.

15 Reference example 82: (3,4-methylenedioxy)phenyl-piperazinylketone

[0160] Using the compound obtained in Reference example 81 as starting material, the title compound was obtained by catalytic hydrogenation reduction in ethanol using 5% palladium on carbon as the catalyst.

20 Reference example 83: 4-(7-chloro-6-nitroquinazolin-4-yl) piperazinyl-(3,4-methylenedioxy)phenylketone

[0161] Using the compound obtained in Reference example 82 as starting material, the title compound was obtained according to the same method as Reference example 71. Reference example 84: 4-(phenylsulfonyl)-1-benzylpiperazine Using 1-benzylpiperazine as starting material, the title compound was obtained by reacting it with benzenesulfonyl chloride in dichloromethane in the presence of triethylamine.

Reference example 85: phenylsulfonyl piperazine

30 [0162] Using the compound obtained in Reference example 84 as starting material, the title compound was obtained by catalytic hydrogenation reduction in ethanol using 5% palladium on carbon as the catalyst.

Reference example 86: 1-(7-chloro-6-nitroquinazolin-4-yl)-4-(phenylsulfonyl)piperazine

35 [0163] Using the compound obtained in Reference example 85 as starting material, the title compound was obtained according to the same method as Reference example 71.

Reference example 87: 4-(4-fluorophenylsulfonyl)-1-benzylpiperazine

40 [0164] Using 1-benzylpiperazine as starting material, the title compound was obtained by reacting it with 4-fluorobenzenesulfonyl chloride in dichloromethane in the presence of triethylamine.

Reference example 88: 4-fluorophenylsulfonyl piperazine

45 [0165] Using the compound obtained in Reference example 87 as starting material, the title compound was obtained by catalytic hydrogenation reduction in ethanol using 5% palladium on carbon as the catalyst.

Reference example 89: 1-(7-chloro-6-nitroquinazolin-4-yl)-4-[(4-fluorophenyl)sulfonyl]piperazine

50 [0166] Using the compound obtained in Reference example 88 as starting material, the title compound was obtained according to the same method as Reference example 71.

Reference example 90: 2-amino-4,5-difluorobenzamide

55 [0167] Using 4,5-difluoroanthranilic acid as starting material, the title compound was obtained according to the same method as Reference example 1.

Reference example 91: 6,7-difluoro-4(3H)-quinazolone

[0168] Using the compound obtained in Reference example 90 as starting material, the title compound was obtained according to the same method as Reference example 2.

5 Reference example 92: 4-chloro-6,7-difluoro-4(3H)-quinazolone

[0169] Using the compound obtained in Reference example 91 as starting material, the title compound was obtained according to the same method as Reference example 4.

10 Reference example 93: 6,7-difluoro-4-[2-(4-chlorophenyl)ethylamino]quinazoline

[0170] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

15 Reference example 94: 6,7-difluoro-4-[2-(4-fluorophenyl)ethylamino]quinazoline

[0171] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

20 Reference example 95: 6,7-difluoro-4-(4-fluorobenzylamino)quinazoline

[0172] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

25 Reference example 96: 6,7-difluoro-4-[3,4-(methylenedioxy)benzylamino]quinazoline

[0173] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

30 Reference example 97: 6,7-difluoro-4-[(4-fluoro)anilino]quinazoline

[0174] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

35 Reference example 98: 6,7-difluoro-4-[(3,4-methylenedioxy)anilino]quinazoline

[0175] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

40 Reference example 99: 6,7-difluoro-4-[2-[(4-fluoro)phenyl]ethylamino]quinazoline

[0176] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

45 Reference example 100: 6,7-difluoro-4-[2-[(3,4-methylenedioxy)phenyl]ethylamino]quinazoline

[0177] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

50 Reference example 101: 6,7-difluoro-4-[3-[(4-fluoro)phenyl] propylamino]quinazoline

[0178] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

55 Reference example 102: 6,7-difluoro-4-[3-[(3,4-methylenedioxy)phenyl]propylamino]quinazoline

[0179] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained

according to the same method as Reference example 5.

Reference example 103: 6,7-difluoro-4-[(3,4-ethylenedioxy)benzylamino]quinazoline

- 5 [0180] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 104: 6,7-difluoro-4-[[N-methyl-N'-(3,4-methylenedioxybenzyl)]amino]quinazoline

- 10 [0181] Using the compound obtained in Reference example 92 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 105: 7-chloro-4-[(4-fluoro)anilino]-6-nitroquinazoline

- 15 [0182] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 106: 7-chloro-4-[(3,4-methylenedioxy)anilino]-6-nitroquinazoline

- 20 [0183] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 107: 7-chloro-4-[2-(3,4-methylenedioxy)phenyl]ethylamino]-6-nitroquinazoline

- 25 [0184] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 108: 7-chloro-4-[3-[(4-fluoro)phenyl]propylamino]-6-nitroquinazoline

- 30 [0185] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 109: 7-chloro-4-[3-[(3,4-methylenedioxy)phenyl]propylamino]-6-nitroquinazoline

- 35 [0186] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 110: 7-chloro-4-[(3,4-difluoro)benzylamino]-6-nitroquinazoline

- 40 [0187] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 111: 7-chloro-4-[(4-ethoxycarbonyl)benzylamino]-6-nitroquinazoline

- 45 [0188] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 112: 7-chloro-4-[(3,4-ethylenedioxy)benzylamino]-6-nitroquinazoline

- 50 [0189] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 113: 7-chloro-4-[(3,5-di-tert-butyl-4-hydroxy)benzylamino]-6-nitroquinazoline

- 55 [0190] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Reference example 114: 7-chloro-4-[(3-methoxy-4-propoxy)benzylamino]-6-nitroquinazoline

[0191] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

5 Reference example 115: 7-chloro-4-[(4-cyclopentyloxy-3-methoxy)benzylamino]-6-nitroquinazoline

[0192] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

10 Reference example 116: 7-chloro-6-nitro-4-[(4-nitro) benzylamino]quinazoline

[0193] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

15 Reference example 117: 7-chloro-4-[[6-chloro-(3,4-methylenedioxy)]benzylamino]-6-nitroquinazoline

[0194] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

20 Reference example 118: 7-chloro-4-[[5-methoxy-(3,4-methylenedioxy)]benzylamino]-6-nitroquinazoline

[0195] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

25 Reference example 119: 4-[(4-benzyloxy)benzylamino]-7-chloro-6-nitroquinazoline

[0196] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

30 Reference example 120: 4-[(4-acetamide)benzylamino]-7-chloro-6-nitroquinazoline

[0197] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

35 Reference example 121: 7-chloro-4-[(4-cyclopropylcarbamoyl)benzylamino]-6-nitroquinazoline

[0198] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

40 Reference example 122: 7-chloro-4-[(3,5-dimethyl-4-hydroxy)benzylamino]-6-nitroquinazoline

[0199] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

45 Reference example 123: 7-chloro-4-[(3-cyclopentyloxy-4-methoxy)benzylamino]-6-nitroquinazoline

[0200] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

50 Reference example 124: 7-chloro-4-[(4-methoxy-3-pivaloyloxy)benzylamino]-6-nitroquinazoline

[0201] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

55 Reference example 125: 7-chloro-4-[(4-hydroxy)benzylamino]-6-nitroquinazoline

[0202] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained

according to the same method as Reference example 5.

Reference example 126: 7-chloro-4-[(4-cyano)benzylamino]-6-nitroquinazoline

5 [0203] Using the compound obtained in Reference example 4 as starting material, the title compound was obtained according to the same method as Reference example 5.

Example 1: 4-[2-(4-chlorophenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 1)

10 [0204] 7-Chloro-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline (800 mg, 2.20 mmol) obtained in Reference example 5 was suspended in n-butanol (22 ml). To this suspension, anhydrous piperazine (569 mg, 6.60 mmol) and N,N-diisopropylethylamine (575 µl, 3.30 mmol) were added, and the mixture was stirred at 120 °C for 7 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, the residue was added with water (40 ml), and the solution was stirred at room temperature for 1 hour. The suspension was filtered to give 735 mg (yield 81%) of the title compound as yellow orange crystal.

¹H-NMR(DMSO-d₆) δ(ppm): 8.87(s,1H), 8.68-8.64(br.t,1H), 8.48(s,1H), 7.37-7.26(m,4H), 7.21(s,1H), 3.74 (dt,2H, J=6.3,5.9Hz), 3.07-3.02(m,5H), 2.97-2.92(m,6H)

Example 2: 4-[2-(4-chlorophenyl)ethylamino]-7-(homopiperazin-1-yl)-6-nitroquinazoline (compound 2)

20 [0205] 7-Chloro-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline (100 mg, 0.275 mmol) obtained in Reference example 5 was suspended in n-butanol (6 ml). To this suspension, homopiperazine (83 mg, 0.825 mmol) and N,N-diisopropylethylamine (72 µl, 0.413 mmol) were added, and the mixture was stirred at 120 °C for 16 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, the residue was added with a mixed solution of dichloromethane and hexane, and the solution was stirred at room temperature for 30 minutes. The suspension was filtered to give 106 mg (yield 90%) of the title compound as yellow orange crystal.

¹H-NMR(DMSO-d₆) δ(ppm): 8.79(s,1H), 8.60-8.57(br.t,1H), 8.41(s,1H), 7.37-7.26(m,4H), 7.14(s,1H), 3.76-3.69(m, 2H), 3.42-3.33(m,2H), 2.98-2.93(m,9H), 1.93-1.91(m,2H)

30 Example 3: 4-[2-(4-chlorophenyl)ethylamino]-7-(4-methylpiperazin-1-yl)-6-nitroquinazoline (compound 3)

[0206] 7-Chloro-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline (100 mg, 0.275 mmol) obtained in Reference example 5 was suspended in n-butanol (6 ml). To this suspension, 1-methylpiperazine (92 µl, 0.825 mmol) and N,N-diisopropylethylamine (144 µl, 0.825 mmol) were added, and the mixture was stirred at 120 °C for 13 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, the residue was added with a mixed solution of dichloromethane and hexane, and the solution was stirred at room temperature for 30 minutes. The suspension was filtered to give 73 mg (yield 62%) of the title compound as yellow orange crystal.

¹H-NMR(DMSO-d₆) δ(ppm): 8.84(s,1H), 8.64-8.62(br.t,1H), 8.47(s,1H), 7.36-7.62(m,4H), 7.20(s,1H), 3.72(dt,2H, J=7.0,5.9Hz), 3.08-3.05(m,4H), 2.94(t,2H,7.0Hz), 2.50-2.45(m,4H), 2.23(s,3H)

40 Example 4: 4-[2-(4-chlorophenyl)ethylamino]-7-(4-ethylpiperazin-1-yl)-6-nitroquinazoline (compound 4)

[0207] 7-Chloro-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline (70 mg, 0.193 mmol) obtained in Reference example 5 was suspended in n-butanol (5 ml). To this suspension, 1-ethylpiperazine (123 µl, 0.965 mmol) and N,N-diisopropylethylamine (202 µl, 1.16 mmol) were added, and the mixture was stirred at 120 °C for 8 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, the residue was added with water (10 ml), and the solution was stirred at room temperature for 30 minutes. The suspension was filtered to give 61 mg (yield 72%) of the title compound as yellow orange crystal.

¹H-NMR(CDCl₃) δ(ppm): 8.65(s,1H), 8.10(s,1H), 7.40-7.28(m,5H), 5.74-5.72(bt.-t,1H), 3.85(dt,2H, J=6.8,6.2Hz), 3.20-3.18(m,4H), 3.00(t,2H,J=6.8Hz), 2.72-2.70(m,4H), 2.54(q,2H, J=7.1Hz), 1.17(t,3H,J=7.0Hz)

Example 5: 4-[2-(4-chlorophenyl)ethylamino]-7-[4-(2-hydroxyethyl)piperazin-1-yl]-6-nitroquinazoline (compound 5)

[0208] 7-Chloro-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline (70 mg, 0.193 mmol) obtained in Reference example 5 was suspended in n-butanol (5 ml). To this suspension, 1-(2-hydroxyethyl)piperazine (330 µl, 2.69 mmol) and N,N-diisopropylethylamine (337 µl, 2.61 mmol) were added, and the mixture was stirred at 120 °C for 8 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, the residue was added with water (10 ml), and the solution was stirred at room temperature for 30 minutes. The suspension was filtered to give 61 mg (yield

70%) of the title compound as yellow orange crystal.

¹H-NMR(DMSO-d₆) δ(ppm): 8.84(s,1H), 8.64-8.62(br.-t,1H), 8.47(s,1H), 7.36-7.26(m,4H), 7.19(s,1H), 4.44(t,1H, J=5.4Hz), 3.73(dt,2H, J=7.3,5.8Hz), 3.53(dt,2H,J=5.7,5.4Hz), 3.06-3.04(m,4H), 2.94(t,2H,7.3Hz), 2.47-2.43(m,6H)

5 Example 6: 4-[2-(4-chlorophenyl)ethylamino]-7-(4-formylpiperazin-1-yl)-6-nitroquinazoline (compound 6)

[0209] To formic acid (20 ml), anhydrous acetic acid (2.2 ml, 2.42 mmol) was added and stirred at room temperature for 20 minutes. Then, the compound 1 (200 mg, 0.484 mmol) obtained in Example 1 and N,N-diisopropylethylamine (211 µl, 1.21 mmol) were added, and the mixture was stirred at 80 °C for 2 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, and the residue was added with water (20 ml) and neutralized with 1N NaOH aqueous solution. The neutralized solution being distilled off under reduced pressure, the residue was added with methanol and water and stirred under ice-cooling for 30 minutes. The precipitated crystal was filtered off to give 196 mg (yield 92%) of the title compound as orange crystal. ¹H-NMR(DMSO-d₆) δ(ppm): 8.91(s,1H), 8.72-8.68(br.-t, 1H), 8.49(s,1H), 8.08(s,1H), 7.36-7.27(m,5H), 3.74(dt,2H, J=6.3,5.9Hz), 3.52-3.51(m,4H), 3.13-2.92(m,6H)

10 15 Example 7: 7-(4-acetylpirazin-1-yl)-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline (compound 7)

[0210] The compound 1 (80 mg, 0.194 mmol) obtained in Example 1 was suspended in dichloromethane (3 ml). To this suspension, anhydrous acetic acid (28 µl, 0.291 mmol) and pyridine(31 µl, 0.388 mmol) were added, and the mixture was stirred at room temperature for 4 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, the residue was added with water (15 ml), and the solution was stirred at room temperature for 30 minutes. The precipitated solid was filtered off to give 69 mg (yield 78%) of the title compound as yellow crystal. ¹H-NMR(CDCl₃) δ(ppm): 8.66(s,1H), 8.13(s,1H), 7.41-7.29(m,5H), 5.84-5.82(bt.-t,1H), 3.95-3.90(m,2H), 3.87-3.83(m, 2H), 3.72-3.68(m,2H), 3.20-3.07(m,6H), 2.20(s,3H)

20 25 Example 8: 7-(4-aminopiperidin-1-yl)-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline (compound 8)

[0211] 7-Chloro-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline (100 mg, 0.275 mmol) obtained in Reference example 5 was suspended in n-butanol (5 ml). To this suspension, tert-butoxy-N-(4-piperidyl)formamide (220 mg, 1.10 mmol) obtained in Reference example 48 and N,N-diisopropylethylamine (240 µl, 1.38 mmol) were added, and the mixture was stirred at 120 °C for 5 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, the residue was added with water (15 ml), and the solution was stirred at room temperature for 30 minutes. The suspension was filtered, and then the obtained solid was dissolved in 4N hydrochloric acid-dioxane and stirred for 2 hours. The reaction solution was distilled off under reduced pressure, and the residue was neutralized with NaOH water. The precipitated solid was subjected to filtration to give 54 mg (yield 46%) of the title compound as yellow crystal. ¹H-NMR(DMSO-d₆) δ(ppm): 8.81(s,1H), 8.61-8.59(br.-t,1H), 8.45(s,1H), 7.36-7.29(m,4H), 7.18(s,1H), 3.73(dt,2H, J=7.2,6.0Hz), 3.28-3.26(m,3H), 2.97-2.91(m,5H), 1.80-1.76 (m,3H), 1.37-1.33(m,2H)

40 Example 9: 7-(4-aminomethylpiperidin-1-yl)-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline (compound 9)

[0212] 7-Chloro-4-[2-(4-chlorophenyl)ethylamino]-6-nitroquinazoline (100 mg, 0.275 mmol) obtained in Reference example 5 was suspended in n-butanol (5 ml). To this suspension, 4-(aminomethyl)piperidine (157 mg, 1.38 mmol) and N,N-diisopropylethylamine (240 µl, 1.38 mmol) were added, and the mixture was stirred at 120 °C for 6 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, the residue was added with water (20 ml), and the solution was stirred at room temperature for 30 minutes. The suspension was filtered to give 81 mg (yield 67%) of the title compound as yellow orange crystal.

¹H-NMR(DMSO-d₆) δ(ppm): 8.82(s,1H), 8.63-8.59(br.-t,1H), 8.45(s,1H), 7.36-7.29(m,4H), 7.18(s,1H), 3.73(dt,2H, J=7.2,5.7Hz), 3.28-3.26(m,2H), 2.94(t,2H,J=7.2Hz), 2.87-2.75(m,2H), 2.50-2.45(m,2H), 1.80-1.76 (m,2H), 1.59-1.15 (m,5H)

50 Example 10: 6-nitro-4-[2-(phenyl)ethylamino]-7-(piperazin-1-yl)quinazoline (compound 10)

[0213] Using the compound obtained in Reference example 6 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 9.00(s,1H), 8.85-8.83(br.-t,1H), 8.51(s,1H), 7.33-7.18(m,6H), 3.76(dt,2H, J=7.2,6.0Hz), 3.19-3.15(m,5H), 2.99-2.92(m,6H)

Example 11: 7-(homopiperazin-1-yl)-6-nitro-4-[2-(phenyl)ethylamino]quinazoline (compound 11)

[0214] Using the compound obtained in Reference example 6 as starting material, the title compound was obtained according to the same method as Example 2.

⁵ ¹H-NMR(DMSO-d₆) δ(ppm): 8.77(s,1H), 8.59-8.57(br.-t,1H), 8.41(s,1H), 7.35-7.28(m,5H), 7.16(s,1H), 3.74-3.67(m, 2H), 3.40-3.30(m,2H), 3.00-2.95(m,9H), 1.95-1.92(m,2H)

Example 12: 7-(4-methylpiperazin-1-yl)-6-nitro-4-[2-(phenyl)ethylamino]quinazoline (compound 12)

¹⁰ [0215] Using the compound obtained in Reference example 6 as starting material, the title compound was obtained according to the same method as Example 3.

¹H-NMR(DMSO-d₆) δ(ppm): 8.95(s,1H), 8.87-8.85(br.-t,1H), 8.50(s,1H), 7.30-7.25(m,6H), 3.77(dt,2H,J=7.0,5.8Hz), 3.12-3.09(m,4H), 2.98-2.91(m,6H), 2.20(s,3H) Example 13: 7-(4-ethylpiperazin- 1-yl)-6-nitro-4-[2-(phenyl)ethylamino]quinazoline (compound 13)

¹⁵ [0216] Using the compound obtained in Reference example 6 as starting material, the title compound was obtained according to the same method as Example 4.

¹H-NMR(CDCl₃) δ(ppm): 8.63(s,1H), 8.06(s,1H), 7.37-7.26(m,6H), 5.70-5.69(br.-t,1H), 3.93(dt,2H,J=6.7,6.3Hz), 3.18-3.16 (m,4H), 3.03(t,2H,J=6.7Hz), 2.65-2.62(m,4H), 2.50(q,2H, J=7.0Hz), 1.13(t,3H,J=7.0Hz)

²⁰ Example 14: 7-(4-formylpiperazin-1-yl)-6-nitro-4-[2-(phenyl)ethylamino]quinazoline (compound 14)

[0217] Using the compound obtained in Reference example 6 as starting material, the title compound was obtained according to the same method as Example 6.

²⁵ ¹H-NMR(CDCl₃) δ(ppm): 8.67(s,1H), 8.17(s,1H), 8.12(s,1H) 7.65-7.24(m,6H), 5.82-5.80(br.-t,1H), 3.99-3.91(m,2H), 3.79-3.75(m,2H), 3.61-3.57 (m,2H), 3.18-3.02(m,6H)

Example 15: 7-(4-acetyl(piperazin-1-yl)-6-nitro-4-[2-(phenyl)ethylamino]quinazoline (compound 15)

³⁰ [0218] Using the compound obtained in Reference example 6 as starting material, the title compound was obtained according to the same method as Example 7.

¹H-NMR(CDCl₃) δ(ppm): 8.66(s,1H), 8.16(s,1H), 7.38-7.24(m,6H), 5.83-5.81(br.-t,1H), 3.98-3.91(m,2H), 3.84-3.80 (m, 2H), 3.69-3.65(m,2H), 3.17-3.02(m,6H), 2.15(s,3H)

³⁵ Example 16: 7-(4-aminopiperidin-1-yl)-6-nitro-4-[2-(phenyl)ethylamino]quinazoline (compound 16)

[0219] Using the compound obtained in Reference example 6 as starting material, the title compound was obtained according to the same method as Example 8.

⁴⁰ ¹H-NMR(DMSO-d₆) δ(ppm): 8.82(s,1H), 8.59-8.57(br.-t,1H), 8.40(s,1H), 7.37-7.30(m,5H), 7.20(s,1H), 3.73-3.70(m, 2H), 3.30-3.27(m,3H), 2.98-2.94(m,5H), 1.85-1.80(m,3H), 1.35-1.32 (m,2H)

Example 17: 7-(4-aminomethyl(piperidin-1-yl)-6-nitro-4-[2-(phenyl)ethylamino]quinazoline (compound 17)

⁴⁵ [0220] Using the compound obtained in Reference example 6 as starting material, the title compound was obtained according to the same method as Example 9.

¹H-NMR(DMSO-d₆) δ(ppm): 8.79(s,1H), 8.59-8.57(br.-t,1H) 8.33(s,1H), 7.35-7.28(m,5H), 7.20(s,1H), 3.75 (dt,2H, J=7.2,5.7Hz), 3.25-3.22(m,2H), 2.98(t,2H,J=7.2Hz), 2.84-2.80(m,2H), 2.52-2.47(m,2H), 1.78-1.74(m,2H), 1.60-1.55 (m,5H)

⁵⁰ Example 18: 4-(benzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 18)

[0221] Using the compound obtained in Reference example 7 as starting material, the title compound was obtained according to the same method as Example 1.

⁵⁵ ¹H-NMR(CDCl₃) δ(ppm): 9.05-8.99(m,2H), 8.46(s,1H), 7.39-7.24(m,6H), 4.79(d,2H,J=5.4Hz), 3.14-3.08(m,8H), 2.69-2.63(m,1H)

Example 19: 4-(benzylamino)-7-(homopiperazin-1-yl)-6-nitroquinazoline (compound 19)

[0222] Using the compound obtained in Reference example 7 as starting material, the title compound was obtained according to the same method as Example 2.

⁵ ¹H-NMR(DMSO-d₆) δ(ppm): 8.57(s,1H), 8.10(s,1H), 7.41-7.28 (m,6H), 5.77-5.75(br.-t,1H), 4.78(d,2H,J=5.5Hz), 3.55-3.49 (m,2H), 3.39-3.35(m,3H), 3.10-3.05(m,2H), 3.00-2.95(m,2H), 2.01-1.93(m,2H)

Example 20: 4-(benzylamino)-7-(4-methylpiperazin-1-yl)-6-nitroquinazoline (compound 20)

¹⁰ [0223] Using the compound obtained in Reference example 7 as starting material, the title compound was obtained according to the same method as Example 3.

¹H-NMR(DMSO-d₆) δ(ppm): 9.00-8.98(br.-t,1H), 8.97(s,1H), 8.47(s,1H), 7.40-7.28(m,6H), 4.80(d,2H,J=5.6Hz), 3.15-3.12(m,4H), 3.00-2.96(m,4H), 2.24(s,3H)

¹⁵ Example 21: 4-(benzylamino)-7-[4-(2-hydroxyethyl) piperazin-1-yl]-6-nitroquinazoline (compound 21)

[0224] Using the compound obtained in Reference example 7 as starting material, the title compound was obtained according to the same method as Example 5.

²⁰ ¹H-NMR(DMSO-d₆) δ(ppm): 9.02-8.99(m,2H), 8.50(s,1H), 7.39-7.28(m,6H), 4.78(d,2H,J=5.4Hz), 4.50(br.-t,1H), 3.50-3.47 (m,2H), 3.08-3.05(m,4H), 2.51-2.44(m,6H)

Example 22: 4-(benzylamino)-7-(4-formylpiperazin-1-yl)-6-nitroquinazoline (compound 22)

²⁵ [0225] Using the compound obtained in Reference example 7 as starting material, the title compound was obtained according to the same method as Example 6.

¹H-NMR(CDCl₃) δ(ppm): 8.98-8.96(br.-t,1H), 8.93(s,1H), 8.37(s,1H), 7.46-7.37(m,7H), 4.68(d,2H,J=5.5Hz), 3.15-3.11 (m,4H), 3.04-3.00(m,4H)

³⁰ Example 23: 7-(4-acetylpirazin-1-yl)-4-(benzylamino)-6-nitroquinazoline (compound 23)

[0226] Using the compound obtained in Reference example 7 as starting material, the title compound was obtained according to the same method as Example 7.

¹H-NMR(CDCl₃) δ(ppm): 8.95-8.93(br.-t,1H), 8.91(s,1H), 8.50(s,1H), 7.38-7.29(m,6H), 4.74(d,2H,J=5.6Hz), 3.17-3.12 (m,4H), 3.06-3.02(m,4H), 2.23(s,3H)

³⁵ Example 24: 7-(4-aminopiperidin-1-yl)-4-(benzylamino)-6-nitroquinazoline (compound 24)

[0227] Using the compound obtained in Reference example 7 as starting material, the title compound was obtained according to the same method as Example 8.

⁴⁰ ¹H-NMR(DMSO-d₆) δ(ppm): 9.02-8.97(m,2H), 8.51(s,1H), 7.42-7.31(m,6H), 4.74(d,2H,J=5.4Hz), 3.30-3.27(m,3H), 2.95-2.90 (m,3H), 1.82-1.78(m,3H), 1.32-1.28(m,2H)

Example 25: 4-(4-fluorobenzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 25)

⁴⁵ [0228] Using the compound obtained in Reference example 8 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.93(s,1H), 8.82(br.-t,1H), 8.51(s,1H), 7.42-7.37(m,2H), 7.22(s,1H), 7.05-6.98(m,2H), 4.78 (d,2H, J=5.1Hz), 3.09-3.07(m,4H), 3.04-3.02(m,5H)

⁵⁰ Example 26: 4-(4-fluorobenzylamino)-7-(homopiperazin-1-yl)-6-nitroquinazoline (compound 26)

[0229] Using the compound obtained in Reference example 8 as starting material, the title compound was obtained according to the same method as Example 2.

⁵⁵ ¹H-NMR(CDCl₃) δ(ppm): 8.90(s,1H), 8.79-8.77(br.-t,1H), 8.49(s,1H), 7.37-7.32(m,4H), 7.29(s,1H), 4.76(d,2H, J=5.3Hz), 3.55-3.51(m,2H), 3.47-3.44(m,3H), 3.15-3.09(m,2H), 3.01-2.94(m,2H), 2.08-2.00(m,2H)

Example 27: 4-(4-fluorobenzylamino)-7-(4-methylpiperazin-1-yl)-6-nitroquinazoline (compound 27)

[0230] Using the compound obtained in Reference example 8 as starting material, the title compound was obtained according to the same method as Example 3.

⁵ ¹H-NMR(CDCl₃) δ(ppm): 8.96(s,1H), 8.88-8.86(br.-t,1H), 8.47(s,1H), 7.43-7.39(m,3H), 7.08-7.03(m,2H), 4.80(d,2H, J=5.3Hz), 3.12-3.10(m,4H), 3.07-3.05(m,4H), 2.26(s,3H)

Example 28: 7-(4-ethylpiperazin-1-yl)-4-(4-fluorobenzylamino)-6-nitroquinazoline (compound 28)

¹⁰ [0231] Using the compound obtained in Reference example 8 as starting material, the title compound was obtained according to the same method as Example 4.

¹H-NMR(CDCl₃) δ(ppm): 8.92(s,1H), 8.80-8.78(br.-t,1H), 8.45(s,1H), 7.40-7.37(m,3H), 7.10-7.05(m,2H), 4.81(d,2H, J=5.4Hz), 3.19-3.16(m,4H), 3.06(t,2H,J=6.8Hz), 2.71-2.68(m,4H), 1.18(t,3H,J=6.8Hz)

¹⁵ Example 29: 4-(4-fluorobenzylamino)-7-(4-formylpiperazin-1-yl)-6-nitroquinazoline (compound 29)

[0232] Using the compound obtained in Reference example 8 as starting material, the title compound was obtained according to the same method as Example 6.

²⁰ ¹H-NMR(CDCl₃) δ(ppm): 8.95(s,1H), 8.82-8.79(br.-t,1H), 8.50(s,1H), 7.40-7.36(m,3H), 7.23(s,1H), 7.08-7.04(m,2H), 4.74(d,2H, J=5.5Hz), 3.15-3.12(m,4H), 3.09-3.07(m,4H)

Example 30: 7-(4-aminopiperidin-1-yl)-4-(4-fluorobenzylamino)-6-nitroquinazoline (compound 30)

²⁵ [0233] Using the compound obtained in Reference example 8 as starting material, the title compound was obtained according to the same method as Example 8.

¹H-NMR(DMSO-d₆) δ(ppm): 9.00-8.98(m,2H), 8.49(s,1H), 7.40-7.28(m,4H), 7.15 (s,1H), 4.76(d,2H, J=5.2Hz), 3.33-3.29(m,3H), 2.97-2.92(m,3H), 1.84-1.80(m,3H), 1.34-1.30 (m,2H)

³⁰ Example 31: 4-[3,4-(methylenedioxy)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 31)

[0234] Using the compound obtained in Reference example 9 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.96-8.94(m,2H), 8.46(s,1H), 7.22 (s,1H), 6.91-6.77(m,3H), 5.95(s,2H), 4.68(d,2H, J=5.4Hz), 3.08-3.06(m,4H), 3.03-3.00(m,5H)

³⁵ Example 32: 7-(homopiperazin-1-yl)-4-[3,4-(methylenedioxy)benzylamino]-6-nitroquinazoline (compound 32)

[0235] Using the compound obtained in Reference example 9 as starting material, the title compound was obtained according to the same method as Example 2.

⁴⁰ ¹H-NMR(CDCl₃) δ(ppm): 8.59(s,1H), 8.08(s,1H), 7.29(s,1H), 6.88-6.79(m,3H), 5.98(s,2H), 5.75-5.73(br.-t,1H), 4.74(d, 2H, J=5.4Hz), 3.54-3.50(m,2H), 3.41-3.37(m,2H), 3.10-3.06(m,3H), 2.97-2.93(m,2H), 1.98-1.90(m,2H)

Example 33: 7-(4-methylpiperazin-1-yl)-4-[3,4-(methylenedioxy)benzylamino]-6-nitroquinazoline (compound 33)

⁴⁵ [0236] Using the compound obtained in Reference example 9 as starting material, the title compound was obtained according to the same method as Example 3.

¹H-NMR(DMSO-d₆) δ(ppm): 9.04-9.02(br.-t,1H), 9.00(s,1H), 8.47(s,1H), 7.24(s,1H), 6.94(s,1H), 6.88-6.85(m,2H), 5.97 (s,2H), 4.65(d,2H, J=5.9Hz), 3.11-3.06(m,4H), 2.65-2.59(m,4H), 2.32(s,3H)

⁵⁰ Example 34: 7-(4-ethylpiperazin-1-yl)-4-[3,4-(methylenedioxy)benzylamino]-6-nitroquinazoline (compound 34)

[0237] Using the compound obtained in Reference example 9 as starting material, the title compound was obtained according to the same method as Example 4.

⁵⁵ ¹H-NMR(CDCl₃) δ(ppm): 8.63(s,1H), 8.12(s,1H), 7.31(s,1H), 6.90-6.87(m,3H), 6.01(s,2H), 5.81-5.79(br.-t,1H), 4.77(d, 2H, J=5.5Hz), 3.22-3.19(m,4H), 3.10(t,2H,J=7.0Hz), 2.83-2.79 (m,4H), 1.20(t,3H,J=7.0Hz)

Example 35: 7-(4-formylpiperazin-1-yl)-4-[3,4-(methylenedioxy)benzylamino]-6-nitroquinazoline (compound 35)

[0238] Using the compound obtained in Reference example 9 as starting material, the title compound was obtained according to the same method as Example 6.

⁵ ¹H-NMR(CDCl₃) δ(ppm): 8.60(s,1H), 8.15(s,1H), 7.31-7.29(m,2H), 6.88-6.85(m,3H), 6.10(s,2H), 5.79-5.77(br.-t,1H), 4.75(d,2H, J=5.3Hz), 3.23-3.20(m,4H), 2.87-2.83(m,4H)

Example 36: 7-(4-aminopiperidin-1-yl)-4-[3,4-(methylenedioxy)benzylamino]-6-nitroquinazoline (compound 36)

¹⁰ [0239] Using the compound obtained in Reference example 9 as starting material, the title compound was obtained according to the same method as Example 8.

¹H-NMR(DMSO-d₆) δ(ppm): 8.98-8.95(m,2H), 8.47(s,1H), 7.25 (s,1H), 6.89-6.78(m,3H), 5.97(s,2H), 4.70(d,2H, J=5.4Hz), 3.28-3.25(m,3H), 2.96-2.92(m,3H), 1.82-1.77(m,3H), 1.32-1.27(m,2H)

¹⁵ Example 37: 4-[2-(4-fluorophenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 37)

[0240] Using the compound obtained in Reference example 34 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 9.00(s,1H), 8.81-8.79(br.-t,1H), 8.50(s,1H), 7.32(s,1H), 7.30-7.27(m,2H), 7.14-7.08(m, 2H) 3.74(dt,2H, J=7.2,5.8Hz), 3.21-3.19(m,5H), 2.95-2.90(m,6H)

Example 38: 4-[2-(4-fluorophenyl)ethylamino]-7-(homopiperazin-1-yl)-6-nitroquinazoline (compound 38)

[0241] Using the compound obtained in Reference example 34 as starting material, the title compound was obtained according to the same method as Example 2.

¹H-NMR(DMSO-d₆) δ(ppm): 8.89(s,1H), 8.72-8.70(br.-t,1H), 8.47(s,1H), 7.33-7.28(m,3H), 7.16-7.10(m,2H) 3.80(dt, 2H, J=7.0,5.7Hz), 3.35-3.32(m,2H), 3.07-2.99(m,9H), 2.00-1.97(m,2H)

³⁰ Example 39: 4-[2-(4-fluorophenyl)ethylamino]-7-(4-methylpiperazin-1-yl)-6-nitroquinazoline (compound 39)

[0242] Using the compound obtained in Reference example 34 as starting material, the title compound was obtained according to the same method as Example 3.

¹H-NMR(DMSO-d₆) δ(ppm): 8.97(s,1H), 8.83-8.80(br.-t,1H), 8.56(s,1H), 7.34(s,1H), 7.33-7.30(m,2H), 7.16-7.13(m, 2H) 3.77(dt,2H, J=7.0,5.7Hz), 3.17-3.14(m,4H), 3.02-2.96(m,6H), 2.21(s,3H)

³⁵ Example 40: 4-[2-(4-fluorophenyl)ethylamino]-7-(4-formylpiperazin-1-yl)-6-nitroquinazoline (compound 40)

[0243] Using the compound obtained in Reference example 34 as starting material, the title compound was obtained according to the same method as Example 6.

⁴⁰ ¹H-NMR(DMSO-d₆) δ(ppm): 9.01(s,1H), 8.83-8.81(br.-t,1H), 8.47(s,1H), 7.35(s,1H), 7.31-7.28(m,3H), 7.15-7.09(m, 2H) 3.76(dt,2H, J=7.3,5.5Hz), 3.19-3.15(m,4H), 2.97-2.92(m,6H)

Example 41: 7-(4-aminopiperidin-1-yl)-4-[2-(4-fluorophenyl)ethylamino]-6-nitroquinazoline (compound 41)

⁴⁵ [0244] Using the compound obtained in Reference example 34 as starting material, the title compound was obtained according to the same method as Example 8.

¹H-NMR(DMSO-d₆) δ(ppm): 8.97(s,1H), 8.77-8.75(br.-t,1H), 8.49(s,1H), 7.33(s,1H), 7.33-7.30(m,2H), 7.17-7.14(m, 2H) 3.78(dt,2H, J=7.0,5.5Hz), 3.31-3.29(m,3H), 2.95-2.91(m,5H), 1.84-1.80(m,3H), 1.34-1.30(m,2H)

⁵⁰ Example 42: 6-nitro-7-(piperazin-1-yl)-4-[2-(2-thienyl)ethylamino]quinazoline (compound 42)

[0245] Using the compound obtained in Reference example 16 as starting material, the title compound was obtained according to the same method as Example 1.

⁵⁵ ¹H-NMR(CDCl₃) δ(ppm): 8.63(s,1H), 8.12(s,1H), 7.32(s,1H), 7.21(d,1H,J=5.4Hz), 6.99(dd,1H,J=5.4,3.0Hz), 6.89(d, 1H, J=3.0Hz), 5.88-5.86(br.-t,1H), 3.96(dt,2H, J=6.3,5.8Hz), 3.26(d,2H,J=6.3Hz), 3.12-3.10(m,4H), 3.07-3.04(m,5H)

Example 43: 7-(homopiperazin-1-yl)-6-nitro-4-[2-(2-thienyl)ethylamino]quinazoline (compound 43)

[0246] Using the compound obtained in Reference example 16 as starting material, the title compound was obtained according to the same method as Example 2.

5 ¹H-NMR(CDCl₃) δ(ppm): 8.67(s,1H), 8.14(s,1H), 7.28(s,1H), 7.19(d,1H,J=5.4Hz), 7.02(dd,1H,J=5.4,3.2Hz), 6.90 (d, 1H,J=3.0Hz), 5.86-5.84(br.-t,1H), 3.92(dt,2H,J=6.2,5.6Hz), 3.50-3.46(m,2H), 3.43-3.39(m,3H), 3.23(d,2H,J=6.2Hz), 3.15-3.12(m,2H), 3.04-3.00(m,2H), 2.05-2.02(m,2H)

Example 44: 7-(4-methylpiperazin-1-yl)-6-nitro-4-[2-(2-thienyl)ethylamino]quinazoline (compound 44)

10 [0247] Using the compound obtained in Reference example 16 as starting material, the title compound was obtained according to the same method as Example 3.

15 ¹H-NMR(CDCl₃) δ(ppm): 8.69(s,1H), 8.13(s,1H), 7.32(s,1H), 7.20(d,1H,J=5.3Hz), 7.00(dd,1H,J=5.3,3.0Hz), 6.90(d, 1H, J=3.0Hz), 5.93-5.91(br.-t,1H), 3.95(dt,2H, J=6.5,5.5Hz), 3.25(d,2H,J=6.5Hz), 3.13-3.10(m,4H), 3.08-3.05(m,4H), 2.40(s,3H)

Example 45: 7-(4-ethylpiperazin-1-yl)-6-nitro-4-[2-(2-thienyl)ethylamino]quinazoline (compound 45)

20 [0248] Using the compound obtained in Reference example 16 as starting material, the title compound was obtained according to the same method as Example 4.

25 ¹H-NMR(CDCl₃) δ(ppm): 8.72(s,1H), 8.15(s,1H), 7.28(s,1H), 7.18(d,1H,J=5.4Hz), 7.05(dd,1H,J=5.4,3.2Hz), 6.94(d, 1H, J=3.2Hz), 5.96-5.94(br.-t,1H), 3.92-3.89(m,2H), 3.31-3.29 (m,2H), 3.15-3.12(m,4H), 3.04-3.01(m,4H), 2.67(q,2H, J=7.1Hz), 1.10(t,3H,J=7.1 Hz)

30 Example 46: 7-(4-formylpiperazin-1-yl)-6-nitro-4-[2-(2-thienyl)ethylamino]quinazoline (compound 46)

[0249] Using the compound obtained in Reference example 16 as starting material, the title compound was obtained according to the same method as Example 6.

35 ¹H-NMR(CDCl₃) δ(ppm): 8.70(s,1H), 8.15(s,1H), 7.35(s,1H), 7.18-7.20(m,2H), 7.10-6.98(m,2H), 5.94-5.92(br.-t,1H), 3.97(dt,2H,J=6.5,5.5Hz), 3.26(d,2H,J=6.5Hz), 3.14-3.12(m,4H), 3.07-3.03(m,4H)

Example 47: 7-(4-aminopiperidin-1-yl)-6-nitro-4-[2-(2-thienyl)ethylamino]quinazoline (compound 47)

35 [0250] Using the compound obtained in Reference example 16 as starting material, the title compound was obtained according to the same method as Example 8.

40 ¹H-NMR(CDCl₃) δ(ppm): 8.68(5,1H), 8.16(s,1H), 7.31(s,1H), 7.18(d,1H,J=5.5Hz), 7.05(dd,1H,J=5.5,3.0Hz), 6.93(d,1H, J=3.0Hz), 5.90-5.88(br.-t,1H), 3.94(dt,2H, J=6.3,5.3Hz), 3.35-3.30(m,3H), 3.27 (d,2H,J=6.3Hz), 2.98-2.95(m,3H), 1.84-1.80(m,3H), 1.35-1.31(m,2H)

45 Example 48: 6-nitro-7-(piperazin-1-yl)-4-[(2-thienyl)methylamino]quinazoline (compound 48)

[0251] Using the compound obtained in Reference example 15 as starting material, the title compound was obtained according to the same method as Example 1.

50 ¹H-NMR(CDCl₃) δ(ppm): 8.68(s,1H), 8.18(s,1H), 7.32(s,1H), 7.20(d,1H,J=5.5Hz), 7.07(dd,1H,J=5.5,3.4Hz), 6.91(d, 1H, J=3.4Hz), 5.90-5.88(br.-t, 1H), 4.92(d,2H,J=5.3Hz), 3.15-3.12(m,5H), 3.09-3.06(m,4H)

Example 49: 7-(homopiperazin-1-yl)-6-nitro-4-[(2-thienyl)methylamino]quinazoline (compound 49)

55 [0252] Using the compound obtained in Reference example 15 as starting material, the title compound was obtained according to the same method as Example 2.

60 ¹H-NMR(CDCl₃) δ(ppm): 8.71(s,1H), 8.14(s,1H), 7.29(s,1H), 7.22(d,1H,J=5.4Hz), 7.10(dd,1H,J=5.4,3.2Hz), 6.85(d, 1H, J=3.2Hz), 5.87-5.85(br.-t,1H), 4.88(d,2H,J=5.5Hz), 3.60-3.56(m,2H), 3.52-3.48(m,3H), 3.18-3.14(m,2H), 3.06-3.02 (m,2H), 2.00-1.96(m,2H)

55 Example 50: 7-(4-methylpiperazin-1-yl)-6-nitro-4-[(2-thienyl)methylamino]quinazoline (compound 50)

[0253] Using the compound obtained in Reference example 15 as starting material, the title compound was obtained according to the same method as Example 3.

¹H-NMR(CDCl₃) δ(ppm): 8.66(s,1H), 8.19(s,1H), 7.35(s,1H), 7.18(d,1H,J=5.4Hz), 7.10(dd,1H,J=5.4,3.2Hz), 6.90(d,1H, J=3.2Hz), 5.80-5.78(br.-t,1H), 4.94(d,2H,J=5.7Hz), 3.21-3.18(m,4H), 3.08-3.05 (m,4H), 2.41(s,3H)

Example 51: 4-[(2-furyl)methylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 51)

[0254] Using the compound obtained in Reference example 17 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.66(s,1H), 8.22(s,1H), 7.43(s,1H), 7.33(s,1H), 6.38-6.36(m,2H), 5.93-5.91(br.-t,1H), 4.86 (d, 2H,J=5.1Hz), 3.13-3.11(m,4H), 3.07-3.05 (m,5H)

Example 52: 4-[(2-furyl)methylamino]-7-(homopiperazin-1-yl)-6-nitroquinazoline (compound 52)

[0255] Using the compound obtained in Reference example 17 as starting material, the title compound was obtained according to the same method as Example 2.

¹H-NMR(CDCl₃) δ(ppm): 8.68(s,1H), 8.20(s,1H), 7.44(s,1H), 7.31(s,1H), 6.36-6.33(m,2H), 5.96-5.94(br.-t,1H), 4.90 (d, 2H,J=5.3Hz), 3.68-3.65(m,2H), 3.62-3.57(m,2H), 3.24-3.20(m,3H), 3.12-3.07 (m,2H), 2.10-2.06(m,2H)

Example 53: 4-[(2-furyl)methylamino]-7-(4-methylpiperazin-1-yl)-6-nitroquinazoline (compound 53)

[0256] Using the compound obtained in Reference example 17 as starting material, the title compound was obtained according to the same method as Example 3.

¹H-NMR(CDCl₃) δ(ppm): 8.70(s,1H), 8.25(s,1H), 7.47(s,1H), 7.30(s,1H), 6.41-6.38(m,2H), 5.96-5.93(br.-t,1H), 4.90 (d, 2H,J=5.5Hz), 3.15-3.12(m,4H), 3.07-3.03(m,4H), 2.37(s,3H)

Example 54: 6-nitro-4-[3-(phenyl)propylamino]-7-(piperazin-1-yl)quinazoline (compound 54)

[0257] Using the compound obtained in Reference example 10 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.58(s,1H), 7.79(s,1H), 7.34-7.19(m,6H), 5.49-5.47(br.-t,1H), 3.73(dt,2H,J=6.2,6.0Hz), 3.11-3.09 (m,4H), 3.06-3.02(m,5H), 2.81(t,2H,J=7.4Hz), 2.11 (tt,2H, J=7.4,6.0Hz)

Example 55: 6-nitro-7-(piperazin-1-yl)-4-[(2-pyridyl)methylamino]quinazoline (compound 55)

[0258] Using the compound obtained in Reference example 11 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.68-8.65(m,2H), 8.65-8.62(br.-t,1H), 8.55(s,1H), 8.20(s,1H), 7.53-7.49(m,2H), 7.30(s,1H), 5.08(d,2H,J=5.5Hz), 3.10-3.06(m,5H), 2.99-2.96(m,4H)

Example 56: 6-nitro-7-(piperazin-1-yl)-4-[2-(2-pyridyl)ethylamino]quinazoline (compound 56)

[0259] Using the compound obtained in Reference example 12 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.93(s,1H), 8.805-8.76(br.-t,1H), 8.52-8.49(m,2H), 7.73-7.67(m,1H), 7.31-7.20(m,3H), 3.89(dt,2H,J=7.0,5.7Hz), 3.16-3.89(m,11H)

Example 57: 6-nitro-7-(piperazin-1-yl)-4-[2-(3-pyridyl)ethylamino]quinazoline (compound 57)

[0260] Using the compound obtained in Reference example 13 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.86(s,1H), 8.69-8.67(br.-t,1H), 8.46-8.40(m,3H), 7.69-7.66(m,1H), 7.33-7.29(m,1H), 7.18 (s,1H), 3.77(dt,2H,J=7.1,5.9Hz), 3.03-2.95(m,6H), 2.87-2.83(m,5H)

Example 58: 6-nitro-7-(piperazin-1-yl)-4-[2-(4-pyridyl)ethylamino]quinazoline (compound 58)

[0261] Using the compound obtained in Reference example 14 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.81(s,1H), 8.65-8.61(br.-t,1H), 8.47-8.45(m,3H), 7.29-7.27(m,1H), 7.17(s,1H), 3.79(dt, 2H, J=7.1,5.7Hz), 3.00-2.96(m,6H), 2.82-2.79(m,5H)

Example 59: 4-[(1-naphthyl)methylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 59)

[0262] Using the compound obtained in Reference example 18 as starting material, the title compound was obtained according to the same method as Example 1.

5 ¹H-NMR(DMSO-d₆) δ(ppm): 9.11-9.09(br.-t,1H), 9.03(s,1H), 8.51(s,1H), 8.16-8.13(m,1H), 7.99-7.96(m,1H), 7.89-7.87(m,2H), 7.58-7.47(m,4H), 5.23(d,2H,J=4.9Hz), 3.00-3.03 (m,5H), 2.98-2.95(m,4H)

Example 60: 4-(4-chlorobenzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 60)

10 [0263] Using the compound obtained in Reference example 19 as starting material, the title compound was obtained according to the same method as Example 1.

1H-NMR(DMSO-d₆) δ(ppm): 9.13-9.10(br.-t,1H), 8.95(s,1H), 8.46(s,1H), 7.38(m,4H), 7.24(s,1H), 4.74(d,2H,J=5.7Hz), 3.08-3.06(m,4H), 2.95-2.93(m,4H), 2.50(br.-s,1H)

15 Example 61: 4-(2-fluorobenzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 61)

[0264] Using the compound obtained in Reference example 20 as starting material, the title compound was obtained according to the same method as Example 1.

20 1H-NMR(CDCl₃) δ(ppm): 8.90(s,1H), 8.84-8.82(br.-t,1H), 8.47(s,1H), 7.40-7.36(m,3H), 7.06-7.03(m,2H), 4.80(d,2H, J=5.2Hz), 3.10-3.05(m,5H), 3.02-3.00(m,4H)

Example 62: 4-(3-fluorobenzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 62)

25 [0265] Using the compound obtained in Reference example 21 as starting material, the title compound was obtained according to the same method as Example 1.

1H-NMR(CDCl₃) δ(ppm): 8.92(s,1H), 8.82-8.80(br.-t,1H), 8.50(s,1H), 7.39-7.35(m,3H), 7.10-7.07(m,2H), 4.84(d,2H, J=5.2Hz), 3.11-3.07(m,5H), 3.04-3.00(m,4H)

30 Example 63: 6-nitro-7-(piperazin-1-yl)-4-[4-(1,1,1-trifluoromethyl)benzylamino]quinazoline (compound 63)

[0266] Using the compound obtained in Reference example 22 as starting material, the title compound was obtained according to the same method as Example 1.

1H-NMR(DMSO-d₆) δ(ppm): 9.08-9.06(br.-t,1H), 8.91(s,1H), 8.43(s,1H), 7.63-7.55(m,4H), 7.19(s,1H), 4.85(d,2H, J=5.4Hz), 3.04-3.02(m,4H), 2.92-2.88(m,5H)

35 Example 64: 4-(4-methylbenzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 64)

[0267] Using the compound obtained in Reference example 23 as starting material, the title compound was obtained according to the same method as Example 1.

40 1H-NMR(DMSO-d₆) δ(ppm): 9.02-8.99(m,2H), 8.46(s,1H), 7.27-7.25(m,3H), 7.14-7.11(m,2H), 4.74(d,2H, J=5.9Hz), 3.12-3.10 (m,4H), 3.04-3.01(m,5H), 2.30(s,3H)

Example 65: 4-(2-methoxybenzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 65)

45 [0268] Using the compound obtained in Reference example 24 as starting material, the title compound was obtained according to the same method as Example 1.

1H-NMR(DMSO-d₆) δ(ppm): 8.97(s,1H), 8.73-8.71(br.-t,1H), 8.41(s,1H), 7.25-7.17(m,3H), 6.94-6.80(m,2H), 4.74(d, 2H, J=5.4Hz), 3.85(s,3H), 3.04-3.02(m,4H), 2.94-2.91(m,5H)

50 Example 66: 4-(3-methoxybenzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 66)

[0269] Using the compound obtained in Reference example 25 as starting material, the title compound was obtained according to the same method as Example 1.

55 1H-NMR(DMSO-d₆) δ(ppm): 9.04(t,1H,J=5.4Hz), 8.98(s,1H), 8.46(s,1H), 7.25-7.23(m,2H), 6.95-6.93(m,2H), 6.82-6.79(m,1H), 4.75(d,2H, J=5.4Hz), 3.75(s,3H), 3.14-3.12(m,4H), 3.04-3.01(m,5H)

Example 67: 4-(4-methoxybenzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 67)

[0270] Using the compound obtained in Reference example 26 as starting material, the title compound was obtained according to the same method as Example 1.

⁵ ¹H-NMR(DMSO-d₆) δ(ppm): 9.05-9.03(br.-t,1H), 8.96(s,1H), 8.47(s,1H), 7.31-7.28(m,2H), 7.23(s,1H), 6.90-6.87(m, 2H), 4.68(d,2H, J=5.4Hz), 3.72(s,3H), 3.09-3.07(m,4H), 2.97-2.95(m,4H), 2.43(br.-s,1H)

Example 68: 4-(3,4-dimethoxymethylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 68)

¹⁰ [0271] Using the compound obtained in Reference example 27 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.66(s,1H), 8.20(s,1H), 7.33(s,1H), 6.98-6.86(m,3H), 5.90-5.89(br.-t,1H), 4.78(d,2H, J=5.4Hz), 3.89(s,3H), 3.88(s,3H), 3.12-3.10(m,5H), 3.06-3.04(m,4H)

¹⁵ Example 69: 6-nitro-7-(piperazin-1-yl)-4-(3,4,5-trimethoxymethylamino)quinazoline (compound 69)

[0272] Using the compound obtained in Reference example 28 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.66(s,1H), 8.25(s,1H), 7.33(s,1H), 6.61(s,2H), 5.98-5.96(br.-t,1H), 4.77(d,2H, J=5.4Hz), ²⁰ 3.86(s,3H), 3.85(s,6H), 3.13-3.11(m,5H), 3.07-3.05(m,4H)

Example 70: 4-[2-(2-chlorophenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 70)

²⁵ [0273] Using the compound obtained in Reference example 29 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.88(s,1H), 8.74-8.70(br.-t,1H), 8.48(s,1H), 7.45-7.22(m,5H), 3.77(dt,2H,J=7.1,5.7Hz), 3.11-3.06(m,6H), 2.97-2.93(m,5H)

³⁰ Example 71: 4-[2-(3-chlorophenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 71)

[0274] Using the compound obtained in Reference example 30 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.86(s,1H), 8.68-8.66(br.-t,1H), 8.49(s,1H), 7.35-7.18(m,5H), 3.75(dt,2H,J=7.0,5.7Hz), ³⁵ 3.01-2.94(m,6H), 2.86-2.75(m,5H)

Example 72: 4-[2-(4-bromophenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 72)

[0275] Using the compound obtained in Reference example 31 as starting material, the title compound was obtained according to the same method as Example 1.

⁴⁰ ¹H-NMR(DMSO-d₆) δ(ppm): 8.83(s,1H), 8.62-8.60(br.-t,1H), 8.46(s,1H), 7.49-7.46(m,2H), 7.24-7.21(m,2H), 7.16(s, 1H), 3.73(dt,2H, J=6.8,5.7Hz), 3.00-2.90(m,6H), 2.82-2.79(m,5H)

Example 73: 4-[2-(2-fluorophenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 73)

⁴⁵ [0276] Using the compound obtained in Reference example 32 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.88(s,1H), 8.78-8.77(br.-t,1H), 8.48(s,1H), 7.32-7.27(m,3H), 7.13-7.09(m,2H), 3.77-3.75 (m,2H), 3.19-3.17(m,5H), 2.98-2.96(m,6H)

⁵⁰ Example 74: 4-[2-(3-fluorophenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 74)

[0277] Using the compound obtained in Reference example 33 as starting material, the title compound was obtained according to the same method as Example 1.

⁵⁵ ¹H-NMR(DMSO-d₆) δ(ppm): 8.86(s,1H), 8.74-8.72(br.-t,1H), 8.50(s,1H), 7.34-7.30(m,3H), 7.15-7.09(m,2H), 3.77-3.75 (m,2H), 3.20-3.15(m,6H), 3.04-3.08(m,5H)

Example 75: 4-[2-(4-methylphenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 75)

[0278] Using the compound obtained in Reference example 35 as starting material, the title compound was obtained according to the same method as Example 1.

⁵ ¹H-NMR(DMSO-d₆) δ(ppm): 8.87(s,1H), 8.68-8.66(br.-t,1H), 8.47(s,1H), 7.18-7.08(m,5H), 3.71 (dt,2H,J=7.0,5.8Hz), 3.03-3.00(m,4H), 2.93-2.87(m,7H), 2.26(s,3H)

Example 76: 4-[2-(2-methoxyphenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 76)

¹⁰ [0279] Using the compound obtained in Reference example 36 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.90(s,1H), 8.75-8.73(br.-t,1H), 8.37(s,1H), 7.24-7.17(m,3H), 6.95-6.82(m,2H), 3.85(s,3H), 3.62-3.57(m,2H), 3.12-3.04(m,7H), 3.00-2.96(m,4H)

Example 77: 4-[2-(3-methoxyphenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 77)

[0280] Using the compound obtained in Reference example 37 as starting material, the title compound was obtained according to the same method as Example 1.

²⁰ ¹H-NMR(DMSO-d₆) δ(ppm): 9.00-8.97(br.-t,1H), 8.96(s,1H), 8.43(s,1H), 7.27-7.24(m,2H), 6.98-6.95(m,2H), 6.84-6.80(m,1H), 3.87(s,3H), 3.58-3.54(m,2H), 3.10-3.04(m,7H), 2.99-2.96(m,4H)

Example 78: 4-[2-(4-methoxyphenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 78)

[0281] Using the compound obtained in Reference example 38 as starting material, the title compound was obtained according to the same method as Example 1.

²⁵ ¹H-NMR(DMSO-d₆) δ(ppm): 8.85(s,1H), 8.65-8.61(br.-t,1H), 8.47(s,1H), 7.26-7.16(m,3H), 6.91-6.84(m,2H), 3.73-3.66(m,5H), 3.00-2.98(m,4H), 2.97-2.81(m,7H)

Example 79: 4-[2-(3,4-dimethoxyphenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 79)

[0282] Using the compound obtained in Reference example 39 as starting material, the title compound was obtained according to the same method as Example 1.

³⁰ ¹H-NMR(DMSO-d₆) δ(ppm): 8.89(s,1H), 8.65-8.63(br.-t,1H), 8.47(s,1H), 7.18(s,1H), 6.87-6.74(m,4H), 3.70-3.65(m,8H), 3.02-2.87(m,10H)

Example 80: 4-[2-(4-hydroxyphenyl)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 80)

[0283] Using the compound obtained in Reference example 40 as starting material, the title compound was obtained according to the same method as Example 1.

⁴⁰ ¹H-NMR(DMSO-d₆) δ(ppm): 9.19(s,1H), 8.87(s,1H), 8.67-8.63 (br.-t,1H), 8.47(s,1H), 7.19(s,1H), 7.06-7.03(m,2H), 6.69-6.66(m,2H), 3.67(dt,2H,J=7.0,5.7Hz), 3.05-3.02(m,6H), 2.85-2.80(m,5H)

Example 81: 4-[2-(4-anilino)ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 81)

⁴⁵ [0284] Using the compound obtained in Reference example 41 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.97(s,1H), 8.74-8.72(br.-t,1H), 8.49(s,1H), 7.28(s,1H), 6.92-6.88(m,2H), 6.51-6.48(m,2H), 4.89(br.-s,2H), 3.63(dt,2H,J=7.1,5.8Hz), 3.33-3.14(m,9H), 2.76(t,2H,J=7.1Hz)

Example 82: 4-(2-methyl-2-phenylethylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 82)

[0285] Using the compound obtained in Reference example 42 as starting material, the title compound was obtained according to the same method as Example 1.

⁵⁰ ¹H-NMR(DMSO-d₆) δ(ppm): 8.62(s,1H), 7.93(s,1H), 7.40-7.28 (m,6H), 5.52-5.53(br.-t,1H), 4.09-4.00(m,1H), 3.66-3.56(m,1H), 3.23-3.15(m,1H), 3.10-3.08(m,4H), 3.07-3.03(m,5H), 1.89(s,3H)

Example 83: 4-(2,2-diphenylethylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 83)

[0286] Using the compound obtained in Reference example 43 as starting material, the title compound was obtained according to the same method as Example 1.

5 ¹H-NMR(DMSO-d₆) δ(ppm): 8.87(s,1H), 8.71-8.69(br.-t,1H), 8.54(s,1H), 7.35-7.16 (m,11H), 4.58(t,1H,J=7.6Hz), 4.20-4.16(m,2H), 3.18-3.15 (m,5H), 3.14-3.12(m,4H)

Example 84: 4-(N-methylbenzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 84)

10 [0287] Using the compound obtained in Reference example 44 as starting material, the title compound was obtained according to the same method as Example 1.

1H-NMR(CDCl₃) δ(ppm): 9.00-8.97(m,2H), 8.43(s,1H), 7.38-7.32 (m,5H), 4.77(s,2H), 3.12-3.08(m,5H), 3.05(s,3H), 3.00-2.96 (m,4H)

15 Example 85: 4-(dibenzylamino)-6-nitro-7-(piperazin-1-yl)quinazoline (compound 85)

[0288] Using the compound obtained in Reference example 45 as starting material, the title compound was obtained according to the same method as Example 1.

1H-NMR(CDCl₃) δ(ppm): 8.88(s,1H), 8.65(s,1H), 8.37(s,1H), 7.40-7.35 (m,10H), 4.80(s,4H), 3.15-3.10(m,5H), 3.02-2: 98 (m,4H)

Example 86: 4-[(1-benzyl-4-piperidyl)amino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 86)

25 [0289] Using the compound obtained in Reference example 46 as starting material, the title compound was obtained according to the same method as Example 1.

1H-NMR(CDCl₃) δ(ppm): 8.59(s,1H), 8.19(s,1H), 7.35-7.29 (m,6H), 5.51(d,1H,J=7.8Hz), 4.28-4.25(m,1H), 3.56(s,2H), 3.12-3.09 (m,4H), 3.07-3.04(m,5H), 2.94-2.90(m,2H), 2.28-2.10(m,4H), 1.72-1.68(m,2H)

30 Example 87: 4-[[1-(4-methoxybenzyl)-4-piperidyl]amino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 87)

[0290] Using the compound obtained in Reference example 50 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

1H-NMR(CDCl₃) δ(ppm): 8.59(s,1H), 8.10(s,1H), 7.31(s,1H), 7.20-7.15(m,2H), 6.92-6.88(m,2H), 5.54(d,1H,J=7.2Hz), 4.51-4.49(m,1H), 3.57(s,2H), 3.30(s,3H), 3.15-3.12(m,4H), 3.10-3.07(m,5H), 2.94-2.92(m,2H), 2.28-2.16(m,4H), 1.67-1.64 (m,2H)

Example 88: 4-[(6-nitro-7-piperazinylquinazolin-4-yl)amino]piperidinyl-phenylketone (compound 88)

40 [0291] Using the compound obtained in Reference example 52 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

1H-NMR(CDCl₃) δ(ppm): 8.58(s,1H), 8.05(s,1H), 7.43-7.37(m,6H), 5.57(d,1H,J=7.3Hz), 4.39-4.37(m,2H), 3.18-3.15 (m,4H), 3.12-3.09(m,4H), 2.96-2.94(m,2H), 2.25-2.13(m,4H), 1.62-1.59 (m,2H)

45 Example 89: 4-[(6-nitro-7-piperazinylquinazolin-4-yl)amino]piperidinyl-(1,3-dioxaindan-5-yl)ketone (compound 89)

[0292] Using the compound obtained in Reference example 54 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

1H-NMR(CDCl₃) δ(ppm): 8.57(s,1H), 7.95(s,1H), 7.32(s,1H), 6.90-6.87(m,3H), 5.97(s,2H), 5.60(d,1H,J=7.3Hz), 4.48-4.46(m,1H), 3.16-3.13(m,5H), 3.08-3.05(m,4H), 2.93-2.91 (m,2H), 2.26-2.14(m,4H), 1.68-1.65 (m,2H)

50 Example 90: 4-[(6-nitro-7-piperazinylquinazolin-4-yl)amino]piperidinyl-(3-pyridyl)ketone (compound 90)

[0293] Using the compound obtained in Reference example 56 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

55 1H-NMR(CDCl₃) δ(ppm): 8.67-8.65(m,2H), 8.57(s,1H), 7.96(s,1H), 7.75-7.72(m,1H), 7.39-7.34(m,2H), 5.48(d,1H, J=7.5Hz), 4.55-4.53(m,1H), 3.15-3.12(m,4H), 3.10-3.07(m,5H), 2.93-2.91 (m,2H), 2.30-2.18(m,4H), 1.70-1.67 (m,2H)

Example 91: N-phenyl-[4-[(6-nitro-7-piperazinylquinazolin-4-yl)amino]piperidinyl]formamide (compound 91)

[0294] Using the compound obtained in Reference example 58 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

⁵ ¹H-NMR(CDCl₃) δ(ppm): 8.57(s,1H), 8.10(s,1H), 7.40-7.30(m,6H), 6.48(s,1H), 5.55(d,1H,J=7.0Hz), 4.50-4.48(m,1H), 3.18-3.14 (m,5H), 3.12-3.08(m,4H), 2.97-2.95(m,2H), 2.32-2.22(m,4H), 1.68-1.65(m,2H)

Example 92: N-(4-fluorophenyl)-[4-[(6-nitro-7-piperazinylquinazolin-4-yl)amino]piperidinyl]formamide (compound 92).

¹⁰ [0295] Using the compound obtained in Reference example 60 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.60(s,1H), 8.00(s,1H), 7.38-7.32(m,3H), 7.13-7.10(m,2H), 6.52(s,1H), 5.58(d,1H,J=7.2Hz), 4.50-4.48(m,1H), 3.13-3.08(m,5H), 3.06-3.02(m,4H), 2.94-2.92 (m,2H), 2.33-2.21(m,4H), 1.70-1.68(m,2H)

¹⁵ Example 93: N-(4-methoxyphenyl)-[4-[(6-nitro-7-piperazinylquinazolin-4-yl)amino]piperidinyl]formamide (compound 93)

[0296] Using the compound obtained in Reference example 62 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

²⁰ ¹H-NMR(CDCl₃) δ(ppm): 8.60(s,1H), 8.15(s,1H), 7.35(s,1H), 7.22-7.15(m,3H), 6.95-6.85(m,2H), 5.45(d,1H,J=7.4Hz), 4.40-4.38(m,1H), 3.56(s,3H), 3.13-3.10(m,4H), 3.08-3.05(m,5H), 2.93-2.90(m,2H), 2.35-2.23(m,4H), 1.69-1.67(m,2H)

Example 94: [4-[(6-nitro-7-piperazinylquinazolin-4-yl)amino]piperidinyl](phenylamino)methane-1-thione (compound 94)

²⁵ [0297] Using the compound obtained in Reference example 64 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.60(s,1H), 8.01(s,1H), 7.38-7.32(m,6H), 6.87(s,1H), 5.47(d,1H,J=7.2Hz), 4.48-4.46(m,1H), 3.20-3.16 (m,5H), 3.10-3.06(m,4H), 2.95-2.93(m,2H), 2.28-2.25(m,4H), 1.70-1.68(m,2H)

³⁰ Example 95: 4-[(6-nitro-7-piperazinylquinazolin-4-yl)amino]-1-phenylsulfonylpiperidine (compound 95)

[0298] Using the compound obtained in Reference example 66 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

³⁵ ¹H-NMR(CDCl₃) δ(ppm): 8.58(s,1H), 7.95(s,1H), 7.35-7.29(m,6H), 5.65-5.63(br.-d,1H), 4.53-4.50(m,1H), 3.20-3.15 (m,5H), 3.12-3.08(m,4H), 2.98-2.95(m,2H), 2.35-2.23(m,4H), 1.65-1.62(m,2H)

Example 96: 4-[(6-nitro-7-piperazinylquinazolin-4-yl)amino]-1-(4-methoxyphenyl)sulfonylpiperidine (compound 96)

⁴⁰ [0299] Using the compound obtained in Reference example 68 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.60(s,1H), 7.93(s,1H), 7.22-7.15(m,3H), 6.98-6.90(m,2H), 5.60(d,1H,J=7.2Hz), 4.54-4.52 (m,1H), 3.57(s,3H), 3.23-3.18(m,4H), 3.15-3.10(m,5H), 2.96-2.91 (m,2H), 2.40-2.36(m,4H), 1.70-1.67(m,2H)

⁴⁵ Example 97: 4-[(6-nitro-7-piperazinylquinazolin-4-yl)amino]-1-(4-fluorophenyl)sulfonylpiperidine (compound 97)

[0300] Using the compound obtained in Reference example 70 as starting material, the title compound was obtained according to the same method as Reference example 5 and Example 1.

⁵⁰ ¹H-NMR(CDCl₃) δ(ppm): 8.58(s,1H), 7.92(s,1H), 7.39-7.35(m,2H), 7.29(s,1H), 7.18-7.15(m,2H), 5.62(d,1H,J=7.0Hz), 4.60-4.58 (m,1H), 3.20-3.15(m,5H), 3.12-3.09(m,4H), 2.99-2.94(m,2H), 2.42-2.38(m,4H), 1.72-1.68(m,2H)

Example 98: 6-nitro-4-(4-phenylpiperazinyl)-7-piperazinylquinazoline (compound 98)

⁵⁵ [0301] Using the compound obtained in Reference example 71 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.66(s,1H), 8.41(s,1H), 7.34-7.29(m,3H), 6.99-6.90(m,3H), 4.06-4.02(m,4H), 3.42-3.39(m,4H), 3.18-3.14(m,5H), 3.09-3.06(m,4H)

Example 99: 4-[(4-methoxyphenyl)piperazinyl]-6-nitro-7-piperazinylquinazoline (compound 99)

[0302] Using 7-chloro-4-[(4-methoxyphenyl)piperazinyl]-6-nitroquinazoline, a compound obtained analogously to Reference example 71, as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.57(s,1H), 8.45(s,1H), 7.31(s,1H), 6.95-6.81(m,4H), 4.00-4.04(m,4H), 3.72(s,3H), 3.21-3.25(m,4H), 3.12-3.14(m,5H), 3.00-2.95(m,4H)

Example 100: 4-[(2-methoxyphenyl)piperazinyl]-6-nitro-7-piperazinylquinazoline (compound 100)

[0303] Using 7-chloro-4-[(2-methoxyphenyl)piperazinyl]-6-nitroquinazoline, a compound obtained analogously to Reference example 71, as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.55(s,1H), 8.40(s,1H), 7.42-7.29(m,3H), 7.11-7.08(m,2H), 4.02-3.98(m,4H), 3.64(s,3H), 3.47-3.44 (m,4H), 3.20-3.16(m,5H), 3.10-3.07(m,4H)

Example 101: 4-[(4-chlorophenyl)piperazinyl]-6-nitro-7-piperazinylquinazoline (compound 101)

[0304] Using 7-chloro-4-[(4-chlorophenyl)piperazinyl]-6-nitroquinazoline, a compound obtained analogously to Reference example 71, as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.56(s,1H), 8.49(s,1H), 7.34(s,1H), 7.24-7.20(m,2H), 6.96-6.92(m,2H), 4.03-3.99(m,4H), 3.38-3.35(m,4H), 3.18-3.16(m,4H), 3.06-3.02(m,5H)

Example 102: 4-[(4-fluorophenyl)piperazinyl]-6-nitro-7-piperazinylquinazoline (compound 102)

[0305] Using 7-chloro-4-[(4-fluorophenyl)piperazinyl]-6-nitroquinazoline, a compound obtained analogously to Reference example 71, as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.60(s,1H), 8.35(s,1H), 7.37-7.30(m,3H), 7.15-7.13(m,2H), 4.10-4.06(m,4H), 3.52-3.48(m,4H), 3.24-3.20(m,5H), 3.12-3.09(m,4H)

Example 103: 6-nitro-7-piperazinyl-4-[(2-pyridyl) piperazinyl]quinazoline (compound 103)

[0306] Using 7-chloro-6-nitro-4-[(2-pyridyl)piperazinyl]quinazoline, a compound obtained analogously to Reference example 71, as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.67-8.65(m,2H), 8.57(s,1H), 8.18(s,1H), 7.54-7.49(m,2H), 7.31(s,1H), 4.00-3.96(m,4H), 3.42-3.38 (m,4H), 3.15-3.11(m,5H), 3.02-2.98(m,4H)

Example 104: 6-nitro-4-(4-benzylpiperazinyl)-7- piperazinylquinazoline (compound 104)

[0307] Using 4-(4-benzylpiperazinyl)-7-chloro-6-nitroquinazoline, a compound obtained analogously to Reference example 71, as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.68(s,1H), 8.40(s,1H), 7.35-7.30 (m,4H), 7.11-7.08(m,2H). 4.03-4.00(m,4H), 3.55(s,2H), 3.47-3.43 (m,4H), 3.14-3.10(m,5H), 3.06-3.02(m,4H)

Example 105: 4-(6-nitro-7-piperazinylquinazolin-4-yl)piperazinyl-phenylketone (compound 105)

[0308] Using the compound obtained in Reference example 74 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.57(s,1H), 8.43(s,1H), 7.35-7.30 (m,5H), 7.21(s,1H), 4.12-4.08(m,4H), 3.56-3.52(m,4H), 3.24-3.20 (m,5H), 3.12-3.09(m,4H)

Example 106: 4-(6-nitro-7-piperazinylquinazolin-4-yl)piperazinyl-(4-fluorophenyl)ketone (compound 106)

[0309] Using the compound obtained in Reference example 77 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.60(s,1H), 8.49(s,1H), 7.41-7.38 (m,3H), 7.18-7.14(m,2H), 4.08-4.04(m,4H), 3.60-3.56(m,5H), 3.17-3.13 (m,4H), 3.08-3.04(m,4H)

Example 107: 4-(6-nitro-7-piperazinylquinazolin-4-yl)piperazinyl-(4-methoxyphenyl)ketone (compound 107)

[0310] Using the compound obtained in Reference example 80 as starting material, the title compound was obtained according to the same method as Example 1.

⁵ ¹H-NMR(CDCl₃) δ(ppm): 8.60(s,1H), 8.37(s,1H), 7.38-7.30 (m,3H), 7.12-7.08(m,2H), 4.05-4.01(m,4H), 3.72(s,3H), 3.48-3.47 (m,4H), 3.15-3.10(m,5H), 3.08-3.05(m,4H)

Example 108: 4-(6-nitro-7-piperazinylquinazolin-4-yl)piperazinyl-1,3-dioxaindane-5-yl-ketone (compound 108)

¹⁰ [0311] Using the compound obtained in Reference example 83 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.58(s,1H), 8.21(s,1H), 7.30 (s,1H), 7.10-7.05(m,3H), 5.97(s,2H), 4.08-4.05(m,4H), 3.42-3.38 (m,4H), 3.16-3.12(m,5H), 3.10-3.06(m,4H)

¹⁵ Example 109: 1-(6-nitro-7-piperazinylquinazolin-4-yl)-4-(phenylsulfonyl)piperazine (compound 109)

[0312] Using the compound obtained in Reference example 86 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.57(s,1H), 8.46(s,1H), 7.32-7.28(m,5H), 7.21(s,1H), 4.07-4.03(m,4H), 3.65-3.61(m,4H), 3.20-3.15 (m,5H), 3.07-3.03(m,4H)

Example 110: 1-(6-nitro-7-piperazinylquinazolin-4-yl)-4-[(4-fluorophenyl)sulfonyl]piperazine (compound 110)

[0313] Using the compound obtained in Reference example 89 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.55(s,1H), 8.25(s,1H), 7.40-7.36(m,3H), 7.16-7.12(m,2H), 4.10-4.06(m,4H), 3.48-3.42(m, 5H), 3.18-3.14 (m,4H), 3.08-3.04(m,4H)

³⁰ Example 111: 4-[2-(4-chlorophenyl)ethylamino]-6-fluoro-7-(piperazin-1-yl)quinazoline (compound 111)

[0314] Using the compound obtained in Reference example 93 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.35-8.33(br.-t,1H), 8.15(s,1H), 8.05-8.02(m,1H), 7.76-7.73(m,1H), 7.36-7.29(m,4H), 3.68 (dt,2H,J=6.3,5.9Hz), 3.07-3.03(m,5H), 2.98-2.93(m,6H)

³⁵ Example 112: 6-fluoro-4-[(4-fluorophenyl)]ethylamino]-7-(piperazin-1-yl)quinazoline (compound 112)

[0315] Using the compound obtained in Reference example 94 as starting material, the title compound was obtained according to the same method as Example 1.

⁴⁰ ¹H-NMR(DMSO-d₆) δ(ppm): 8.76-8.74(br.-t,1H), 8.12(s,1H), 8.06-8.02(m,1H), 7.75-7.70(m,1H), 7.33-7.30(m,2H), 7.16-7.13(m,2H), 3.70-3.68(m,2H), 3.32-3.28(m,5H), 2.97-2.92 (m,6H)

Example 113: 6-fluoro-4-(4-fluorobenzylamino)-7-(piperazin-1-yl)quinazoline (compound 113)

⁴⁵ [0316] Using the compound obtained in Reference example 95 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 8.80-8.78(br.-t,1H), 8.16(s,1H), 8.10-8.06(m,1H), 7.79-7.73(m,1H), 7.40-7.38(m,2H), 7.18-7.15(m,2H), 4.80-4.74(m,2H), 3.12-3.08(m,4H), 3.05-3.02(m,5H)

⁵⁰ Example 114: 6-fluoro-4-[3,4-(methylenedioxy)benzylamino]-7-(piperazin-1-yl)quinazoline (compound 114)

[0317] Using the compound obtained in Reference example 96 as starting material, the title compound was obtained according to the same method as Example 1.

⁵⁵ ¹H-NMR(DMSO-d₆) δ(ppm): 8.76-8.74(br.-t,1H), 8.18(s,1H), 8.00-7.96(m,1H), 7.76-7.72(m,1H), 6.95-6.92(m,3H), 5.97 (s,2H), 4.82-4.78(m,2H), 3.12-3.08(m,5H), 3.06-3.02 (m,4H)

Example 115: 6-fluoro-4-[(4-fluoro)anilino]-7-(piperazin-1-yl)quinazoline (compound 115)

[0318] Using the compound obtained in Reference example 97 as starting material, the title compound was obtained according to the same method as Example 1.

⁵ $^1\text{H-NMR}(\text{CDCl}_3) \delta(\text{ppm}): 8.65(\text{s},1\text{H}), 7.66\text{-}7.61(\text{m},2\text{H}), 7.47\text{-}7.28(\text{m},2\text{H}), 7.14\text{-}7.08(\text{m},3\text{H}), 3.26\text{-}3.07(\text{m},9\text{H})$

Example 116: 6-fluoro-4-[(3,4-methylenedioxy)anilino]-7-(piperazin-1-yl)quinazoline (compound 116)

[0319] Using the compound obtained in Reference example 98 as starting material, the title compound was obtained according to the same method as Example 1.

¹⁰ $^1\text{H-NMR}(\text{CDCl}_3) \delta(\text{ppm}): 8.63(\text{s},1\text{H}), 7.44\text{-}7.26(\text{m},3\text{H}), 7.02(\text{brs},1\text{H}), 6.94\text{-}6.81(\text{m},2\text{H}), 6.00(\text{s},2\text{H}), 3.26\text{-}3.07(\text{m},9\text{H})$

Example 117: 6-fluoro-4-[2-[(4-fluoro)phenyl]ethylamino]-7-(piperazin-1-yl)quinazoline (compound 117)

[0320] Using the compound obtained in Reference example 99 as starting material, the title compound was obtained according to the same method as Example 1.

¹⁵ $^1\text{H-NMR}(\text{CDCl}_3) \delta(\text{ppm}): 8.59(\text{s},1\text{H}), 7.22\text{-}6.99(\text{m},6\text{H}), 5.33 (\text{brt},1\text{H},J=5.1\text{Hz}), 3.88(\text{dt},2\text{H},J=5.1,7.0\text{Hz}), 3.22\text{-}3.06(\text{m},9\text{H}), 2.99 (\text{t},2\text{H},J=7.0\text{Hz})$

Example 118: 6-fluoro-4-[2-[(3,4-methylenedioxy)phenyl] ethylamino]-7-(piperazin-1-yl)quinazoline (compound 118)

[0321] Using the compound obtained in Reference example 100 as starting material, the title compound was obtained according to the same method as Example 1.

²⁰ $^1\text{H-NMR}(\text{CDCl}_3) \delta(\text{ppm}): 8.59(\text{s},1\text{H}), 7.25\text{-}7.08(\text{m},2\text{H}), 6.79\text{-}6.67(\text{m},3\text{H}), 5.96(\text{s},2\text{H}), 5.34\text{-}5.30(\text{m},1\text{H}), 3.86\text{-}3.82(\text{m},2\text{H}), 3.22\text{-}3.06(\text{m},9\text{H}), 2.93 (\text{t},2\text{H},J=6.6\text{Hz})$

Example 119: 6-fluoro-4-[3-[(4-fluoro)phenyl]propylamino]-7-(piperazin-1-yl)quinazoline (compound 119)

[0322] Using the compound obtained in Reference example 101 as starting material, the title compound was obtained according to the same method as Example 1.

²⁵ $^1\text{H-NMR}(\text{CDCl}_3) \delta(\text{ppm}): 8.56(\text{s},1\text{H}), 7.25\text{-}6.96(\text{m},6\text{H}), 5.21 (\text{brt},1\text{H},J=5.7\text{Hz}), 3.68(\text{dt},2\text{H},J=5.7,6.6\text{Hz}), 3.23\text{-}3.06 (\text{m},9\text{H}), 2.75 (\text{t},2\text{H},J=7.3\text{Hz}), 2.05 (\text{tt},2\text{H},J=6.6,7.3\text{Hz})$

Example 120: 6-fluoro-4-[3-[(3,4-methylenedioxy)phenyl]propylamino]-7-(piperazin-1-yl)quinazoline (compound 120)

[0323] Using the compound obtained in Reference example 102 as starting material, the title compound was obtained according to the same method as Example 1.

³⁰ $^1\text{H-NMR}(\text{CDCl}_3) \delta(\text{ppm}): 8.55(\text{s},1\text{H}), 7.24\text{-}7.20(\text{m},1\text{H}), 7.01 (\text{d},1\text{H},J=13.2\text{Hz}), 6.77\text{-}6.66(\text{m},3\text{H}), 5.94(\text{s},2\text{H}), 5.20(\text{brt},1\text{H},J=5.4\text{Hz}), 3.68(\text{dt},2\text{H},J=5.4,6.3\text{Hz}), 3.23\text{-}3.06 (\text{m},9\text{H}), 2.75 (\text{t},2\text{H},J=7.4\text{Hz}), 2.03 (\text{tt},2\text{H},J=6.3,7.4\text{Hz})$

Example 121: 4-[(3,4-ethylenedioxy)benzylamino]-6-fluoro-7-(piperazin-1-yl)quinazoline (compound 121)

[0324] Using the compound obtained in Reference example 103 as starting material, the title compound was obtained according to the same method as Example 1.

⁴⁰ $^1\text{H-NMR}(\text{CDCl}_3) \delta(\text{ppm}): 8.60(\text{s},1\text{H}), 7.24\text{-}7.21(\text{m},2\text{H}), 6.91\text{-}6.86(\text{m},3\text{H}), 5.47(\text{brt},1\text{H},J=5.4\text{Hz}), 4.71(\text{d},2\text{H},J=5.4\text{Hz}), 4.26 (\text{s},4\text{H}), 3.23\text{-}3.06 (\text{m},9\text{H})$

Example 122: 6-fluoro-4-[[N-methyl-N'-(3,4-methylenedioxybenzyl)]amino]-7-(piperazin-1-yl)quinazoline (compound 122)

[0325] Using the compound obtained in Reference example 104 as starting material, the title compound was obtained according to the same method as Example 1.

⁵⁰ $^1\text{H-NMR}(\text{CDCl}_3) \delta(\text{ppm}): 8.60(\text{s},1\text{H}), 7.54(\text{d},1\text{H},J=14.6\text{Hz}), 7.28\text{-}7.26(\text{m},1\text{H}), 6.87\text{-}6.83(\text{m},3\text{H}), 5.98(\text{s},2\text{H}), 4.83(\text{s},2\text{H}), 3.31\text{-}3.27(\text{m},4\text{H}), 3.23(\text{s},3\text{H}), 3.15\text{-}3.12(\text{m},4\text{H}), 2.76\text{-}2.72(\text{m},1\text{H})$

Example 123: 4-[(4-fluoro)anilino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 123)

[0326] Using the compound obtained in Reference example 105 as starting material, the title compound was obtained

according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.68(s,1H), 8.42(s,1H), 7.68-7.63(m,2H), 7.47(s,1H), 7.38(s,1H), 7.17-7.10(m,2H), 3.15-3.06(m,9H)

5 Example 124: 4-[(3,4-methylenedioxy)anilino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 124)

[0327] Using the compound obtained in Reference example 106 as starting material, the title compound was obtained according to the same method as Example 1.

10 ¹H-NMR(CDCl₃) δ(ppm): 8.67(s,1H), 8.39(s,1H), 7.45(brs,1H), 7.35-7.31(m,2H), 6.96-6.82(m,2H), 6.01(s,2H), 3.16-3.04(m,9H)

Example 125: 4-[2-(3,4-methylenedioxy)phenyl]ethylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 125)

[0328] Using the compound obtained in Reference example 107 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.63(s,1H), 8.10(s,1H), 7.31(s,1H), 6.79-6.67(m,3H), 5.96(s,2H), 5.79(brt,1H,J=5.7Hz), 3.88(dt,2H,J=5.7,6.8Hz), 3.11-3.04(m,9H), 2.95(t,2H,J=6.8Hz)

20 Example 126: 4-[3-[(4-fluoro)phenyl]propylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 126)

[0329] Using the compound obtained in Reference example 108 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.60(s,1H), 8.06(s,1H), 7.30(s,1H), 7.20-7.15(m,2H), 7.01-6.95(m,2H), 5.62(brt,1H,J=5.7Hz), 3.71(dt,2H,J=5.7,6.7Hz), 3.12-3.05(m,9H), 2.76(t,2H,J=7.6Hz), 2.07(tt,2H,J=6.7,7.6Hz)

25 Example 127: 4-[3-[(3,4-methylenedioxy)phenyl]propylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 127)

[0330] Using the compound obtained in Reference example 109 as starting material, the title compound was obtained according to the same method as Example 1.

30 ¹H-NMR(CDCl₃) δ(ppm): 8.59(s,1H), 7.95(s,1H), 7.29(s,1H), 6.75-6.66(m,3H), 5.92(s,2H), 5.58(brt,1H,J=5.1Hz), 3.71(dt,2H,J=5.1,6.4Hz), 3.11-3.04(m,9H), 2.72(t,2H,J=7.2Hz), 2.05(tt,2H,J=6.4,7.2Hz)

Example 128: 4-[(3,4-difluoro)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 128)

35 [0331] Using the compound obtained in Reference example 110 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 9.12-9.08(m,1H), 8.93(s,1H), 8.46(s,1H), 7.47-7.23(m,4H), 4.73(d,2H,J=5.4Hz), 3.05-2.90(m,9H)

40 Example 129: 4-[(4-ethoxycarbonyl)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 129)

[0332] Using the compound obtained in Reference example 111 as starting material, the title compound was obtained according to the same method as Example 1.

45 ¹H-NMR(CDCl₃) δ(ppm): 8.64(s,1H), 8.27(s,1H), 8.03(d,2H,J=8.4Hz), 7.44(d,2H,J=8.4Hz), 7.34(s,1H), 6.16-6.12(m,1H), 4.94(d,2H,J=3.8Hz), 4.37(q,2H,J=7.2Hz), 3.14-3.03(m,9H), 1.39(t,3H,J=7.2Hz)

Example 130: 4-[(3,4-ethylenedioxy)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 130)

50 [0333] Using the compound obtained in Reference example 112 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.64(s,1H), 8.21(s,1H), 7.31(s,1H), 6.91-6.86(m,3H), 5.93(brt,1H,J=5.4Hz), 4.73(d,2H,J=5.4Hz), 4.26(s,4H), 3.11-3.04(m,9H)

55 Example 131: 4-[(3,5-di-tert-butyl-4-hydroxy)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 131)

[0334] Using the compound obtained in Reference example 113 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.67(s,1H), 8.18(s,1H), 7.32(s,1H), 7.22(s,2H), 5.77-5.74(m,1H), 5.29(s,1H), 4.70(d,2H,

J=4.3Hz), 3.12-3.04(m,9H), 1.45(s,18H)

Example 132: 4-[(3-methoxy-4-propoxy)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 132)

5 [0335] Using the compound obtained in Reference example 114 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.65(s,1H), 8.26(s,1H), 7.31(s,1H), 6.93-6.83(m,3H), 6.10(brt,1H,J=4.9Hz), 4.76(d,2H, J=4.9Hz), 3.97(t,2H,J=6.9Hz), 3.84(s,3H), 3.12-3.03(m,9H), 1.86(dt,2H, J=6.9,7.4Hz), 1.03(t,3H,J=7.4Hz)

10 Example 133: 4-[(4-cyclopentyloxy-3-methoxy)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 133)

[0336] Using the compound obtained in Reference example 115 as starting material, the title compound was obtained according to the same method as Example 1.

15 ¹H-NMR(CDCl₃) δ(ppm): 8.66(s,1H), 8.26(s,1H), 7.32(s,1H), 6.92-6.83(m,3H), 6.08(brt,1H,J=4.9Hz), 4.76-4.74(m,3H), 3.82(s,3H), 3.12-3.03(m,9H), 1.95-1.78(m,6H), 1.63-1.57 (m,2H)

Example 134: 6-nitro-4-[(4-nitro)benzylamino]-7- (piperazin-1-yl)quinazoline (compound 134)

20 [0337] Using the compound obtained in Reference example 116 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.62(s,1H), 8.35(s,1H), 8.20(d,2H, J=8.6Hz), 7.55(d,2H,J=8.6Hz), 7.34(s,1H), 6.49(brt,1H, J=5.9Hz), 5.00(d,2H,J=5.9Hz), 3.13-3.03(m,9H)

25 Example 135: 4-[[6-chloro-(3,4-methylenedioxy)]benzylamino] -6-nitro-7-(piperazin-1-yl)quinazoline (compound 135)

[0338] Using the compound obtained in Reference example 117 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.64(s,1H), 8.21(s,1H), 7.32(s,1H), 6.99(s,1H), 6.88(s,1H), 6.07(brt,1H, J=5.9Hz), 5.98(s, 2H), 4.85(d,2H,J=5.9Hz), 3.13-3.03(m,9H)

30 Example 136: 4-[[5-methoxy-(3,4-methylenedioxy)] benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 136)

35 [0339] Using the compound obtained in Reference example 118 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.65(s,1H), 8.22(s,1H), 7.33(s,1H), 6.59-6.57(m,2H), 5.98(s,2H), 5.92(brt,1H, J=5.4Hz), 4.74 (d,2H,J=5.4Hz), 3.91(s,3H), 3.13-3.04(m,9H)

40 Example 137: 4-[(4-benzyloxy)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 137)

[0340] Using the compound obtained in Reference example 119 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.65(s,1H), 8.18(s,1H), 7.46-7.31(m,8H), 7.01-6.96(m,2H), 5.84(brt,1H, J=5.4Hz), 5.09(s, 2H), 4.77(d,2H,J=5.4Hz), 3.13-3.03(m,9H)

45 Example 138: 4-[(4-acetamide)benzylamino]-6-nitro-7-(piperazin- 1-yl)quinazoline (compound 138)

[0341] Using the compound obtained in Reference example 120 as starting material, the title compound was obtained according to the same method as Example 1.

50 ¹H-NMR(CDCl₃) δ(ppm): 8.64(s,1H), 8.25(s,1H), 7.51-7.48(m,2H), 7.35-7.21(m,4H), 6.10(brt,1H, J=4.9Hz), 4.81(d, 2H,J=4.9Hz), 3.13-3.03(m,9H), 2.19(s,3H)

Example 139: 4-[(4-cyclopropylcarbamoyl)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 139)

55 [0342] Using the compound obtained in Reference example 121 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.61(s,1H), 8.35(s,1H), 7.67(s,1H), 7.44-7.41(m,2H), 7.27-7.24(m,3H), 6.66(brt,1H, J=5.4Hz), 4.76(d,2H,J=5.4Hz), 3.08-3.02(m,9H), 1.57-1.48(m,1H), 1.10-1.04(m,2H), 0.88-0.81(m,2H)

Example 140: 4-[(3,5-dimethyl-4-hydroxy)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 140)

[0343] Using the compound obtained in Reference example 122 as starting material, the title compound was obtained according to the same method as Example 1.

⁵ ¹H-NMR(DMSO-d₆) δ(ppm): 8.92-8.87(m,2H), 8.45(s,1H), 8.12(s,1H), 7.16(s,1H), 6.90(s,2H), 4.58(d,2H,J=5.4Hz), 2.99-2.79(m,9H), 2.13(s,6H)

Example 141: 4-[(3-cyclopentyloxy-4-methoxy)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 141)

¹⁰ [0344] Using the compound obtained in Reference example 123 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(CDCl₃) δ(ppm): 8.63(s,1H), 8.26(s,1H), 7.30(s,1H), 6.93-6.85(m,3H), 6.08(brt,1H, J=5.0Hz), 4.77-4.73(m, 3H), 3.80(s,3H), 3.14-3.05(m,9H), 2.00-1.83(m,6H), 1.67-1.61 (m,2H)

¹⁵ Example 142: 4-[(4-methoxy-3-pivaloyloxy)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 142)

[0345] Using the compound obtained in Reference example 124 as starting material, the title compound was obtained according to the same method as Example 1.

²⁰ ¹H-NMR(CDCl₃) δ(ppm): 8.64(s,1H), 8.26(s,1H), 7.31(s,1H), 7.24-7.20(m,1H), 7.06-7.05(m,1H), 6.95-6.92(m,1H), 6.15(brt,1H, J=5.4Hz), 4.75(d,2H,J=5.4Hz), 3.81(s,3H), 3.13-3.03(m,9H), 1.36(s,9H)

Example 143: 4-[(4-hydroxy)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 143)

²⁵ [0346] Using the compound obtained in Reference example 125 as starting material, the title compound was obtained according to the same method as Example 1.

¹H-NMR(DMSO-d₆) δ(ppm): 9.31(s,1H), 9.02-8.93(m,2H), 8.46(s,1H), 7.22(s,1H), 7.17(d,2H,J=8.4Hz), 6.75(d,2H, J=8.4Hz), 4.64(brt,1H, J=5.4Hz), 3.07-2.93(m,9H)

³⁰ Example 144: 4-[(4-cyano)benzylamino]-6-nitro-7-(piperazin-1-yl)quinazoline (compound 144)

[0347] Using the compound obtained in Reference example 126 as starting material, the title compound was obtained according to the same method as Example 1.

³⁵ ¹H-NMR(CDCl₃) δ(ppm): 8.61(s,1H), 8.34(s,1H), 7.64(d,2H, J=8.9Hz), 7.50(d,2H,J=8.9Hz), 7.33(s,1H), 6.45(brt,1H, J=5.7Hz), 4.96(d,2H,J=5.7Hz), 3.13-3.03(m,9H)

Example 145: TNF-α production inhibiting test using human PBMC (peripheral blood mononuclear cells)

Preparation of human PBMC

⁴⁰ [0348] The blood of a healthy person was taken with a vacuum blood-collecting tube (Nipro neotube) and diluted up to two-fold with physiological saline (Otsuka Pharmaceuticals). To the diluted blood was added 6% dextran T-500 (prepared after dissolution in physiological saline (Otsuka Pharmaceuticals) and sterilization with an autoclave) so as to make a final concentration of 1%. The solution was subjected to tumble mixing and allowed to stand at room temperature for 30 minutes to precipitate the erythrocytes. The supernatant was collected and subjected to centrifugation at 20 °C and at 1,500 rpm for 10 minutes. The cells were suspended in 3 ml of RPMI1640 culture medium (Asahi Technoglass) and overlaid on the solution surface of 3 ml Lymphoprep (NYCOMED). Further, with 1.5 ml of RPMI1640 culture medium being added to the tube which had contained the cell suspension liquid, the cells attached to the tube, which had remained uncollected, were suspended for collection and overlaid on the Lymphoprep previously mentioned. This operation was repeated again. After centrifugation at 20 °C and at 2,000 rpm for 30 minutes, there was collected a fraction of peripheral blood mononuclear cells present in the boundary surface between the Lymphoprep and the RPMI1640 culture medium. The cells were washed twice with 10 ml RPMI1640 culture medium to remove the Lymphoprep and then suspended in a RPMI1640 culture medium added with 10% bovine fetal serum (IRVINE SCIENTIFIC), 100 U/ml penicillin and 100 µg/ml streptomycin (hereinafter referred to as cRPMI1640 culture medium). The number of the cells was measured by Tuerk dying, and the cells, after adjustment to 3 × 10⁶ cells/ml, were planted in 100 µl/well on a 96-well plate (FALCON). The cells were cultured at 37 °C under 5%CO₂ atmosphere for about 5 hours.

Induction of TNF- α production by LPS stimulus

[0349] LPS (E.coli 0111:B4, DIFCO), which had been prepared to 10 mg/ml with water and kept at -20, was diluted to 100 μ g/ml with cRPMI1640 culture medium and subjected to sterile filtration. The 100 μ g/ml LPS was diluted with cRPMI1640 culture medium to prepare 20 ng/ml LPS. A compound to be tested which was dissolved in DMSO at 1,000-fold concentration was diluted to 500-fold with cRPMI1640 culture medium containing 20 ng/ml LPS and added in 100 μ l/well to the cells which had been planted on the previously mentioned 96-well plate (final concentration of LPS: 10 ng/ml). For use as the control group, the solutions were made by diluting DMSO of the same volume as in the test compounds with cRPMI1640 culture medium containing 20 ng/ml LPS, and they were added to the cells. These cells were cultured at 37 °C under 5%CO₂ atmosphere for 16 hours.

Determination of TNF- α activity (ELISA method)

[0350] To the 96-well plate the mouse anti-human TNF- α antibody (prepared to 2 μ g/ml with Coating buffer*¹) was added so as to make 100 μ l/well and allowed to stand at 4 °C overnight. After 5-times washing with Wash buffer*² (300 μ l/well), the Blocking buffer*³ was added to the solutions, which were allowed to stand 37 °C for 2 hours. The culture supernatants were diluted up to 5-fold in the plate (supernatant 20 μ l being added to 80 μ l of cRPMI1640 culture medium). Simultaneously, for using for a calibration curve, a series of dilution of recombinant human TNF- α was prepared and allowed to stand 37 °C for 1 hour. After 5-times washing with Wash buffer (300 μ l /well), biotinylated rabbit anti-human TNF- α antibody (prepared to 0.25 μ g/ml with Antibody diluent*⁴) was added so as to make 100 μ l /well and allowed to stand at 37 °C for 1 hour. After 5-times washing with Wash buffer (300 μ l /well), Streptavidin-Horseradish peroxidase(prepared to 1:4000 with Antibody diluent) was added to make 100 μ l /well and allowed to stand at 37 °C for 15 minutes. After 5-times washing with Wash buffer (300 μ l /well), TMB microwell peroxidase substrate (KPL) was added to make 100 μ l /well and allowed to stand at room temperature for 10 minutes. Stop solution*⁵ was added to make 100 μ l /well, absorbance (A450nm)of each well was measured with a microplate reader, and then the data was processed with a Microplate Manager III (BIO-RAD). The human TNF- α activity was determined quantitatively according to the calibration curve from the recombinant TNF- α . The ELISA of human TNF- α mentioned above was conducted using human TNF- α duoset (genzyme). The residual activity of human TNF- α production of the test compound was determined according to the following formula.

[0351] Residual activity of human TNF- α production (%)=(human TNF- α activity in culture supernatants of the group added with the compounds)/(human TNF- α activity in culture supernatants of the group not added with the compounds)

- * 1 Coating buffer: 0.1M carbonate, pH 9.4-9.8
- * 2 Wash buffer: PBS, 0.05% Tween20 (PBS: Takara Shuzo)
- * 3 Blocking buffer: 1% bovine serum albumin (BSA), PBS, pH 7.2-7.4 (BSA:SIGMA)
- * 4 Antibody diluent: 1% BSA, 0.05% Tween20, PBS, pH7.2-7.4
- * 5 Stop solution: 2NH₂SO₄

[0352] From the residual activity of human TNF- α production determined by the above formula, the inhibitory activity IC₅₀ was determined. The results are shown in Table 21.

45

50

55

Table 21

Example	Inhibiting activity IC ₅₀ (μM)	Example	TNF-α Inhibiting activity IC ₅₀ (μM)
1	0.8	61	0.3
2	2.1	62	0.3
3	1.4	113	0.9
5	1.9	114	0.6
6	2.5	116	3.0
8	1.4	117	4.7
9	1.3	118	2.2
10	0.4	119	2.3
18	0.4	120	2.0
25	0.4	121	2.5
31	0.08	122	0.6
37	0.6	123	0.6
42	0.5	124	1.7
54	0.4	125	3.3
56	1.1	126	0.7
57	2.3	127	0.5
58	3.5	128	0.7
59	0.5	129	0.2
60	0.6	130	2.5
63	1.6	131	0.3
64	0.4		
65	1.2		
66	0.7		
67	0.3		
68	2.4		
69	1.8		
70	0.6		
71	0.6		
72	0.4		
75	1.9		
78	1.1		
79	2.3		
80	2.5		
81	2.5		
82	7.2		
86	6.4		
98	2.1		
99	0.9		
101	1.4		

Example 146: IL-4 and IL-5 production inhibiting test

[0353] A 6-weeks-old BALB/c-mouse (Nippon Charles River) was bred preparatively for 1 week and given at the day 0 and day 14 intraperitoneal injection of 100 μl PBS to give immunity which PBS contained 0.1 mg/ml of Ovalbumin (OVA) and 40 mg/ml of aluminum hydroxide. At the day 21 the spleen was taken out from the mouse. The spleen was dissected with a *pincette* in cooled PBS, passed through a mesh and subjected to centrifugation at 4 °C at 1,500 rpm for 5 minutes. The cells were added with 5 ml of 0.2% NaCl to destroy erythrocytes, and then was made isotonic by

EP 1 229 025 A1

adding 5 ml of 1.6% of NaCl. After centrifugation at 4 °C, 1,500 rpm for 5 minutes, the cells were washed twice with 10 ml of RPMI1640 culture medium. The obtained spleen cells were suspended in RPMI1640 medium, and the number of the cells was measured by Tuerk dying. After being adjusted to 1×10^7 cells/ml, the cells were planted in 100 µl/well on a 96-well plate (FALCON). The cells were cultured at 37 °C under 5% CO₂ atmosphere for about 4 hours.

5 OVA was prepared to 10 mg/ml with cRPMI1640 culture medium and subjected to sterile filtration. The 10 mg/ml OVA was diluted with cRPMI1640 culture medium to give 1 mg/ml OVA. A compound to be tested which was dissolved in DMSO in at 1,000-fold concentration was diluted up to 500-fold with cRPMI1640 culture medium containing 1 mg/ml OVA and added in 100 µl/well to the cells which had been planted on the previously mentioned 96-well plate (final concentration of OVA: 0.5 mg/ml). As the controls, the solutions were made by diluting DMSO of the same volume as
10 with the test compounds with cRPMI1640 culture medium containing 1 mg/ml OVA, and they were added to the cells. These cells were cultured at 37 °C under 5%CO₂ atmosphere for 3 days.
IL-4 and IL-5 in the culture supernatants after 3 days were quantitatively determined by ELISA method. IL-4 and IL-5 were quantitatively determined using IL-4 Development Kit (Genzyme Techne) and mouse IL-5 MiniKit (ENDOGEN), respectively. The production inhibiting rates of each tested compound against IL-4 are shown in Table 22, those against
15 IL-5 in Table 23.

Table 22

Example	IL-4 inhibiting activity IC ₅₀ (µM)
48	0.1
51	0.1
131	0.2
31	0.01
129	0.1
61	0.2
62	0.1

Table 23

Example	IL-5 inhibiting activity IC ₅₀ (µM)
48	0.9
131	1.4
31	0.2
129	1.7
61	2.0
62	1.4

45 Medicament preparation example 1

[0354] Tablets consisting of the following components were made according to the customary method.

Table 24

	Component	Amount(mg/tablet)
Component 1	Hydrochloride of the compound of Example 1	30
Component 2	Lactose	124.2
Component 3	Potato starch	40
Component 4	Hydroxypropyl cellulose	5

Table 24 (continued)

	Component	Amount(mg/tablet)
Component 5	Magnesium stearate	0.8
	Total	200 mg

Medicament preparation example 2

[0355] Injection agents consisting of the following components were made according to the customary method.

Table 25

	Component	Amount per vial
Component 1	Hydrochloride of the compound of Example 37	10 mg
Component 2	Purified soybean oil	180 mg
Component 3	Purified egg yolk lecithin	25 mg
Component 4	Glycerine for injection use	50 mg
Component 5	Distilled water for injection use	2.70 ml
	Total	3.00 ml

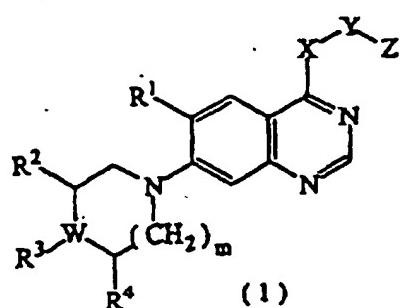
[0356] All the publications, patents and patent applications cited herein are incorporated herein by reference in their entirety.

Industrial Applicability

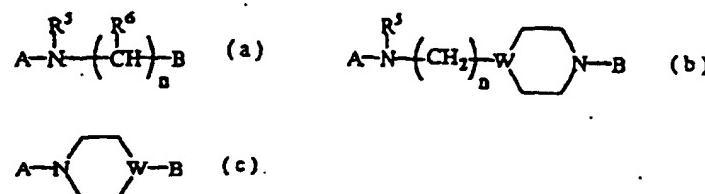
[0357] The quinazoline derivatives of the present invention have TNF- α , IL-4 and IL-5 production inhibiting action, and are useful for prevention or treatment of diseases which arise from the continuous or excess production of TNF- α , IL-4 or IL-5, such as rheumatoid arthritis, septic shock, inflammatory bowel diseases, osteoarthritis, multiple sclerosis, Behcet's disease, systemic lupus erythematoses (SLE), rejection at the time of the bone marrow transplantation, hepatitis, type II diabetes, asthma, allergic dermatitis, allergic rhinitis and the like.

Claims

1. A quinazoline derivative of the general formula (1) or a pharmaceutically acceptable salt thereof:



[wherein R¹ represents nitro group or halogen atom; R² and R⁴ represent hydrogen atom, alkyl group having 1 to 4 carbon atoms, carboxyl group, or alkoxy carbonyl group having 2 to 5 carbon atoms; R³ represents hydrogen atom, amino group, unsubstituted or substituted alkyl group having 1 to 4 carbon atoms, alkanoyl group having 1 to 4 carbon atoms or alkoxy carbonyl group having 2 to 5 carbon atoms; W represents carbon atom or nitrogen atom; m represents 0 to 2; X represents a group represented by the following formula (a), (b) or (c):]



10 [wherein R⁵ represents hydrogen atom, or unsubstituted or substituted alkyl group having 1 to 4 carbon atoms; R⁶ represents hydrogen atom, unsubstituted or substituted alkyl group having 1 to 4 carbon atoms, hydroxyl group, unsubstituted or substituted aryl group, carboxyl group, or alkoxy carbonyl group having 2 to 5 carbon atoms (if n is two or more, each R⁶ may be the same or different); n represents 0 to 3; W represents carbon atom or nitrogen atom; A represents a single bond to quinazoline ring; and B represents a single bond to Y]; Y represents, if X is represented by the formula (a), single bond or CH₂, if X is represented by the formula (b), single bond, CO, CH₂, CONH, CSNH or SO₂, if X is represented by the formula (c), single bond, CO, CH₂ or SO₂; and Z represents unsubstituted or substituted aryl group, or unsubstituted or substituted heteroaryl group.]

- 20 2. A compound according to Claim 1, wherein R¹ in the general formula (1) is nitro group or fluorine atom.
3. A compound according to Claim 1, wherein R² and R⁴ in the general formula (1) are hydrogen atom or alkyl group having 1 to 4 carbon atoms.
- 25 4. A compound according to Claim 1, wherein R³ in the general formula (1) R³ is hydrogen atom.
5. A compound according to Claim 1, wherein W in the general formula (1) is nitrogen atom.
- 30 6. A compound according to Claim 1, wherein X in the general formula (1) is represented by the formula (a), and R⁵ and R⁶ in the general formula (1) are hydrogen atom.
7. A compound according to Claim 1, wherein n in the formula (a) is 1 or 2.
- 35 8. A compound according to Claim 1, wherein X in the general formula (1) is represented by the formula (c).
9. A compound according to Claim 1, wherein Z in the general formula (1) is phenyl, substituted phenyl, thienyl, furyl or pyridyl.
- 40 10. A medicament comprising a quinazoline derivative according to Claim 1 or a pharmaceutically acceptable salt thereof as effective ingredient.
11. A medicament for treating the diseases caused by the excess production of TNF- α , comprising a quinazoline derivative according to Claim 1 or a pharmaceutically acceptable salt thereof as effective ingredient.
- 45 12. A medicament for treating the diseases caused by the excess production of IL-4, comprising a quinazoline derivative according to Claim 1 or a pharmaceutically acceptable salt thereof as effective ingredient.
13. A medicament for treating the diseases caused by the excess production of IL-5, comprising a quinazoline derivative according to Claim 1 or a pharmaceutically acceptable salt thereof as effective ingredient.

50

55

INTERNATIONAL SEARCH REPORT		International application No. PCT/JP00/06666												
A. CLASSIFICATION OF SUBJECT MATTER Int.Cl? C07D239/94, A61K31/517, C07D401/04, 401/12, 405/12, 409/12, A61P 37/06														
According to International Patent Classification (IPC) or to both national classification and IPC														
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) Int.Cl? C07D239/94, A61K31/517, C07D401/00, 403/00, 405/00, 409/00														
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched														
Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) CAPLUS, REGISTRY (STN)														
C. DOCUMENTS CONSIDERED TO BE RELEVANT <table border="1" style="width: 100%; border-collapse: collapse;"> <thead> <tr> <th style="text-align: left; padding: 2px;">Category*</th> <th style="text-align: left; padding: 2px;">Citation of document, with indication, where appropriate, of the relevant passages</th> <th style="text-align: left; padding: 2px;">Relevant to claim No.</th> </tr> </thead> <tbody> <tr> <td style="padding: 2px;">A</td> <td style="padding: 2px;">EP, 566226, A1 (ZENECA Ltd.), 20 October, 1993 (20.10.93), pp.51-52, EXAMPLES 72,73. & JP, 6-73025, A & US, 5457105, A & US, 5616582, A</td> <td style="padding: 2px; text-align: center;">1-13</td> </tr> <tr> <td style="padding: 2px;">A</td> <td style="padding: 2px;">QI CHAO, L. D. et al., "Substituted Isoquinolines and Quinazolines as Potential Antiinflammatory Agents" Journal of Medicinal Chemistry; Vol.42 (No.19) pp.3860-3873 (23 September, 1999)</td> <td style="padding: 2px; text-align: center;">1-13</td> </tr> <tr> <td style="padding: 2px;">A</td> <td style="padding: 2px;">BRIDGES A. J. et. al., "Tyrosine Kinase Inhibitors 8." Journal of Medicinal Chemistry; Vol.39 (No.1), pp.267-276 (1996)</td> <td style="padding: 2px; text-align: center;">1-13</td> </tr> </tbody> </table>			Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.	A	EP, 566226, A1 (ZENECA Ltd.), 20 October, 1993 (20.10.93), pp.51-52, EXAMPLES 72,73. & JP, 6-73025, A & US, 5457105, A & US, 5616582, A	1-13	A	QI CHAO, L. D. et al., "Substituted Isoquinolines and Quinazolines as Potential Antiinflammatory Agents" Journal of Medicinal Chemistry; Vol.42 (No.19) pp.3860-3873 (23 September, 1999)	1-13	A	BRIDGES A. J. et. al., "Tyrosine Kinase Inhibitors 8." Journal of Medicinal Chemistry; Vol.39 (No.1), pp.267-276 (1996)	1-13
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.												
A	EP, 566226, A1 (ZENECA Ltd.), 20 October, 1993 (20.10.93), pp.51-52, EXAMPLES 72,73. & JP, 6-73025, A & US, 5457105, A & US, 5616582, A	1-13												
A	QI CHAO, L. D. et al., "Substituted Isoquinolines and Quinazolines as Potential Antiinflammatory Agents" Journal of Medicinal Chemistry; Vol.42 (No.19) pp.3860-3873 (23 September, 1999)	1-13												
A	BRIDGES A. J. et. al., "Tyrosine Kinase Inhibitors 8." Journal of Medicinal Chemistry; Vol.39 (No.1), pp.267-276 (1996)	1-13												
<input type="checkbox"/> Further documents are listed in the continuation of Box C. <input type="checkbox"/> See patent family annex.														
* Special categories of cited documents: "A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier document but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "P" document published prior to the international filing date but later than the priority date claimed														
Date of the actual completion of the international search 13 December, 2000 (13.12.00)	Date of mailing of the international search report 26 December, 2000 (26.12.00)													
Name and mailing address of the ISA/ Japanese Patent Office	Authorized officer													
Facsimile No.	Telephone No.													

Form PCT/ISA/210 (second sheet) (July 1992)